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Serial Number: 09/935,573

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Art Unit: 1617 ✓

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Please search for any litigation relating to U.S. Patent 5,945,416

Point of Contact:
Mona Smith
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CM1 6A01
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=> file uspatfull

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FULL ESTIMATED COST	0.21	0.21

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 9 May 2002 (20020509/PD)
FILE LAST UPDATED: 9 May 2002 (20020509/ED)
HIGHEST GRANTED PATENT NUMBER: US8387446
HIGHEST APPLICATION PUBLICATION NUMBER: US2002056154
CA INDEXING IS CURRENT THROUGH 9 May 2002 (20020509/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 May 2002 (20020509/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2002
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>>>  publications, starting in 2001, for the inventions covered in     <<<
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>>>  records and may be searched in standard search fields, e.g., /PN, <<<
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>>>  classifications, or claims, that may potentially change from      <<<
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substance identification.

=> s olanzapine and depressant
           140 OLANZAPINE
          5588 DEPRESSANT
L1           13 OLANZAPINE AND DEPRESSANT

=> s l1 and pd<1995
       1890560 PD<1995
                   (PD<19950000)
L2            0 L1 AND PD<1995

=> d l1 1-13

L1   ANSWER 1 OF 13  USPATFULL
AN     2002:88001  USPATFULL
TI     Opioid agonist/opioid antagonist/acetaminophen combinations
IN     Kaiko, Robert F., Weston, CT, United States
       Colucci, Robert D., Newtown, CT, United States
PA     Euro-Celtique, S.A., Luxembourg, LUXEMBOURG (non-U.S. corporation)
PI     US 6375957          B1   20020423
AI     US 2000-503020           20000211 (9)
RLI    Continuation-in-part of Ser. No. US 1998-218662, filed on 22 Dec 1998
PRAI   US 1997-68480P      19971222 (60)
DT     Utility
FS     GRANTED
LN.CNT 2580
INCL   INCLM: 424/400.000
```

INCLS: 424/451.000; 424/464.000; 514/812.000
NCL NCLM: 424/400.000
NCLS: 424/451.000; 424/464.000; 514/812.000
IC [7]
ICM: A61K009-48
ICS: A61K009-20
EXF 424/464; 424/451; 424/400; 512/812

L1 ANSWER 2 OF 13 USPATFULL
AN 2002:17328 USPATFULL
TI Dha-pharmaceutical agent conjugates of taxanes
IN Shashoua, Victor, Brookline, MA, UNITED STATES
Swindell, Charles, Merion, PA, UNITED STATES
Webb, Nigel, Bryn Mawr, PA, UNITED STATES
Bradley, Matthews, Layton, PA, UNITED STATES
PI US 2002010208 A1 20020124
AI US 2001-846838 A1 20010501 (9)
RLI Continuation of Ser. No. US 1998-135291, filed on 17 Aug 1998, ABANDONED
Continuation of Ser. No. US 1996-651312, filed on 22 May 1996, GRANTED,
Pat. No. US 5795909
DT Utility
FS APPLICATION
LN.CNT 2437
INCL INCLM: 514/449.000
NCL NCLM: 514/449.000
IC [7]
ICM: A61K031-337
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 3 OF 13 USPATFULL
AN 2001:215087 USPATFULL
TI Treatment of disorders secondary to organic impairments
IN Mueller, Peter Sterling, 182 Snowden La., Princeton, NJ, United States
08540
PI US 6323242 B1 20011127
AI US 1998-204124 19981202 (9)
DT Utility
FS GRANTED
LN.CNT 1080
INCL INCLM: 514/646.000
INCLS: 564/305.000
NCL NCLM: 514/646.000
NCLS: 564/305.000
IC [7]
ICM: A61K031-36
ICS: C07C211-00
EXF 514/646; 564/305
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 4 OF 13 USPATFULL
AN 2001:205909 USPATFULL
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, United States
Mccauley, James, Belle Mead, NJ, United States
PA Merck & Co., Inc. (U.S. corporation)
PI US 2001041702 A1 20011115
AI US 2001-850370 A1 20010507 (9)
RLI Division of Ser. No. US 1999-458168, filed on 9 Dec 1999, GRANTED, Pat.
No. US 6229010
PRAI US 1997-51600P 19970702 (60)
DT Utility
FS APPLICATION

LN.CNT 2079
INCL INCLM: 514/236.200
INCLS: 544/132.000
NCL NCLM: 514/236.200
NCLS: 544/132.000
IC [7]
ICM: A61K031-5377
ICS: C07D413-02
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 5 OF 13 USPATFULL
AN 2001:160986 USPATFULL
TI Use of sulfamate derivatives for treating impulse control disorders
IN McElroy, Susan L., Cincinnati, OH, United States
PI US 2001023254 A1 20010920
US 6323236 B2 20011127
AI US 2000-506991 A1 20000218 (9)
DT Utility
FS APPLICATION
LN.CNT 933
INCL INCLM: 514/439.000
NCL NCLM: 514/439.000
NCLS: 514/455.000; 514/459.000; 514/463.000
IC [7]
ICM: A61K031-385
ICS: A01N043-26
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 6 OF 13 USPATFULL
AN 2001:90260 USPATFULL
TI Fatty acid-pharmaceutical agent conjugates
IN Webb, Nigel L., Bryn Mawr, PA, United States
Bradley, Matthews O., Laytonsville, MD, United States
Swindell, Charles S., Merion, PA, United States
Shashoua, Victor E., Brookline, MA, United States
PI US 2001002404 A1 20010531
AI US 2000-730450 A1 20001205 (9)
RLI Continuation of Ser. No. US 1996-651428, filed on 22 May 1996, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 2511
INCL INCLM: 514/560.000
INCLS: 514/558.000
NCL NCLM: 514/560.000
NCLS: 514/558.000
IC [7]
ICM: A61K031-20
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 7 OF 13 USPATFULL
AN 2001:67821 USPATFULL
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, United States
McCauley, James, Belle Mead, NJ, United States
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI US 6229010 B1 20010508
AI US 1999-458168 19991209 (9)
RLI Division of Ser. No. US 1998-212511, filed on 15 Dec 1998, now patented, Pat. No. US 6096742
PRAI US 1997-51600P 19970702 (60)
DT Utility
FS Granted

LN.CNT 2023
INCL INCLM: 544/132.000
NCL NCLM: 544/132.000
IC [7]
ICM: C07D413-00
EXF 544/132
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 8 OF 13 USPATFULL
AN 2001:52070 USPATFULL
TI Substituted 3-(benzylamino)piperidine derivatives and their use as therapeutic agents
IN Elliott, Jason Matthew, Felsted, United Kingdom
PA Merck Sharp & Dohme Limited, Hoddesdon, United States (non-U.S. corporation)
PI US 6214846 B1 20010410
WO 9900368 19990107
AI US 1999-445664 19991209 (9)
WO 1998-GB1856 19980623
19991209 PCT 371 date
19991209 PCT 102(e) date
PRAI GB 1997-13715 19970627
GB 1997-20998 19971003
DT Utility
FS Granted
LN.CNT 1317
INCL INCLM: 514/331.000
INCLS: 514/314.000; 514/329.000; 546/223.000
NCL NCLM: 514/331.000
NCLS: 514/314.000; 514/329.000; 546/223.000
IC [7]
ICM: C07D211-56
ICS: A61K031-445
EXF 514/314; 514/329; 514/331; 546/223
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 9 OF 13 USPATFULL
AN 2001:33261 USPATFULL
TI Clozapine compositions and uses thereof
IN Bradley, Matthews O., Laytonsville, MD, United States
Shashoua, Victor E., Belmont, MA, United States
Swindell, Charles S., Merion, PA, United States
Webb, Nigel L., Bryn Mawr, PA, United States
PA Protarga, Inc., Conshohocken, PA, United States (U.S. corporation)
PI US 6197764 B1 20010306
AI US 1997-978541 19971126 (8)
DT Utility
FS Granted
LN.CNT 770
INCL INCLM: 514/218.000
INCLS: 514/219.000; 514/220.000
NCL NCLM: 514/218.000
NCLS: 514/219.000; 514/220.000
IC [7]
ICM: A61K031-00
EXF 514/218; 514/219; 514/220
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 10 OF 13 USPATFULL
AN 2000:142390 USPATFULL
TI 1-piperidinyl-propan-2-derivatives and their use as therapeutic agents
IN MacLeod, Angus Murray, Bishops Stortford, United Kingdom

Swain, Christopher John, Duxford, United Kingdom
van Niel, Monique Bodil, Welwyn Garden City, United Kingdom
PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S. corporation)
PI US 6136824 20001024
AI US 2000-511002 20000222 (9)
PRAI GB 1999-4786 19990203
DT Utility
FS Granted
LN.CNT 1626
INCL INCLM: 514/317.000
INCLS: 546/192.000
NCL NCLM: 514/317.000
NCLS: 546/192.000
IC [7]
ICM: A01N043-40
EXF 546/190; 514/317
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 11 OF 13 USPATFULL
AN 2000:98427 USPATFULL
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, United States
McCauley, James, Belle Mead, NJ, United States
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI US 6096742 20000801
AI US 1998-212511 19981215 (9)
RLI Continuation of Ser. No. US 1998-108567, filed on 1 Jul 1998, now abandoned
DT Utility
FS Granted
LN.CNT 2018
INCL INCLM: 514/241.000
INCLS: 544/132.000; 514/236.200
NCL NCLM: 514/241.000
NCLS: 514/236.200; 544/132.000
IC [7]
ICM: A61K031-53
EXF 544/132; 514/236.2
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 12 OF 13 USPATFULL
AN 1999:113745 USPATFULL
TI Fatty acid-antipsychotic compositions and uses thereof
IN Bradley, Matthews O., Laytonsville, MD, United States
Shashoua, Victor E., Belmont, MA, United States
Swindell, Charles S., Merion, PA, United States
Webb, Nigel L., Bryn Mawr, PA, United States
PA Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)
PI US 5955459 19990921
AI US 1997-979312 19971126 (8)
DT Utility
FS Granted
LN.CNT 870
INCL INCLM: 514/220.000
INCLS: 514/234.000; 514/255.000; 514/321.000
NCL NCLM: 514/220.000
NCLS: 514/232.800; 514/252.150; 514/255.010; 514/259.400; 514/321.000
IC [6]
ICM: A61R031-395
EXF 514/220; 514/234; 514/255; 514/321

L1 ANSWER 13 OF 13 USPATFULL
AN 1998:98932 USPATFULL
TI DHA-pharmaceutical agent conjugates of taxanes
IN Shashoua, Victor E., Brookline, MA, United States
Swindell, Charles S., Merion, PA, United States
Webb, Nigel L., Bryn Mawr, PA, United States
Bradley, Matthews O., Laytonsville, MD, United States
PA Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)
PI US 5795909 19980818
AI US 1996-651312 19960522 (8)
DT Utility
FS Granted
LN.CNT 2451
INCL INCLM: 514/449.000
INCLS: 514/549.000
NCL NCLM: 514/449.000
NCLS: 514/549.000
IC [6]
ICM: A61K031-335
ICS: A61K031-22
EXF 514/449; 514/549
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> file medicine
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=> s olanzapine
L3 14334 OLANZAPINE

=> s l3 and pd<1994
4 FILES SEARCHED...
'1994' NOT A VALID FIELD CODE
9 FILES SEARCHED...
'1994' NOT A VALID FIELD CODE
'1994' NOT A VALID FIELD CODE
16 FILES SEARCHED...
20 FILES SEARCHED...
'1994' NOT A VALID FIELD CODE
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26 FILES SEARCHED...
'1994' NOT A VALID FIELD CODE
'1994' NOT A VALID FIELD CODE
31 FILES SEARCHED...
L4 24 L3 AND PD<1994

=> d l4 1-24

L4 ANSWER 1 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1993:45907 ADISALERTS
DN 800241950
TI **Olanzapine**: pharmacological characteristics and first clinical experiences
AU Dittmann R W
SO Pharmacopsychiatry (**Sep 1, 1993**), Vol. 26, pp. 147
DT (Animal); Abstract
RE Psychotic Disorders (Index only): Alert no. 3, 1994
FS Citation
LA English

L4 ANSWER 2 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1993:44540 ADISALERTS
DN 800215119
TI The disposition of **olanzapine** in healthy volunteers
AU Obermeyer B D; Nyhart Jr E H; Mattiuz E L; et al
SO Pharmacologist (**Jan 1, 1993**), Vol. 35, No. 3, pp. 176
DT (Volunteers); Abstract
RE Psychotic Disorders (Index only): Alert no. 5, 1994
FS Citation
LA English

L4 ANSWER 3 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1993:38216 ADISALERTS

DN 800245800
TI The preclinical pharmacology of **olanzapine** a novel antipsychotic
AU Wong D T; Moore N A; Calligaro D O; et al
SO 9th World Congress of Psychiatry (**Jun 12, 1993**), pp. 190
DT (Animal); Abstract
RE Psychotic Disorders (Index only): Alert no. 7, 1993
FS Citation
LA English

L4 ANSWER 4 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1993:32800 ADISALERTS
DN 800210285
TI The pharmacology of **olanzapine** and other new antipsychotic agents
AU Moore N A; Calligaro D O; Wong D T; et al
SO Current Opinion in Investigational Drugs (**Apr 1, 1993**), Vol. 2, pp. 281-293
DT General Review
RE Psychotic Disorders (Index only): Alert no. 8, 1993
FS Citation
LA English

L4 ANSWER 5 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1992:32627 ADISALERTS
DN 800155878
TI The behavioral pharmacology of **olanzapine**, a novel atypical antipsychotic agent
ADIS TITLE: **Olanzapine**: pharmacodynamics.; Behavioural pharmacology; Animal study
AU Moore N A; Tye N C; Axton M S; Risius F C
CS Eli Lilly and Co., Windlesham, Surrey, England
SO Journal of Pharmacology and Experimental Therapeutics (**Aug 1, 1992**), Vol. 262, pp. 545-551
DT (Animal)
RE Psychotic Disorders (Summary): Alert no. 10, 1992
FS Summary
LA English
WC 519

L4 ANSWER 6 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1992:1016 ADISALERTS
DN 807001439
TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors by **olanzapine** (LY170053), an antipsychotic drug candidate
ADIS TITLE: **Olanzapine**: pharmacodynamics.; Neuroendocrine effects; Animal study
AU Fuller R W; Snoddy H D
CS Lilly Research Laboratories, Eli Lilly and Co., Indianapolis, Indiana, USA
SO Research Communications in Chemical Pathology and Pharmacology (**Jul 1, 1992**), Vol. 77, pp. 87-93
DT (Animal)
RE Psychotic Disorders (Summary): Alert no. 11, 1992
FS Summary
LA English
WC 357

L4 ANSWER 7 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1994:139325 BIOSIS
DN PREV199497152325
TI **Olanzapine**: Pharmacological characteristics and first clinical experiences.
AU Dittmann, R. W.

CS Med. Dep., Lilly Ger., Saalburgstr. 153, D-6380 Bad Homburg Germany
SO Pharmacopsychiatry, (1993) Vol. 26, No. 5, pp. 147.
Meeting Info.: 18th Symposium of AGNP (Study Group Neuropsychopharmacology and Pharmacopsychiatry) Nuremberg, Germany October 6-9, 1993
ISSN: 0176-3679.
DT Conference
LA English

L4 ANSWER 8 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1994:7091 BIOSIS
DN PREV199497020091
TI Effects of **olanzapine** and other antipsychotics on responding maintained by a conflict schedule.
AU Moore, N. A.; Rees, G.; Sanger, G.; Tye, N. C.
CS Lilly Research Centre, Eli Lilly Co., Windlesham, Surrey GU20 6PH UK
SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 757.
Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience Washington, D.C., USA November 7-12, 1993
ISSN: 0190-5295.
DT Conference
LA English

L4 ANSWER 9 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1994:4914 BIOSIS
DN PREV199497017914
TI A comparison of **olanzapine** and clozapine effects on dopamine neuronal activity: An electrophysiological study.
AU Stockton, M. E.; Rasmussen, K.
CS Lilly Res. Labs, Eli Lilly Co., Indianapolis, IN 46285 USA
SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 383.
Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience Washington, D.C., USA November 7-12, 1993
ISSN: 0190-5295.
DT Conference
LA English

L4 ANSWER 10 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1994:4913 BIOSIS
DN PREV199497017913
TI Effect of **olanzapine** on rat brain receptor binding, acetylcholine levels and monoamine turnover.
AU Hemrick-Luecke, S. K. (1); Bymaster, F. P.; Falcone, J. F.; Moore, N. A.; Tye, N. C.; Fuller, R. W.
CS (1) Lilly Res. Lab., Eli Lilly Co., Lilly Corp. Cent., Indianapolis, IN 46285 USA
SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 383.
Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience Washington, D.C., USA November 7-12, 1993
ISSN: 0190-5295.
DT Conference
LA English

L4 ANSWER 11 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1994:3124 BIOSIS
DN PREV199497016124
TI The pharmacology of some novel 10-substituted derivatives of **olanzapine**.
AU Tupper, D. E. (1); Bymaster, F. P.; Calligaro, D. O.; Fairhurst, J.; Hotten, T. M.; Wong, D. T.
CS (1) Lilly Research Centre Ltd., Eli Lilly Co., Erl Wood Manor, Windlesham Surrey GU20 6PH UK
SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 75.

Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience Washington, D.C., USA November 7-12, 1993
ISSN: 0190-5295.
DT Conference
LA English

L4 ANSWER 12 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1993:145631 BIOSIS
DN PREV199395078431
TI The behavioral pharmacology of **olanzapine**, a novel "atypical" antipsychotic agent.
AU Moore, Nicholas A. (1); Tye, Nicholas C.; Axton, Michele S.; Risius, Francesca C.
CS (1) Lilly Research Centre, Eli Lilly and Co., Erl Wood Manor, Windlesham, Surrey GU20 6PH UK
SO Journal of Pharmacology and Experimental Therapeutics, (1992) Vol. 262, No. 2, pp. 545-551.
ISSN: 0022-3565.
DT Article
LA English

L4 ANSWER 13 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1992:458864 BIOSIS
DN BA94:100264
TI NEUROENDOCRINE EVIDENCE FOR ANTAGONISM OF SEROTONIN AND DOPAMINE RECEPTORS BY **OLANZAPINE** LY170053 AN ANTIPSYCHOTIC DRUG CANDIDATE.
AU FULLER R W; SNODDY H D
CS LILLY RES. LAB., ELI LILLY CO., LILLY CORP. CENT., INDIANAPOLIS, INDIANA 46285, USA.
SO RES COMMUN CHEM PATHOL PHARMACOL, (1992) 77 (1), 87-93.
CODEN: RCOCB8. ISSN: 0034-5164.
FS BA; OLD
LA English

L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2002 ACS
AN 1997:169158 CAPLUS
DN 126:242879
TI **Olanzapine** for the treatment of psychological conditions
IN Beasley, Charles M., Jr.; Chakrabarti, Jiban K.; Hotten, Terrence M.; Tupper, David E.
PA Eli Lilly and Company, USA; Lilly Industries Ltd.
SO U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 44,844, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5605897	A	19970225	US 1995-387498	19950213	
	US 5229382	A	19930720	US 1992-890348	19920522	<--
	US 5817656	A	19981006	US 1996-748293	19961113	
	US 5817657	A	19981006	US 1996-748294	19961113	
PRAI	US 1991-690143		19910423			
	US 1992-890348		19920522			
	US 1993-44844		19930408			
	GB 1990-9229		19900425			
	US 1995-387498		19950213			

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2002 ACS
AN 1992:605003 CAPLUS
DN 117:205003
TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors

by **olanzapine** (LY170053), an antipsychotic drug candidate
AU Fulle, Ray W.; Snoddy, Harold D.
CS Lilly Corporate Cent., Eli Lilly and Co., Indianapolis, IN, 46285, USA
SO Res. Commun. Chem. Pathol. Pharmacol. (**1992**), 77(1), 87-93
CODEN: RCOCB8; ISSN: 0034-5164
DT Journal
LA English

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2002 ACS
AN 1992:584704 CAPLUS
DN 117:184704
TI The behavioral pharmacology of **olanzapine**, a novel "atypical" antipsychotic agent
AU Moore, Nicholas A.; Tye, Nicholas C.; Axton, Michele S.; Risius, Francesca C.
CS Lilly Res. Cent., Eli Lilly and Co., Windlesham/Surrey, UK
SO J. Pharmacol. Exp. Ther. (**1992**), 262(2), 545-51
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English

L4 ANSWER 17 OF 24 DRUGNL COPYRIGHT 2002 IMSWORLD

ACCESSION NUMBER: 93:883 DRUGNL
TITLE: Products Nearing the Market with Lilly
SOURCE: R&D Focus Drug News (**6 Sep 1993**).
WORD COUNT: 379

L4 ANSWER 18 OF 24 DRUGNL COPYRIGHT 2002 IMSWORLD

ACCESSION NUMBER: 92:813 DRUGNL
TITLE: Spotlight on Lilly
SOURCE: R&D Focus Drug News (**7 Sep 1992**).
WORD COUNT: 268

L4 ANSWER 19 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
AN 93355899 EMBASE
DN 1993355899
TI Understanding the mechanism of action of atypical antipsychotic drugs. A review of compounds in use and development.
AU Lieberman J.A.
CS Department of Psychiatry, Hillside Hospital, Div. Long Island Jewish Med. Center, PO Box 38,Glen Oaks, NY 11004, United States
SO British Journal of Psychiatry, (**1993**) 163/DEC. SUPPL. 22 (7-18).
ISSN: 0007-1250 CODEN: BJPYAJ
CY United Kingdom
DT Journal; Conference Article
FS 032 Psychiatry
037 Drug Literature Index
LA English
SL English

L4 ANSWER 20 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
AN 92275156 EMBASE
DN 1992275156
TI The behavioral pharmacology of **olanzapine**, a novel 'atypical' antipsychotic agent.
AU Moore N.A.; Tye N.C.; Axton M.S.; Risius F.C.
CS Eli Lilly and Co., Lilly Research Centre, Erl Wood Manor,Windlesham, Surrey GU20 6PH, United Kingdom
SO Journal of Pharmacology and Experimental Therapeutics, (**1992**) 262/2 (545-551).

ISSN: 0022-3565 CODEN: JPETAB
CY United States
DT Journal; Article
FS 002 Physiology
030 Pharmacology
032 Psychiatry
037 Drug Literature Index
LA English
SL English

L4 ANSWER 21 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
AN 92247078 EMBASE
DN 1992247078
TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors by **olanzapine** (LY170053), an antipsychotic drug candidate.
AU Fuller R.W.; Snoddy H.D.
CS Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly and Company,Indianapolis, IN 46285, United States
SO Research Communications in Chemical Pathology and Pharmacology, (**1992**) 77/1 (87-93).
ISSN: 0034-5164 CODEN: RCOCB8
CY United States
DT Journal; Article
FS 030 Pharmacology
032 Psychiatry
037 Drug Literature Index
LA English
SL English

L4 ANSWER 22 OF 24 SCISEARCH COPYRIGHT 2002 ISI (R)
AN 92:486655 SCISEARCH
GA The Genuine Article (R) Number: JH661
TI THE BEHAVIORAL PHARMACOLOGY OF **OLANZAPINE**, A NOVEL ATYPICAL ANTIPSYCHOTIC AGENT
AU MOORE N A (Reprint); TYE N C; AXTON M S; RISIUS F C
CS ELI LILLY & CO, LILLY RES CTR, ERL WOOD MANOR, WINDLESHAM GU20 GPH, SURREY, ENGLAND (Reprint)
CYA ENGLAND
SO JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, (**AUG 1992**) Vol. 262, No. 2, pp. 545-551.
ISSN: 0022-3565.
DT Article; Journal
FS LIFE
LA ENGLISH
REC Reference Count: 30
ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

L4 ANSWER 23 OF 24 SCISEARCH COPYRIGHT 2002 ISI (R)
AN 92:468997 SCISEARCH
GA The Genuine Article (R) Number: JG220
TI NEUROENDOCRINE EVIDENCE FOR ANTAGONISM OF SEROTONIN AND DOPAMINE-RECEPTORS BY **OLANZAPINE** (LY170053), AN ANTIPSYCHOTIC DRUG CANDIDATE
AU FULLER R W (Reprint); SNODDY H D
CS ELI LILLY & CO, LILLY RES LABS, LILLY CORP CTR, INDIANAPOLIS, IN, 46285 (Reprint)
CYA USA
SO RESEARCH COMMUNICATIONS IN CHEMICAL PATHOLOGY AND PHARMACOLOGY, (**JUL 1992**) Vol. 77, No. 1, pp. 87-93.
ISSN: 0034-5164.
DT Article; Journal
FS LIFE
LA ENGLISH

REC Reference Count: 15
ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

L4 ANSWER 24 OF 24 TOXCENTER COPYRIGHT 2002 ACS
AN 1992:55845 TOXCENTER
DN 92364864 PubMed ID: 1354253
TI The behavioral pharmacology of **olanzapine**, a novel "atypical" antipsychotic agent
AU Moore N A; Tye N C; Axton M S; Risius F C
CS Lilly Research Centre, Eli Lilly and Co., Windlesham, Surrey, United Kingdom
SO JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, (**1992 Aug**) 262 (2) 545-51.
Journal Code: JP3; 0376362. ISSN: 0022-3565.
CY United States
DT Journal; Article; (JOURNAL ARTICLE)
FS MEDLINE
OS MEDLINE 92364864
LA English
ED Entered STN: 20011116
Last Updated on STN: 20011116

=> d l4 kwic 24

L4 ANSWER 24 OF 24 TOXCENTER COPYRIGHT 2002 ACS
TI The behavioral pharmacology of **olanzapine**, a novel "atypical" antipsychotic agent
SO JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, (**1992 Aug**) 262 (2) 545-51.
Journal Code: JP3; 0376362. ISSN: 0022-3565.
AB **Olanzapine** (LY170053, 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5] benzodiazepine) is a novel "atypical" antipsychotic agent with 5-hydroxytryptamine2.dopamine D1/D2 antagonist activity and anticholinergic properties. In behavioral studies, **olanzapine** (1.25-10 mg/kg, p.o.) antagonizes apomorphine-induced climbing behavior in mice, demonstrating that the compound possesses D1/D2 antagonist activity in vivo. **Olanzapine** (0.3-20 mg/kg, p.o.) antagonizes 5-hydroxytryptophan-induced head twitches in mice at doses much lower than those required to block the climbing response, confirming that in vivo, the compound is a more potent 5-hydroxytryptamine2 antagonist than dopamine antagonist. **Olanzapine** (2.5-10 mg/kg, p.o.) also antagonized oxotremorine-induced tremor in mice. In a conditioned avoidance paradigm in rats, **olanzapine** inhibits the avoidance response with an ED50 of 4.7 mg/kg p.o; however, unlike other antipsychotic agents, catalepsy is only observed. . . p.o.). These data would suggest that the compound will be less likely to produce undesirable extrapyramidal symptoms. Unlike "typical" antipsychotics, **olanzapine** (1.25-5 mg/kg p.o.) increases responding during the conflict component of a modified Geller Seifter test, demonstrating that the compound may also possess anxiolytic activity. In another series of experiments, **olanzapine** (1.25 mg/kg, i.p.) produced clozapine-appropriate responding in a drug discrimination model in which animals had been trained to discriminate clozapine (5 mg/kg, i.p.) from vehicle. On the basis of these results, it would therefore be predicted that **olanzapine** will have an atypical profile and will be less likely to induce undesirable extrapyramidal symptoms than currently available drugs.
RN 132539-06-1 (**olanzapine**)
28797-61-7 (Pirenzepine)
58-00-4 (Apomorphine)

=> d His

(FILE 'HOME' ENTERED AT 10:06:09 ON 14 MAY 2002)

FILE 'USPATFULL' ENTERED AT 10:06:42 ON 14 MAY 2002
L1 13 S OLANZAPINE AND DEPRESSANT
L2 0 S L1 AND PD<1995

FILE 'ADISALERTS, ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CANCERLIT, CAPLUS, CEN, DGENE, DRUGB, DRUGLAUNCH, DRUGMONOG2, DRUGNL, DRUGU, EMBAL, EMBASE, ESBIOBASE, IFIPAT, IPA, JICST-EPLUS, KOSMET, LIFESCI, MEDICONF, MEDLINE, NAPRALERT, NLDB, PASCAL, ...' ENTERED AT 10:11:30 ON 14 MAY 2002
L3 14334 S OLANZAPINE
L4 24 S L3 AND PD<1994

=> s l4 and pain
L5 0 L4 AND PAIN

=> s l4 and depressant?
L6 0 L4 AND DEPRESSANT?

=> d l4 1-24

L4 ANSWER 1 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1993:45907 ADISALERTS
DN 800241950
TI **Olanzapine**: pharmacological characteristics and first clinical experiences
AU Dittmann R W
SO Pharmacopsychiatry (**Sep 1, 1993**), Vol. 26, pp. 147
DT (Animal); Abstract
RE Psychotic Disorders (Index only): Alert no. 3, 1994
FS Citation
LA English

L4 ANSWER 2 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1993:44540 ADISALERTS
DN 800215119
TI The disposition of **olanzapine** in healthy volunteers
AU Obermeyer B D; Nyhart Jr E H; Mattiuz E L; et al
SO Pharmacologist (**Jan 1, 1993**), Vol. 35, No. 3, pp. 176
DT (Volunteers); Abstract
RE Psychotic Disorders (Index only): Alert no. 5, 1994
FS Citation
LA English

L4 ANSWER 3 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1993:38216 ADISALERTS
DN 800245800
TI The preclinical pharmacology of **olanzapine** a novel antipsychotic
AU Wong D T; Moore N A; Calligaro D O; et al
SO 9th World Congress of Psychiatry (**Jun 12, 1993**), pp. 190
DT (Animal); Abstract
RE Psychotic Disorders (Index only): Alert no. 7, 1993
FS Citation
LA English

L4 ANSWER 4 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1993:32800 ADISALERTS
DN 800210285
TI The pharmacology of **olanzapine** and other new antipsychotic

agents
AU Moore N A; Calligaro D O; Wong D T; et al
SO Current Opinion in Investigational Drugs (**Apr 1, 1993**), Vol. 2, pp. 281-293
DT General Review
RE Psychotic Disorders (Index only): Alert no. 8, 1993
FS Citation
LA English

L4 ANSWER 5 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1992:32627 ADISALERTS
DN 800155878
TI The behavioral pharmacology of **olanzapine**, a novel atypical antipsychotic agent
ADIS TITLE: **Olanzapine**: pharmacodynamics.; Behavioural pharmacology; Animal study
AU Moore N A; Tye N C; Axton M S; Risius F C
CS Eli Lilly and Co., Windlesham, Surrey, England
SO Journal of Pharmacology and Experimental Therapeutics (**Aug 1, 1992**), Vol. 262, pp. 545-551
DT (Animal)
RE Psychotic Disorders (Summary): Alert no. 10, 1992
FS Summary
LA English
WC 519

L4 ANSWER 6 OF 24 ADISALERTS COPYRIGHT 2002 (ADIS)
AN 1992:1016 ADISALERTS
DN 807001439
TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors by **olanzapine** (LY170053), an antipsychotic drug candidate
ADIS TITLE: **Olanzapine**: pharmacodynamics.; Neuroendocrine effects; Animal study
AU Fuller R W; Snoddy H D
CS Lilly Research Laboratories, Eli Lilly and Co., Indianapolis, Indiana, USA
SO Research Communications in Chemical Pathology and Pharmacology (**Jul 1, 1992**), Vol. 77, pp. 87-93
DT (Animal)
RE Psychotic Disorders (Summary): Alert no. 11, 1992
FS Summary
LA English
WC 357

L4 ANSWER 7 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1994:139325 BIOSIS
DN PREV199497152325
TI **Olanzapine**: Pharmacological characteristics and first clinical experiences.
AU Dittmann, R. W.
CS Med. Dep., Lilly Ger., Saalburgstr. 153, D-6380 Bad Homburg Germany
SO Pharmacopsychiatry, (1993) Vol. 26, No. 5, pp. 147.
Meeting Info.: 18th Symposium of AGNP (Study Group Neuropsychopharmacology and Pharmacopsychiatry) Nuremberg, Germany October 6-9, 1993
ISSN: 0176-3679.
DT Conference
LA English

L4 ANSWER 8 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1994:7091 BIOSIS
DN PREV199497020091
TI Effects of **olanzapine** and other antipsychotics on responding maintained by a conflict schedule.

AU Moore, N. A.; Rees, G.; Sanger, G.; Tye, N. C.
CS Lilly Research Centre, Eli Lilly Co., Windlesham, Surrey GU20 6PH UK
SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 757.
Meeting Info.: 23rd Annual Meeting of the Society for Neuroscience
Washington, D.C., USA November 7-12, 1993
ISSN: 0190-5295.
DT Conference
LA English

L4 ANSWER 9 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1994:4914 BIOSIS
DN PREV199497017914
TI A comparison of **olanzapine** and clozapine effects on dopamine neuronal activity: An electrophysiological study.
AU Stockton, M. E.; Rasmussen, K.
CS Lilly Res. Labs, Eli Lilly Co., Indianapolis, IN 46285 USA
SO Society for Neuroscience Abstracts, (1993) Vol. 19, No. 1-3, pp. 383.
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L4 ANSWER 10 OF 24 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
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CS (1) Lilly Res. Lab., Eli Lilly Co., Lilly Corp. Cent., Indianapolis, IN 46285 USA
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TI The behavioral pharmacology of **olanzapine**, a novel "atypical" antipsychotic agent.
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CS (1) Lilly Research Centre, Eli Lilly and Co., Erl Wood Manor, Windlesham, Surrey GU20 6PH UK
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DT Article
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TI NEUROENDOCRINE EVIDENCE FOR ANTAGONISM OF SEROTONIN AND DOPAMINE RECEPTORS BY **OLANZAPINE** LY170053 AN ANTIPSYCHOTIC DRUG CANDIDATE.
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SO RES COMMUN CHEM PATHOL PHARMACOL, (1992) 77 (1), 87-93.
CODEN: RCOCB8. ISSN: 0034-5164.
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IN Beasley, Charles M., Jr.; Chakrabarti, Jiban K.; Hotten, Terrence M.; Tupper, David E.
PA Eli Lilly and Company, USA; Lilly Industries Ltd.
SO U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 44,844, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5605897	A	19970225	US 1995-387498	19950213	
	US 5229382	A	19930720	US 1992-890348	19920522	<--
	US 5817656	A	19981006	US 1996-748293	19961113	
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PRAI	US 1991-690143		19910423			
	US 1992-890348		19920522			
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DT Journal
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SO J. Pharmacol. Exp. Ther. (**1992**), 262(2), 545-51
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DT Journal
LA English

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ACCESSION NUMBER: 93:883 DRUGNL
TITLE: Products Nearing the Market with Lilly
SOURCE: R&D Focus Drug News (**6 Sep 1993**).
WORD COUNT: 379

L4 ANSWER 18 OF 24 DRUGNL COPYRIGHT 2002 IMSWORLD

ACCESSION NUMBER: 92:813 DRUGNL
TITLE: Spotlight on Lilly
SOURCE: R&D Focus Drug News (**7 Sep 1992**).
WORD COUNT: 268

L4 ANSWER 19 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
AN 93355899 EMBASE
DN 1993355899
TI Understanding the mechanism of action of atypical antipsychotic drugs. A review of compounds in use and development.
AU Lieberman J.A.
CS Department of Psychiatry, Hillside Hospital, Div. Long Island Jewish Med. Center, PO Box 38,Glen Oaks, NY 11004, United States
SO British Journal of Psychiatry, (**1993**) 163/DEC. SUPPL. 22 (7-18).
ISSN: 0007-1250 CODEN: BJPYAJ
CY United Kingdom
DT Journal; Conference Article
FS 032 Psychiatry
037 Drug Literature Index
LA English
SL English

L4 ANSWER 20 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
AN 92275156 EMBASE
DN 1992275156
TI The behavioral pharmacology of **olanzapine**, a novel 'atypical' antipsychotic agent.
AU Moore N.A.; Tye N.C.; Axton M.S.; Risius F.C.
CS Eli Lilly and Co., Lilly Research Centre, Erl Wood Manor,Windlesham, Surrey GU20 6PH, United Kingdom
SO Journal of Pharmacology and Experimental Therapeutics, (**1992**) 262/2 (545-551).
ISSN: 0022-3565 CODEN: JPETAB
CY United States
DT Journal; Article
FS 002 Physiology
030 Pharmacology
032 Psychiatry
037 Drug Literature Index
LA English
SL English

L4 ANSWER 21 OF 24 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
AN 92247078 EMBASE
DN 1992247078

TI Neuroendocrine evidence for antagonism of serotonin and dopamine receptors by **olanzapine** (LY170053), an antipsychotic drug candidate.
AU Fuller R.W.; Snoddy H.D.
CS Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly and Company,Indianapolis, IN 46285, United States
SO Research Communications in Chemical Pathology and Pharmacology, (**1992**) 77/1 (87-93).
ISSN: 0034-5164 CODEN: RCOCB8
CY United States
DT Journal; Article
FS 030 Pharmacology
032 Psychiatry
037 Drug Literature Index
LA English
SL English

L4 ANSWER 22 OF 24 SCISEARCH COPYRIGHT 2002 ISI (R)
AN 92:486655 SCISEARCH
GA The Genuine Article (R) Number: JH661
TI THE BEHAVIORAL PHARMACOLOGY OF **OLANZAPINE**, A NOVEL ATYPICAL ANTIPSYCHOTIC AGENT
AU MOORE N A (Reprint); TYE N C; AXTON M S; RISIUS F C
CS ELI LILLY & CO, LILLY RES CTR, ERL WOOD MANOR, WINDLESHAM GU20 GPH, SURREY, ENGLAND (Reprint)
CYA ENGLAND
SO JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, (**AUG 1992**) Vol. 262, No. 2, pp. 545-551.
ISSN: 0022-3565.
DT Article; Journal
FS LIFE
LA ENGLISH
REC Reference Count: 30
ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

L4 ANSWER 23 OF 24 SCISEARCH COPYRIGHT 2002 ISI (R)
AN 92:468997 SCISEARCH
GA The Genuine Article (R) Number: JG220
TI NEUROENDOCRINE EVIDENCE FOR ANTAGONISM OF SEROTONIN AND DOPAMINE-RECEPTORS BY **OLANZAPINE** (LY170053), AN ANTIPSYCHOTIC DRUG CANDIDATE
AU FULLER R W (Reprint); SNODDY H D
CS ELI LILLY & CO, LILLY RES LABS, LILLY CORP CTR, INDIANAPOLIS, IN, 46285 (Reprint)
CYA USA
SO RESEARCH COMMUNICATIONS IN CHEMICAL PATHOLOGY AND PHARMACOLOGY, (**JUL 1992**) Vol. 77, No. 1, pp. 87-93.
ISSN: 0034-5164.
DT Article; Journal
FS LIFE
LA ENGLISH
REC Reference Count: 15
ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

L4 ANSWER 24 OF 24 TOXCENTER COPYRIGHT 2002 ACS
AN 1992:55845 TOXCENTER
DN 92364864 PubMed ID: 1354253
TI The behavioral pharmacology of **olanzapine**, a novel "atypical" antipsychotic agent
AU Moore N A; Tye N C; Axton M S; Risius F C
CS Lilly Research Centre, Eli Lilly and Co., Windlesham, Surrey, United Kingdom
SO JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS, (**1992 Aug**) 262 (2) 545-51.

Journal Code: JP3; 0376362. ISSN: 0022-3565.
CY United States
DT Journal; Article; (JOURNAL ARTICLE)
FS MEDLINE
OS MEDLINE 92364864
LA English
ED Entered STN: 20011116
Last Updated on STN: 20011116

=> d l4 23 kwic

L4 ANSWER 23 OF 24 SCISEARCH COPYRIGHT 2002 ISI (R)
TI NEUROENDOCRINE EVIDENCE FOR ANTAGONISM OF SEROTONIN AND DOPAMINE-RECEPTORS BY **OLANZAPINE** (LY170053), AN ANTIPSYCHOTIC DRUG CANDIDATE
SO RESEARCH COMMUNICATIONS IN CHEMICAL PATHOLOGY AND PHARMACOLOGY, (**JUL 1992**) Vol. 77, No. 1, pp. 87-93.
ISSN: 0034-5164.
AB **Olanzapine**, 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-B][1,5]benzodiazepine (LY170053), antagonized the quipazine-induced elevation of serum corticosterone concentration in rats with an ED50 value of 0.57 mg/kg i.p.. . . was less potent in antagonizing the pergolide-induced elevation of serum corticosterone concentration in rats, and increases in corticosterone elicited by **olanzapine** alone at higher doses complicated the precise estimate of an ED50 value, which was approximately 3 mg/kg. These relative potencies. . . blocking quipazine and pergolide effects are taken as indices of antagonism of serotonin 5HT2 and of dopamine D2 receptors, respectively. **Olanzapine** is more potent than clozapine in blocking 5HT2 and D2 receptors, and its ability to block these receptors supports its. . .

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	124.18	144.86

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STRUCTURE FILE UPDATES: 12 MAY 2002 HIGHEST RN 414856-11-4
DICTIONARY FILE UPDATES: 12 MAY 2002 HIGHEST RN 414856-11-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

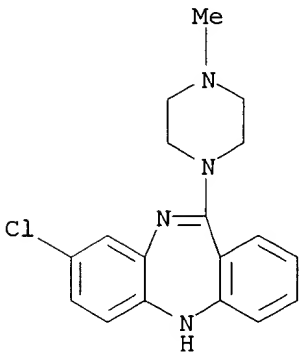
Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s clozapine/cn
L7 1 CLOZAPINE/CN

=> d l7

L7 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN 5786-21-0 REGISTRY
CN 5H-Dibenzo[b,e][1,4]diazepine, 8-chloro-11-(4-methyl-1-piperazinyl)- (7CI, 8CI, 9CI) (CA INDEX NAME)
OTHER NAMES:
CN 8-Chloro-11-(4-methyl-1-piperazinyl)-5H-dibenzo[b,e][1,4]diazepine
CN Asaleptin
CN Azaleptine
CN Clozapin
CN **Clozapine**
CN Clozaril
CN HF 1854
CN Iprox
CN Leponex
FS 3D CONCORD
MF C18 H19 Cl N4
CI COM
LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, EMBASE, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, NIOSHTIC, PHAR, PHARMASEARCH, PROMT, RTECS*, SPECINFO, TOXCENTER, USAN, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2564 REFERENCES IN FILE CA (1967 TO DATE)
33 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
2568 REFERENCES IN FILE CAPLUS (1967 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

CB

L6 ANSWER 4 OF 13 DRUGU COPYRIGHT 1999 DERWENT INFORMATION LTD
AN 94-36074 DRUGU T S
TI Valproic acid treatment of clozapine-induced myoclonus.
AU Meltzer H Y; Ranjan R
LO Cleveland, Ohio, United States
SO Am.J.Psychiatry (151, No. 8, 1246-47, 1994) 4 Ref.
CODEN: AJPSAO ISSN: 0002-953X
AV No Reprint Address.
LA English
DT Journal
FA AB; LA; CT
FS Literature
AB It is reported in a letter that valproic acid was used successfully to treat a case of myoclonic seizures caused by high dose clozapine therapy given for chronic schizophrenia. Treatment with valproic acid permitted the continuation of clozapine therapy at high doses. After introduction of valproic acid there were no seizures and there were improvements in psychological and social function. Concomitant medication included chloral-hydrate, **acetaminophen** (paracetamol) and metronidazole.
Clozapine may cause myoclonus by blockade of serotonin receptors. Valproic acid potentiates serotoninergic activity and this may account for its ability to control myoclonus.

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FILE 'USPATFULL' ENTERED AT 10:06:42 ON 14 MAY 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 9 May 2002 (20020509/PD)
FILE LAST UPDATED: 9 May 2002 (20020509/ED)
HIGHEST GRANTED PATENT NUMBER: US8387446
HIGHEST APPLICATION PUBLICATION NUMBER: US2002056154
CA INDEXING IS CURRENT THROUGH 9 May 2002 (20020509/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 May 2002 (20020509/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2002
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2002

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>>>  published document but also a list of any subsequent              <<<
>>>  publications.  The publication number, patent kind code, and      <<<
>>>  publication date for all the US publications for an invention     <<<
>>>  are displayed in the PI (Patent Information) field of USPATFULL   <<<
>>>  records and may be searched in standard search fields, e.g., /PN, <<<
>>>  /PK, etc.                                                         <<<

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>>>  enter this cluster.                                               <<<
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>>>  classifications, or claims, that may potentially change from      <<<
>>>  the earliest to the latest publication.                           <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s olanzapine and depressant
           140 OLANZAPINE
          5588 DEPRESSANT
L1          13 OLANZAPINE AND DEPRESSANT

=> s l1 and pd<1995
       1890560 PD<1995
                   (PD<19950000)
L2            0 L1 AND PD<1995

=> d l1 1-13

L1   ANSWER 1 OF 13  USPATFULL
AN     2002:88001  USPATFULL
TI     Opioid agonist/opioid antagonist/acetaminophen combinations
IN     Kaiko, Robert F., Weston, CT, United States
       Colucci, Robert D., Newtown, CT, United States
PA     Euro-Celtique, S.A., Luxembourg, LUXEMBOURG (non-U.S. corporation)
PI     US 6375957          B1   20020423
AI     US 2000-503020           20000211 (9)
RLI    Continuation-in-part of Ser. No. US 1998-218662, filed on 22 Dec 1998
PRAI   US 1997-68480P      19971222 (60)
DT     Utility
FS     GRANTED
LN.CNT 2580
INCL   INCLM: 424/400.000
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INCLS: 424/451.000; 424/464.000; 514/812.000
NCL NCLM: 424/400.000
NCLS: 424/451.000; 424/464.000; 514/812.000
IC [7]
ICM: A61K009-48
ICS: A61K009-20
EXF 424/464; 424/451; 424/400; 512/812

L1 ANSWER 2 OF 13 USPATFULL
AN 2002:17328 USPATFULL
TI Dha-pharmaceutical agent conjugates of taxanes
IN Shashoua, Victor, Brookline, MA, UNITED STATES
Swindell, Charles, Merion, PA, UNITED STATES
Webb, Nigel, Bryn Mawr, PA, UNITED STATES
Bradley, Matthews, Layton, PA, UNITED STATES
PI US 2002010208 A1 20020124
AI US 2001-846838 A1 20010501 (9)
RLI Continuation of Ser. No. US 1998-135291, filed on 17 Aug 1998, ABANDONED
Continuation of Ser. No. US 1996-651312, filed on 22 May 1996, GRANTED,
Pat. No. US 5795909
DT Utility
FS APPLICATION
LN.CNT 2437
INCL INCLM: 514/449.000
NCL NCLM: 514/449.000
IC [7]
ICM: A61K031-337
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 3 OF 13 USPATFULL
AN 2001:215087 USPATFULL
TI Treatment of disorders secondary to organic impairments
IN Mueller, Peter Sterling, 182 Snowden La., Princeton, NJ, United States
08540
PI US 6323242 B1 20011127
AI US 1998-204124 19981202 (9)
DT Utility
FS GRANTED
LN.CNT 1080
INCL INCLM: 514/646.000
INCLS: 564/305.000
NCL NCLM: 514/646.000
NCLS: 564/305.000
IC [7]
ICM: A61K031-36
ICS: C07C211-00
EXF 514/646; 564/305
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 4 OF 13 USPATFULL
AN 2001:205909 USPATFULL
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, United States
Mccauley, James, Belle Mead, NJ, United States
PA Merck & Co., Inc. (U.S. corporation)
PI US 2001041702 A1 20011115
AI US 2001-850370 A1 20010507 (9)
RLI Division of Ser. No. US 1999-458168, filed on 9 Dec 1999, GRANTED, Pat.
No. US 6229010
PRAI US 1997-51600P 19970702 (60)
DT Utility
FS APPLICATION

LN.CNT 2079
INCL INCLM: 514/236.200
INCLS: 544/132.000
NCL NCLM: 514/236.200
NCLS: 544/132.000
IC [7]
ICM: A61K031-5377
ICS: C07D413-02
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 5 OF 13 USPATFULL
AN 2001:160986 USPATFULL
TI Use of sulfamate derivatives for treating impulse control disorders
IN McElroy, Susan L., Cincinnati, OH, United States
PI US 2001023254 A1 20010920
US 6323236 B2 20011127
AI US 2000-506991 A1 20000218 (9)
DT Utility
FS APPLICATION
LN.CNT 933
INCL INCLM: 514/439.000
NCL NCLM: 514/439.000
NCLS: 514/455.000; 514/459.000; 514/463.000
IC [7]
ICM: A61K031-385
ICS: A01N043-26
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 6 OF 13 USPATFULL
AN 2001:90260 USPATFULL
TI Fatty acid-pharmaceutical agent conjugates
IN Webb, Nigel L., Bryn Mawr, PA, United States
Bradley, Matthews O., Laytonsville, MD, United States
Swindell, Charles S., Merion, PA, United States
Shashoua, Victor E., Brookline, MA, United States
PI US 2001002404 A1 20010531
AI US 2000-730450 A1 20001205 (9)
RLI Continuation of Ser. No. US 1996-651428, filed on 22 May 1996, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 2511
INCL INCLM: 514/560.000
INCLS: 514/558.000
NCL NCLM: 514/560.000
NCLS: 514/558.000
IC [7]
ICM: A61K031-20
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 7 OF 13 USPATFULL
AN 2001:67821 USPATFULL
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, United States
McCauley, James, Belle Mead, NJ, United States
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI US 6229010 B1 20010508
AI US 1999-458168 19991209 (9)
RLI Division of Ser. No. US 1998-212511, filed on 15 Dec 1998, now patented, Pat. No. US 6096742
PRAI US 1997-51600P 19970702 (60)
DT Utility
FS Granted

LN.CNT 2023
INCL INCLM: 544/132.000
NCL NCLM: 544/132.000
IC [7]
ICM: C07D413-00
EXF 544/132
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 8 OF 13 USPATFULL
AN 2001:52070 USPATFULL
TI Substituted 3-(benzylamino)piperidine derivatives and their use as therapeutic agents
IN Elliott, Jason Matthew, Felsted, United Kingdom
PA Merck Sharp & Dohme Limited, Hoddesdon, United States (non-U.S. corporation)
PI US 6214846 B1 20010410
WO 9900368 19990107
AI US 1999-445664 19991209 (9)
WO 1998-GB1856 19980623
19991209 PCT 371 date
19991209 PCT 102(e) date
PRAI GB 1997-13715 19970627
GB 1997-20998 19971003
DT Utility
FS Granted
LN.CNT 1317
INCL INCLM: 514/331.000
INCLS: 514/314.000; 514/329.000; 546/223.000
NCL NCLM: 514/331.000
NCLS: 514/314.000; 514/329.000; 546/223.000
IC [7]
ICM: C07D211-56
ICS: A61K031-445
EXF 514/314; 514/329; 514/331; 546/223
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 9 OF 13 USPATFULL
AN 2001:33261 USPATFULL
TI Clozapine compositions and uses thereof
IN Bradley, Matthews O., Laytonsville, MD, United States
Shashoua, Victor E., Belmont, MA, United States
Swindell, Charles S., Merion, PA, United States
Webb, Nigel L., Bryn Mawr, PA, United States
PA Protarga, Inc., Conshohocken, PA, United States (U.S. corporation)
PI US 6197764 B1 20010306
AI US 1997-978541 19971126 (8)
DT Utility
FS Granted
LN.CNT 770
INCL INCLM: 514/218.000
INCLS: 514/219.000; 514/220.000
NCL NCLM: 514/218.000
NCLS: 514/219.000; 514/220.000
IC [7]
ICM: A61K031-00
EXF 514/218; 514/219; 514/220
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 10 OF 13 USPATFULL
AN 2000:142390 USPATFULL
TI 1-piperidinyl-propan-2-derivatives and their use as therapeutic agents
IN MacLeod, Angus Murray, Bishops Stortford, United Kingdom

Swain, Christopher John, Duxford, United Kingdom
van Niel, Monique Bodil, Welwyn Garden City, United Kingdom
PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S. corporation)
PI US 6136824 20001024
AI US 2000-511002 20000222 (9)
PRAI GB 1999-4786 19990203
DT Utility
FS Granted
LN.CNT 1626
INCL INCLM: 514/317.000
INCLS: 546/192.000
NCL NCLM: 514/317.000
NCLS: 546/192.000
IC [7]
ICM: A01N043-40
EXF 546/190; 514/317
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 11 OF 13 USPATFULL
AN 2000:98427 USPATFULL
TI Polymorphic form of a tachykinin receptor antagonist
IN Crocker, Louis, Belle Mead, NJ, United States
McCauley, James, Belle Mead, NJ, United States
PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)
PI US 6096742 20000801
AI US 1998-212511 19981215 (9)
RLI Continuation of Ser. No. US 1998-108567, filed on 1 Jul 1998, now abandoned
DT Utility
FS Granted
LN.CNT 2018
INCL INCLM: 514/241.000
INCLS: 544/132.000; 514/236.200
NCL NCLM: 514/241.000
NCLS: 514/236.200; 544/132.000
IC [7]
ICM: A61K031-53
EXF 544/132; 514/236.2
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L1 ANSWER 12 OF 13 USPATFULL
AN 1999:113745 USPATFULL
TI Fatty acid-antipsychotic compositions and uses thereof
IN Bradley, Matthews O., Laytonsville, MD, United States
Shashoua, Victor E., Belmont, MA, United States
Swindell, Charles S., Merion, PA, United States
Webb, Nigel L., Bryn Mawr, PA, United States
PA Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)
PI US 5955459 19990921
AI US 1997-979312 19971126 (8)
DT Utility
FS Granted
LN.CNT 870
INCL INCLM: 514/220.000
INCLS: 514/234.000; 514/255.000; 514/321.000
NCL NCLM: 514/220.000
NCLS: 514/232.800; 514/252.150; 514/255.010; 514/259.400; 514/321.000
IC [6]
ICM: A61R031-395
EXF 514/220; 514/234; 514/255; 514/321

L1 ANSWER 13 OF 13 USPATFULL
AN 1998:98932 USPATFULL
TI DHA-pharmaceutical agent conjugates of taxanes
IN Shashoua, Victor E., Brookline, MA, United States
Swindell, Charles S., Merion, PA, United States
Webb, Nigel L., Bryn Mawr, PA, United States
Bradley, Matthews O., Laytonsville, MD, United States
PA Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)
PI US 5795909 19980818
AI US 1996-651312 19960522 (8)
DT Utility
FS Granted
LN.CNT 2451
INCL INCLM: 514/449.000
INCLS: 514/549.000
NCL NCLM: 514/449.000
NCLS: 514/549.000
IC [6]
ICM: A61K031-335
ICS: A61K031-22
EXF 514/449; 514/549
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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NEWS 7	Mar 22	TOXLIT no longer available
NEWS 8	Mar 22	TRCTHERMO no longer available
NEWS 9	Mar 28	US Provisional Priorities searched with P in CA/CAplus and USPATFULL
NEWS 10	Mar 28	LIPINSKI/CALC added for property searching in REGISTRY
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NEWS 16	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
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FULL ESTIMATED COST	0.21	0.21

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NEWS	10	AUG 27	BIOTECHABS/BIOTECHDS: Two new display fields added for legal status data from INPADOC
NEWS	11	SEP 01	INPADOC: New family current-awareness alert (SDI) available
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NEWS	14	SEP 14	STN Patent Forum to be held October 13, 2004, in Iselin, NJ
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NEWS EXPRESS	JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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FULL ESTIMATED COST	0.21	0.21

FILE 'USPATFULL' ENTERED AT 07:22:07 ON 28 SEP 2004
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 23 Sep 2004 (20040923/PD)

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FILE LAST UPDATED: 23 Sep 2004 (20040923/ED)
HIGHEST GRANTED PATENT NUMBER: US6795973
HIGHEST APPLICATION PUBLICATION NUMBER: US2004187181
CA INDEXING IS CURRENT THROUGH 23 Sep 2004 (20040923/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 23 Sep 2004 (20040923/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2004

>>>  USPAT2 is now available.  USPATFULL contains full text of the     <<<
>>>  original, i.e., the earliest published granted patents or         <<<
>>>  applications.  USPAT2 contains full text of the latest US         <<<
>>>  publications, starting in 2001, for the inventions covered in     <<<
>>>  USPATFULL.  A USPATFULL record contains not only the original     <<<
>>>  published document but also a list of any subsequent              <<<
>>>  publications.  The publication number, patent kind code, and      <<<
>>>  publication date for all the US publications for an invention     <<<
>>>  are displayed in the PI (Patent Information) field of USPATFULL   <<<
>>>  records and may be searched in standard search fields, e.g., /PN, <<<
>>>  /PK, etc.                                                         <<<

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>>>  through the new cluster USPATALL.  Type FILE USPATALL to          <<<
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>>>  Use USPATALL when searching terms such as patent assignees,       <<<
>>>  classifications, or claims, that may potentially change from      <<<
>>>  the earliest to the latest publication.                           <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s fluoxetine and paroxetine and citalopram and sertraline and venlafaxine and
duloxetine
          2250 FLUOXETINE
          1480 PAROXETINE
           975 CITALOPRAM
          1490 SERTRALINE
           893 VENLAFAXINE
           215 DULOXETINE
L1         119 FLUOXETINE AND PAROXETINE AND CITALOPRAM AND SERTRALINE AND
               VENLAFAXINE AND DULOXETINE

=> s l1 and pd<1995
       1890788 PD<1995
                 (PD<19950000)
L2           0 L1 AND PD<1995

=> d 99-119 bib, kwic
L2 HAS NO ANSWERS
L1          119 SEA FILE=USPATFULL ABB=ON  FLUOXETINE AND PAROXETINE AND
                CITALOPRAM AND SERTRALINE AND VENLAFAXINE AND DULOXETINE
L2            0 SEA FILE=USPATFULL ABB=ON  L1 AND PD<1995


=> d l1 99-119 bib, kwic

L1   ANSWER 99 OF 119  USPATFULL on STN
AN     2002:45628  USPATFULL
TI     Pyrrolidine and pyrroline derivatives having effects on serotonin
       related systems
IN     Hertel, Larry Wayne, Indianapolis, IN, United States
       Xu, Yao-Chang, Fishers, IN, United States
PA     Eli Lilly and Company, Indianapolis, IN, United States (U.S.
       corporation)
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PI US 6353008 B1 20020305
WO 2000000196 20000106
AI US 2000-701361 20001128 (9)
WO 1999-US14881 19990629
20001128 PCT 371 date
PRAI US 1998-91204P 19980630 (60)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Fan, Jane
LREP Joyner, Charles T., Lentz, Nelson L.
CLMN Number of Claims: 17
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2949
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . receptor and the serotonin-2.sub.A receptor, and activity as inhibitors of serotonin reuptake. The best-known pharmaceutical with the latter efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized.. . .

DETD The efficacy of the compounds of the invention to inhibit the reuptake of serotonin is determined by a **paroxetine** binding assay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from. . . 37.degree. C. between the second and third washes. The resulting pellet is stored at -70.degree. C. until use. Binding of .sup.3H-**paroxetine** to 5-HT uptake sites is carried out in 2 ml reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples are incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** are used to determine nonspecific binding of .sup.3H-**paroxetine**. After incubation, the tubes are filtered through Whatman GF/B filters, which are soaked in 0.05% polyethylenimine for 1 hour before. . .

DETD . . . in non-human animals is only now beginning, and that some instances of such treatments are coming into use. For example, **fluoxetine**, and perhaps other serotonin reuptake inhibitors, are being used in companion animals such as dogs for the treatment of behavioral. . .

DETD . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . .

DETD . . . dopamine, in the brain of patients to whom the drug combination is administered. Typical and appropriate reuptake inhibitors (SRI) are **fluoxetine**, **duloxetine**, **venlafaxine**, milnacipran, **citalopram**, fluvoxamine and **paroxetine**. Accordingly, the present invention provides a method for potentiating the action of a serotonin reuptake inhibitor, particularly one of the group consisting of **fluoxetine**, **duloxetine**, **venlafaxine**, milnacipran, **citalopram**, fluvoxamine and **paroxetine**, in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering said serotonin reuptake inhibitor in combination. . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No.. . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .

DETD **Fluoxetine** or **duloxetine** are the preferred SRI's in pharmaceutical compositions combining a compound of formula I and an SRI, and the corresponding methods. . .

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the methods disclosed herein include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . .

DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . .

L1 ANSWER 100 OF 119 USPATFULL on STN
AN 2002:22507 USPATFULL
TI Methods of inhibiting platelet activation with selective serotonin reuptake inhibitors
IN Serebruany, Victor L., Ellicott City, MD, UNITED STATES
Gurbel, Paul A., Baltimore, MD, UNITED STATES
O' Connor, Christopher M., Durham, NC, UNITED STATES
PI US 2002013343 A1 20020131

PN US 6552014 B2 20030422
AI US 2001-804689 A1 20010312 (9)
RLI Continuation-in-part of Ser. No. US 1999-312987, filed on 17 May 1999, GRANTED, Pat. No. US 6245782
DT Utility
FS APPLICATION
LREP Antoinette G. Giugliano, HAMILTON, BROOK, SMITH & REYNOLDS, P.C., Two Militia Drive, Lexington, MA, 02421-4799
CLMN Number of Claims: 24
ECL Exemplary Claim: 1
DRWN 7 Drawing Page(s)
LN.CNT 1392
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM . . . serotonin inhibitor or antagonist. In one embodiment, the serotonin inhibitor or antagonist is a selective serotonin reuptake inhibitor (SSRI) (e.g., **sertraline**, fluvoxamine, **paroxetine**, **citalopram**, **fluoxetine**, **venlafaxine**, mirtazapine, buspirone, trazodone, nefazadone, clomipramine, imipramine, nortriptyline, mianserine, **duloxetine**, dapoxetine, litoxetine, femoxetine, lofepramine, tomoxetine or metabolites thereof). The SSRI prevents the reduction of serotonin in blood of the individual.. . .
SUMM . . . amount of at least one serotonin inhibitor or antagonist, wherein the platelet activation state is reduced. A SSRI such as **sertraline**, fluvoxamine, **paroxetine**, **citalopram**, **fluoxetine**, **venlafaxine**, mirtazapine, buspirone, trazodone, nefazadone, clomipramine, imipramine, nortriptyline, mianserine, **duloxetine**, dapoxetine, litoxetine, femoxetine, lofepramine, tomoxetine or metabolites thereof can be administered. Some examples of vascular events, diseases or disorders are. . .
DRWD [0009] FIG. 1 is a graph showing the log fluorescence intensity of GPIIb/IIIa after incubation of whole blood with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or N-desmethylsertraline (NDMS) at 31.1, 64.1, 143.0 ng/ml from a healthy volunteer.
DRWD . . . aggregation induced either by adenosine diphosphate (ADP) or collagen in Platelet Rich Plasma (PRP) from a healthy volunteer incubated with **sertraline** at 18.1, 44.7 or 85.3 ng/ml.
DRWD . . . of platelet aggregation induced either by adenosine diphosphate (ADP) or collagen in whole blood from a healthy volunteer incubated with **sertraline** at 18.1, 44.7 or 85.3 ng/ml.
DRWD [0012] FIG. 4 is a graph showing the percent (%) cell positivity of P-Selectin after incubation of whole blood with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or N-desmethylsertraline (NDMS) at 31.1, 64.1, 143.0 ng/ml from a post-angioplasty patient.
DRWD . . . induced either by adenosine diphosphate (ADP) or collagen in Platelet Rich Plasma (PRP) from a post-coronary angioplasty patient incubated with **sertraline** at 18.1, 44.7 or 85.3 ng/ml.
DRWD [0015] FIG. 7 is a graph showing the log fluorescence intensity of GPIIb/IIIa after incubation of whole blood with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or N-desmethylsertraline (NDMS) at 31.1, 64.1, 143.0 ng/ml from a post-coronary angioplasty patient.
DRWD . . . showing the level of platelet GPIb expression when subjected to a control, 18.1 ng/mL, 44.7 ng/mL or 85.5 ng/mL of **sertraline**, or unstained cells.
DRWD . . . a graph from a flow cytometer showing the level of GPIIb/IIIa expression when subjected to a control, 44.7 ng/mL of **sertraline**, 85.3 ng/mL of **sertraline** or unstained cells.
DRWD . . . a graph from a flow cytometer showing the level of PECAM-1 expression when subjected to a control, 44.7 ng/mL of **sertraline**, 85.3 ng/mL of **sertraline** or unstained cells.
DRWD [0019] FIG. 11 is a graph showing the closure time with a collagen/ADP cartridge after whole blood incubation with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or N-desmethylsertraline (NDMS) at 31.1, 64.1,

143.0 ng/ml from a healthy volunteer.

DETD . . . platelets. The class of drugs referred to as SSRIs also include Serotonin noradrenergic Reuptake Inhibitors (SnaRIs), such as Nefazodone or **Venlafaxine**.

DETD [0029] Examples of SSRIs are **sertraline** (e.g., **sertraline** hydrochloride, marketed under the trademark "Zoloft.RTM." by Pfizer, Inc.) or **sertraline** metabolite, fluvoxamine (e.g., fluvoxamine melate, marketed under the trademark "Luvox.RTM." by Solvay Pharmaceuticals, Inc.), **paroxetine** (e.g., **paroxetine** hydrochloride, marketed under the trademark "Paxil.RTM." by SmithKline Beecham Pharmaceuticals, Inc.), **fluoxetine** (e.g., **fluoxetine** hydrochloride, marketed under the trademark "Prozac.RTM." or "Sarafem.RTM." by Eli Lilly and Company) and **citalopram** (e.g., **citalopram** hydrobromide, marketed under the trademark "Celexa.RTM." by Forest Laboratories, Parke-Davis, Inc.), and metabolites thereof. Additional examples include **venlafaxine** (e.g., **venlafaxine** hydrochloride marketed under the trademark Effexor.RTM. by Wyeth-Ayerst Laboratories), mirtazapine (e.g., marketed under the trademark Remeron.RTM. by Organon, Inc.), buspirone. . . (e.g., Nortriptyline hydrochloride marketed under the trademark Nortrinel.RTM. by Lundbeck), mianserine (e.g., marketed under the trademark Tolvon.RTM. by Organon, Inc.), **duloxetine** (e.g., **duloxetine** hydrochloride marketed by Eli Lilly and Company), dapoxetine (e.g., dapoxetine hydrochloride marketed by ALZA Corporation), litoxetine (e.g., litoxetine hydrochloride marketed. . .

DETD [0031] It is believed that SSRIs inhibit 5-HT (5-hydroxytryptamine), a precursor to serotonin. **Sertraline**'s chemical name is 1S, 4S-N-methyl-4-)3,4 -dichlorophenyl)-1,2,3,4-tetrahydro-1-naphthylamine. Methods of making **sertraline** and its properties are described in U.S. Pat. Nos. 4,536,518; 4,940,731; 4,962,128; 5,130,338 and 5,248,699.

DETD [0032] SSRI metabolites are active in reducing the platelet activation state. **Sertraline**'s major liver metabolite is desmethylsertraline (NDMS), a product of **sertraline** demethylation. NDMS was previously thought to be clinically inactive. Surprisingly, NDMS significantly reduces the platelet activation state of platelets, as well as **sertraline**, and is active. **Sertraline** is 98% protein-bound, and thus may alter serum levels of other highly protein-bound medications, such as warfarin and phenytoin. **Sertraline** is slowly absorbed after oral administration, with peak concentrations achieved approximately 4.5 to 8.5 hours after dosage of 50 to. . .

DETD [0033] The prolonged half-life of the compound in combination with the existence of an inactive metabolite allows rapid equilibration of **sertraline** serum levels within approximately one week, and also results in fairly fast clearance of the medication following discontinuation of therapy. **Sertraline** is specific for the inhibition of serotonin reuptake and less potent for dopamine and norepinephrine blockade in comparison to other SSRI's. The pharmacokinetics and pharmacodynamics of **sertraline** are favorable. Single doses of **sertraline** in volunteers caused changes in the quantitative pharmaco-electroencephalogram suggesting antidepressant and anxiolytic actions, with sedative potential evident only at doses. . .

DETD [0037] Methods of making other SSRIs are also known in the art. Methods of making **paroxetine** and various forms of **paroxetine** are described in the art and in the following U.S. Pat. Nos. 5,872,132, 5,856,493, 5,811,436, 5,672,612, 4,721,723, 5,258,517. Methods and forms for making **fluoxetine** are also known in the art and described in U.S. Pat. Nos. 5,830,500, 5,760,243, 5,747,068, 5,708,035, 5,225,585. WO098/19513, WO98/19512 and WO98/19511 describe methods for preparing **citalopram**.

DETD [0044] In one embodiment, **sertraline**, fluvoxamine,

paroxetine, **citalopram**, **fluoxetine**, **venlafaxine**, mirtazapine, buspirone, trazodone, nefazadone, clomipramine, imipramine, nortriptyline, mianserine, **duloxetine**, dapoxetine, litoxetine, femoxetine, lofepramine, or tomoxetine can be administered orally in an amount between about 2 mg-2500 mg/daily. In particular, **sertraline** can be administered at about 25-200mg/day, fluvoxamine at about 100-300 mg/day, **fluoxetine** at about 20-80mg/day, **paroxetine** at about 20-50 mg/day, and **citalopram** at about 20-40 mg/day.

DETD . . . of time effective to maximally activate the platelets. The sample is then subjected to a SSRI at particular concentrations (e.g., **sertraline** at 18.1, 44.7 or 85.3 ng/ml; NDMS at 31.1, 64.1 or 143 ng./ml). Then one contacts or stains the samples. . .

DETD [0078] Task A: In vitro experiments treating human blood with the optimal therapeutic concentrations of **sertraline** and metabolite were performed. The following groups of patients were studied in vitro using **sertraline** (18.1 ng/ml, 44.7 ng/ml) and N-desmethylsertraline (31.1 ng/ml, 64.1 ng/ml, 143 ng/ml):

DETD [0084] Task B: Dose-dependency of platelet inhibition for mega doses (500 mg, 1 g, and 2 g) of **sertraline** and metabolite were established.

DETD [0085] Task C: Platelet-related effects of **sertraline** and metabolite can be compared with those of the leading anti-platelet agents. A pilot crossover blinded study that assesses ex vivo effects of **sertraline** (50-100-200 mg) versus aspirin, clopidogrel and ticlopidine on platelet function can be conducted.

DETD [0088] The effects of three therapeutic doses of **sertraline** (50-100-200 mg/daily) is compared with aspirin (325 mg/daily), clopidogrel (75 mg/daily), and ticlopidine (150 mg/daily) on platelet activity.

DETD [0092] The population of the study contains 30 healthy subjects during chronic **sertraline**-aspirin, clopidogrel, and ticlopidine administration. Participants are divided in to 3 parallel groups of 10 individuals each.

DETD . . . performed in order to determine possible correlations between them. Such an approach allows us to define relevant anti-platelet properties of **sertraline** and its metabolite when compared with the leading oral anti-platelet agents.

DETD . . . various PAMs were assess from samples of human volunteers. The samples (either PRP or Whole Blood (WB)) were incubated with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or N-desmethylsertraline (NDMS) at 31.1, 64.1, 143.0 ng/ml. Baseline levels of the PAMs were also obtained (without exposure to **sertraline** or NDMS). Several PAMs exhibited a decrease in their expression when exposed to either **sertraline** or its metabolite, NDMS. In particular, many of the PAMs showed a dose dependant response, wherein an increase in the concentration of either **sertraline** or NDMS resulted in a corresponding decrease in the PAM expression. These results are significant because they show that administration. . . Incubation of the Platelet Rich Plasma (Log Fluorescence Intensity) and Whole Blood (% of Cell Positivity for P-selectin) with the Therapeutic Concentrations of **sertraline** and Desmethylsertraline in Healthy, Human Volunteers:

		sertraline (ng/ml)			Desmethyl **sertraline** (ng/ml)	
Receptor	Baseline	18.1	44.7	85.3	31.1	64.2 143
Platelet Rich Plasma						
CD9 (peak)	897	938	777	716	620	805 770
CD9 (mean). . .						

DETD . . . results from Table 1. FIG. 1 shows the GPIIb/IIIa expression

after incubation of whole blood from a healthy volunteer with **sertraline** at 18.1, 44.7 or 85.3 ng/ml; orNDMS at 31.1, 64.1, 143.0 ng/ml. Both **sertraline** and NDMS caused a dose dependent decrease in the expression. The metabolite, NDMS, more effectively decreased the expression of GPIIb/IIIa.

DETD . . . and 3 show the percent of platelet aggregation of whole blood or PRP, respectively, after incubation with particular amounts of **sertraline**. The platelet aggregation was induced with either ADP or collagen. The data illustrate that the amount platelet aggregation decreases with increasing amounts of **sertraline**.

DETD . . . expression of various PAMs in samples from post-angioplasty patients on aspirin. The samples were incubated with a series of concentrations: **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or NDMS at 31.1, 64.1, 143.0 ng/ml . The levels of PAMs were measured. . . Table 2 shows a dose dependant decrease in several PAM levels when the samples are incubated with increasing concentrations of **sertraline** or NDMS. The decrease in expression of several PAMs indicate a significant reduction in the platelet activation state in samples. . . incubation

of the platelet rich plasma (log fluorescence intensity) and whole blood (% of cell positivity for P-selectin) with the therapeutical concentrations of **sertraline** and desmethylsertraline in a post-angioplasty patient on aspirin:

Receptor	Baseline	**sertraline** (ng/ml) 18.1	44.7	85.3	Desmethyl **sertraline** (ng/ml) 31.1	64.2	143
Platelet Rich Plasma							
CD9 (peak)	835	1027	850	964	1046	930	850
CD9 (mean). . .							

DETD [0134] FIGS. 4 and 7 show that P-selectin and GPIIb/IIIa expression in whole blood after incubation with either **sertraline** or NDMS at increasing concentrations resulted in striking decreases in expression. This decrease in expression indicates that the SSRI is. . .

DETD [0135] Similarly, FIGS. 5 and 6 show a decrease in platelet aggregation in PRP after incubation with either **sertraline** or NDMS. The samples were activated with either ADP or collagen, and then incubated with the specified concentrations of **sertraline** or NDMS. These graphs show that less platelets were activated and had the ability to aggregate when exposed to a. . .

DETD . . . and PECAM-1, respectively, and clearly show a dose dependent decrease in the expression of the PAM with increasing amounts of **sertraline**.

DETD [0137] FIG. 11 shows the closure time (the time for a platelet plug to form) when **sertraline** at 18.1, 44.7 or 85.3 ng/ml; or NDMS at 31.1, 64.1, 143.0 ng/ml is incubated with whole blood from a. . . 11 shows a decrease in the time (seconds) for the platelets to form a platelet plug when increasing concentrations of **sertraline** or NDMS.

DETD . . . or its metabolite successfully reduces the platelet activation state and decrease the expression of various PAMs. These data indicate that **sertraline** hydrochloride (Zoloft.RTM.) has direct platelet inhibitory properties in humans. Moreover, N-desmethylsertraline, a stable final metabolite of **sertraline** which was previously considered inactive, surprisingly exhibited potent dose-dependent effects inhibiting human platelets in both platelet rich plasma and in. . .

DETD [0139] **Sertraline** is a universal platelet inhibitor in healthy controls, and patients with coronary artery disease, including those on aspirin:

DETD [0142] C. Incubation of platelets with **sertraline** (plasma

concentration 85.3 ng/ml, which is equivalent to 200 mg/ daily) is associated with diminished surface expression of major receptors. . .

DETD [0143] **Sertraline** affects markers of endothelial and/or platelet activation in patients with depression following myocardial infarction:

DETD [0144] A. Mild, but consistent reduction of the ex vivo PECAM-1 and P-selectin plasma levels after 16 weeks of the **sertraline** /placebo therapy.

DETD [0145] B. Increased magnitude of standard error at 16 weeks of the **sertraline**/placebo therapy may be due to the differences between the treatment groups.

CLM What is claimed is:

. . . prevents the reduction of serotonin in blood of the individual, and the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite, dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . .

. . . prevents the reduction of serotonin in blood of the individual, and the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite, dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . .

. . . SSRI prevents the reduction of serotonin in blood of the individual, the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite, dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . .

. . . prevents the reduction of serotonin in blood of the individual, and the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite, dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . .

. . . an amount sufficient to inhibit or reduce the platelet activation, wherein the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a mianserine metabolite, **duloxetine**, a **duloxetine** metabolite,

dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramine metabolite, tomoxetine, and a. . .

. . . prevents the reduction of serotonin in blood of the individual, and the SSRI is selected from the group consisting of **venlafaxine**, a **venlafaxine** metabolite, mirtazapine, a mirtazapine metabolite, buspirone, a buspirone metabolite, trazodone, a trazodone metabolite, nefazadone, a nefazadone metabolite, clomipramine, a clomipramine metabolite, imipramine, a imipramine metabolite, nortriptyline, a nortriptyline metabolite, mianserine, a miansenine metabolite, **duloxetine**, a **duloxetine** metabolite, dapoxetine, a dapoxetine metabolite, litoxetine, a litoxetine metabolite, femoxetine, a femoxetine metabolite, lofepramine, a lofepramnine metabolite, tomoxetine, and a. . .

L1 ANSWER 101 OF 119 USPATFULL on STN
AN 2002:22476 USPATFULL
TI Antidepressant effect of norepinephrine uptake 2 inhibitors and combined medications including them
IN Schildkraut, Joseph J., Chestnut Hill, MA, UNITED STATES
Mooney, John J., Belmont, MA, UNITED STATES
PI US 2002013312 A1 20020131
US 6403645 B2 20020611
AI US 2001-811235 A1 20010316 (9)
PRAI US 2000-189828P 20000316 (60)
DT Utility
FS APPLICATION
LREP JOHN W. FREEMAN, ESQ., Fish & Richardson P.C., 225 Franklin Street, Boston, MA, 02110-2804
CLMN Number of Claims: 30
ECL Exemplary Claim: 1
DRWN 1 Drawing Page(s)
LN.CNT 371
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM . . . combination is imipramine, desipramine, or reboxetine. Other norepinephrine reuptake inhibitors that can be used include nortriptyline, maprotiline, protriptyline, trimipramine, and **venlafaxine**. Still other candidates include amitriptyline, amoxapine, doxepin, nefazodone, and lamotrigine.
DETD . . . or precursor may be combined with: imipramine, desipramine, or reboxetine. Other norepinephrine reuptake inhibitors are: nortriptyline, maprotiline, protriptyline, trimipramine, and **venlafaxine**. Still other reuptake inhibitors include: amitriptyline, amoxapine, doxepin, nefazodone, and lamotrigine.
DETD . . . be combined with other antidepressants such as monoamine oxidase inhibitors (phenelzine, tranylcypromine, isocarboxazid, selegiline (L-deprenyl)) or selective serotonin reuptake inhibitors (**fluoxetine**, **sertraline**, **paroxetine**, fluvoxamine, and **citalopram**). Other compounds that can be evaluated for use in the invention include: stimulants (e.g., amphetamine) or other drugs that presumably. . . have antidepressant effect such as adinazolam, adrafinil, amineptine, befloxatone, brofaromine, bupropion, captopril (capoten), clomipramine, corticotropin-releasing factor (CRF) antagonists, dothiepin (prothiaden), **duloxetine**, fengabine, flesinoxan, idazoxan, inositol, lofepramine, mianserin (bolvidon, norval), medifoxamine, milnacipran, minaprine, mirtazapine, moclobemide, modafanil, ondansetron (zofran), ProzacII, ritanserine (tisterton), rolipram,. . .
CLM What is claimed is:
6. The method of claim 4, in which the norepinephrine reuptake inhibitor is nortriptyline, maprotiline, protriptyline, trimipramine or **venlafaxine**.

18. The medicament of claim 16 in which the norepinephrine reuptake

inhibitor is nortriptyline, maprotiline, protriptyline, trimipramine or **venlafaxine**.

L1 ANSWER 102 OF 119 USPATFULL on STN
AN 2002:17328 USPATFULL
TI Dha-pharmaceutical agent conjugates of taxanes
IN Shashoua, Victor, Brookline, MA, UNITED STATES
Swindell, Charles, Merion, PA, UNITED STATES
Webb, Nigel, Bryn Mawr, PA, UNITED STATES
Bradley, Matthews, Layton, PA, UNITED STATES
PI US 2002010208 A1 20020124
US 6602902 B2 20030805
AI US 2001-846838 A1 20010501 (9)
RLI Continuation of Ser. No. US 1998-135291, filed on 17 Aug 1998, ABANDONED
Continuation of Ser. No. US 1996-651312, filed on 22 May 1996, GRANTED, Pat. No. US 5795909
DT Utility
FS APPLICATION
LREP Edward R. Gates, Esq., Wolf, Greenfield & Sacks, P.C., 600 Atlantic Avenue, Boston, MA, 02210
CLMN Number of Claims: 19
ECL Exemplary Claim: 1
DRWN 14 Drawing Page(s)
LN.CNT 2437
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD . . . Daledalin Tosylate; Dapoxetine Hydrochloride; Dazadrol Maleate; Dazepinil Hydrochloride; Desiprarnine Hydrochloride; Dexamisole; Deximafen; Dibenzepin Hydrochloride; Dioxadrol Hydrochloride; Dothiepin Hydrochloride; Doxepin Hydrochloride; **Duloxetine** Hydrochloride; Eclanamine Maleate; Encyprate; Etoperidone Hydrochloride; Fantridone Hydrochloride; Fehnmetozole Hydrochloride; Fenmetramide; Fezolamine Fumarate; Fluotracen Hydrochloride; **Fluoxetine**; **Fluoxetine** Hydrochloride; Fluparoxan Hydrochloride; Gamfexine; Guanoxyfen Sulfate; Imafen Hydrochloride; Imiloxan Hydrochloride; Imipramine Hydrochloride; Indeloxazine Hydrochloride; Intriptyline Hydrochloride; Iprindole; Isocarboxazid; Ketipramine Fumarate;. . . Napactadine Hydrochloride; Napamezole Hydrochloride; Nefazodone Hydrochloride; Nisoxetine; Nitrafudam Hydrochloride; Nomifensine Maleate; Nortriptyline Hydrochloride; Octriptyline Phosphate; Opipramol Hydrochloride; Oxaprotiline Hydrochloride; Oxypertine; **Paroxetine**; Phenelzine Sulfate; Pirandamine Hydrochloride; Pizotyline; Pridefine Hydrochloride; Prolintane Hydrochloride; Protriptyline Hydrochloride; Quipazine Maleate; Rolicyprine; Seproxetine Hydrochloride; **Sertraline** Hydrochloride; Sibutramine Hydrochloride; Sulpiride; Suritozole; Tametraline Hydrochloride; Tampramine Fumarate; Tandamine Hydrochloride; Thiazesim Hydrochloride; Thozalinone; Tomoxetine Hydrochloride; Trazodone Hydrochloride; Trebenzomine Hydrochloride; Trimipramine; Trimipramine Maleate; **Venlafaxine** Hydrochloride; Viloxazine Hydrochloride; Zimeldine Hydrochloride; Zometapine.
DETD . . . Agents: Tricyclic anti-depressant drugs (e.g., imipramine, desipramine, amitryptyline, clornipramine, tririprantne, doxepin, nortriptyline, protriptyline, amoxapine and maprotiline); non-tricyclic anti-depressant drugs (e.g., **sertraline**, trazodone and **citalopram**); Ca.sup.++ antagonists (e.g., veraparnil, nifedipine, nitrendipine and caroverine); Calmodulin inhibitors (e.g., prenylamine, trifluoroperazine and clomipramine); Amphotericin B; Triparanol analogues (e.g.,. . .
DETD . . . flecainide; flerobuterol; fleroxacin; flesinoxan; flezelastine; flobufen; flomoxef; florfenicol; florifenine; flosatidil; fluasterone; fluconazole; fludarabine; flumazenil; flumecinol; flumequine; flunarizine; fluocalcitriol; fluorodaunorunicin hydrochloride; **fluoxetine**, R-; **fluoxetine**, S-; fluparoxan;

flupirtine; flurbiprofen axetil; flurithromycin; fluticasone propionate; flutrimazole; fluvastatin; fluvoxamine; forasartan; forfenimex; formestane; fonnoterol; forrnoterol, R,R-; fosfomycin; trometamol; fosinopril;. . . oxodipine; ozagrel; palauamine; palinavir; palmitoylrhizoxin; pamaqueside; parnicogrel; pamidronic acid; panarnesine; panaxytriol; panipenem; panipenum; pannorin; panomifene; pantethine; pantoprazole; parabactin; pamaparin sodium; **paroxetine**; parthenolide; pazelliptine; pazufloxacin; pefloxacin; pegaspargase; peldesine; pemedolac; pemirolast; penciclovir; pentafuside; pentamidine; pentamorphone; pentigetide; pentosan; pentostatin; pentrozole; perflubron; perfosfamide; pergolide; perindoprilat;. . . SarCNU; sarcophytol A sargrarnostim; sarpogrelate; saruplase; saterinone; satigrel; satumomab pendetide; selegiline; selenium thiosemicarbazone; sematilide; semduramicin; semotiadil; semustine; sermorelin; sertaconazole; sertindole; **sertraline**; setiptiline; sevirumab; sevoflurane; sezolamide; silipide; silteplase; simendan; simvastatin; sinitrodil; sinnabidol; sipatrigine; sirolimus; sizofiran; somatomedin B; somatomedin C; somatrem; somatropin; sonermin;. . . trovirdine; tucaresol; tulobuterol; tylogenin; urapidil; uridine triphosphate; valaciclovir; valproate magnesium; valproate semisodium; valsartan; vamicamide; vanadeine; vaninolol; vapreotide; variolin B; velaresol; **venlafaxine**; veramine; verapamil, (S); verdins; veroxan; verteporfin; vesnarinone; vexibinol; vigabatrin; vinburnine citrate; vinburnine resinate; vinconate; vinorelbine; vinpocetine; vinpocetine citrate; vintoperol; vinxaltine;. . .

L1 ANSWER 103 OF 119 USPATFULL on STN
AN 2001:160986 USPATFULL
TI Use of sulfamate derivatives for treating impulse control disorders
IN McElroy, Susan L., Cincinnati, OH, United States
PI US 2001023254 A1 20010920
US 6323236 B2 20011127
AI US 2000-506991 A1 20000218 (9)
DT Utility
FS APPLICATION
LREP FROST BROWN TODD, LLC, 2200 PNC CENTER, 201 E. FIFTH STREET, CINCINNATI, OH, 45202
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 933
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM . . . Daledalin Tosylate; Dapoxetine Hydrochloride; Dazadrol Maleate; Dazepinil Hydrochloride; Desipramine Hydrochloride; Dexamisole; Deximafen; Dibenzepin Hydrochloride; Dioxadrol Hydrochloride; Dothiepin Hydrochloride; Doxepin Hydrochloride; **Duloxetine** Hydrochloride; Eclanamine Maleate; Encyprate; Etoperidone Hydrochloride; Fantridone Hydrochloride; Fehmetozole Hydrochloride; Fenmetramide; Fezolamine Fumarate; Fluotracen Hydrochloride; **Fluoxetine**; **Fluoxetine** Hydrochloride; Fluparoxan Hydrochloride; Gamfexine; Guanoxyfen Sulfate; Imafen Hydrochloride; Imiloxan Hydrochloride; Imipramine Hydrochloride; Indeloxazine Hydrochloride; Intriptyline Hydrochloride; Iprindole; Isocarboxazid; Ketipramine Fumarate;. . . Napactadine Hydrochloride; Napamezole Hydrochloride; Nefazodone Hydrochloride; Nisoxetine; Nitrafudam Hydrochloride; Nomifensine Maleate; Nortriptyline Hydrochloride; Octriptyline Phosphate; Opipramol Hydrochloride; Oxaprotiline Hydrochloride; Oxypertine; **Paroxetine**; Phenelzine Sulfate; Pirandamine Hydrochloride; Pizotyline; Pridefine Hydrochloride; Prolintane Hydrochloride; Protriptyline Hydrochloride; Quipazine Maleate; Rolicyprine; Seproxetine Hydrochloride; **Sertraline** Hydrochloride; Sibutramine Hydrochloride; Sulpiride; Suritozole; Tametraline Hydrochloride; Tampramine Fumarate; Tandamine Hydrochloride; Thiazesim Hydrochloride;

Thozalinone; Tomoxetine Hydrochloride; Trazodone Hydrochloride; Trebenzomine Hydrochloride; Trimipramine; Trimipramine Maleate; **Venlafaxine** Hydrochloride; Viloxazine Hydrochloride; Zimeldine Hydrochloride; Zometapine.

SUMM . . . I. Treatment of Binge Eating (Binge Eating Disorder, Bulimia Nervosa, Anorexia Nervosa with Binge eating) with serotonin re-uptake inhibitors (e.g., **citalopram** (CELEXA), clomipramine (ANAFRANIL)), **fluoxetine** (PROZAC), fluvoxamine (LUVOX), **venlafaxine** (EFFEXOR), other antidepressants (e.g., bupropion (WELLBUTRIN) nefazodone (SERZONE), tricyclics (e.g., NORPRAMIN and PAMELOR), trazodone (DESYREL), Substance P antagonists), psychostimulants, (e.g.,. . .

L1 ANSWER 104 OF 119 USPATFULL on STN
AN 2001:90260 USPATFULL
TI Fatty acid-pharmaceutical agent conjugates
IN Webb, Nigel L., Bryn Mawr, PA, United States
Bradley, Matthews O., Laytonsville, MD, United States
Swindell, Charles S., Merion, PA, United States
Shashoua, Victor E., Brookline, MA, United States
PI US 2001002404 A1 20010531
US 6576636 B2 20030610
AI US 2000-730450 A1 20001205 (9)
RLI Continuation of Ser. No. US 1996-651428, filed on 22 May 1996, ABANDONED
DT Utility
FS APPLICATION
LREP Edward R. Gates, Wolf, Greenfield & Sacks, P.C., 600 Atlantic Avenue, Boston, MA, 02210
CLMN Number of Claims: 12
ECL Exemplary Claim: 1
DRWN 14 Drawing Page(s)
LN.CNT 2511
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD . . . Daledalin Tosylate; Dapoxetine Hydrochloride; Dazadrol Maleate; Dazepinil Hydrochloride; Desipramine Hydrochloride; Dexamisole; Deximafen; Dibenzepin Hydrochloride; Dioxadrol Hydrochloride; Dothiepin Hydrochloride; Doxepin Hydrochloride; **Duloxetine** Hydrochloride; Eclanamine Maleate; Encyprate; Etoperidone Hydrochloride; Fantridone Hydrochloride; Fenmetozole Hydrochloride; Fenmetramide; Fezolamine Fumarate; Fluotracen Hydrochloride; **Fluoxetine**; **Fluoxetine** Hydrochloride; Fluparoxan Hydrochloride; Gamfexine; Guanoxyfen Sulfate; Imafen Hydrochloride; Imiloxan Hydrochloride; Imipramine Hydrochloride; Indeloxazine Hydrochloride; Intriptyline Hydrochloride; Iprindole; Isocarboxazid; Ketipramine Fumarate;. . . Napactadine Hydrochloride; Napamezole Hydrochloride; Nefazodone Hydrochloride; Nisoxetine; Nitrafudam Hydrochloride; Nomifensine Maleate; Nortriptyline Hydrochloride; Octriptyline Phosphate; Opipramol Hydrochloride; Oxaprotiline Hydrochloride; Oxypertine; **Paroxetine**; Phenelzine Sulfate; Pirandamine Hydrochloride; Pizotyline; Pridefine Hydrochloride; Prolintane Hydrochloride; Protriptyline Hydrochloride; Quipazine Maleate; Rolicyprine; Seproxetine Hydrochloride; **Sertraline** Hydrochloride; Sibutramine Hydrochloride; Sulpiride; Suritozole; Tametraline Hydrochloride; Tampramine Fumarate; Tandamine Hydrochloride; Thiazesim Hydrochloride; Thozalinone; Tomoxetine Hydrochloride; Trazodone Hydrochloride; Trebenzomine Hydrochloride; Trimipramine; Trimipramine Maleate; **Venlafaxine** Hydrochloride; Viloxazine Hydrochloride; Zimeldine Hydrochloride; Zometapine.

DETD . . . Agents: Tricyclic anti-depressant drugs (e.g., imipramine, desipramine, amitryptyline, clomipramine, trimipramine, doxepin, nortriptyline, protriptyline, amoxapine and maprotiline); non-tricyclic anti-depressant drugs (e.g., **sertraline**, trazodone and **citalopram**); Ca.sup.++ antagonists (e.g., verapamil, nifedipine, nitrendipine and caroverine); Calmodulin inhibitors (e.g., prenylamine,

trifluoroperazine and clomipramine); Amphotericin B; Triparanol analogues (e.g.,. . .

DETD . . . cicloprolol; cidofovir; cilansetron; cilazapril; cilnidipine; cilobradine; cilostazol; cimetropium bromide; cinitapride; cinolazepam; cioteronel; ciprofibrate; ciprofloxacin; ciprostene; cis-porphyrin; cisapride; cisatracurium besilate; cistinexine; **citalopram**; citicoline; citreamicin alpha; cladribine; clarithromycin; clausenamide; clebopride; clinafloxacin; clobazam; clobetasone butyrate; clodronic acid; clomethiazole; clopidogrel; clotrimazole; colestimide; colfosceril palmitate; collismycin. . . flecainide; flerobuterol; fleroxacin; flesinoxan; flezelastine; flobufen; flomoxef; florfenicol; florifenine; flosatidil; fluasterone; fluconazole; fludarabine; flumazenil; flumecinol; flumequine; flunarizine; fluocalcitriol; fluorodaunorunicin hydrochloride; **fluoxetine**, R-; **fluoxetine**, S-; fluparoxan; flupirtine; flurbiprofen axetil; flurithromycin; fluticasone propionate; flutrimazole; fluvastatin; fluvoxamine; forasartan; forfenimex; formestane; formoterol; formoterol, R,R-; fosfomycin; trometamol; fosinopril;. . . oxodipine; ozagrel; palauamine; palinavir; palmitoylrhizoxin; pamaqueside; pamicogrel; pamidronic acid; panamesine; panaxytriol; panipenem; panipenum; pannorin; panomifene; pantethine; pantoprazole; parabactin; pamaparin sodium; **paroxetine**; parthenolide; pazelliptine; pazufloxacin; pefloxacin; pegaspargase; peldesine; pemedolac; pemirolast; penciclovir; pentafuside; pentamidine; pentamorphone; pentigetide; pentosan; pentostatin; pentrozole; perflubron; perfosfamide; pergolide; perindoprilat;. . . SarCNU; sarcophytol A sargramostim; sarpogrelate; saruplase; saterinone; satigrel; satumomab pendetide; selegiline; selenium thiosemicarbazone; sematilide; semduramicin; semotiadil; semustine; sermorelin; sertaconazole; sertindole; **sertraline**; setiptiline; sevirumab; sevoflurane; sezolamide; silipide; silteplase; simendan; simvastatin; sinitrodil; sinnabidol; sipatrigine; sirolimus; sizofiran; somatomedin B; somatomedin C; somatrem; somatropin; sonermin;. . . trovirdine; tucaresol; tulobuterol; tylogenin; urapidil; uridine triphosphate; valaciclovir; valproate magnesium; valproate semisodium; valsartan; vamicamide; vanadeine; vaninolol; vapreotide; variolin B; velaresol; **venlafaxine**; veramine; verapamil, (S); verdins; veroxan; verteporfin; vesnarinone; vexibinol; vigabatrin; vinbumine citrate; vinbumine resinate: vinconate; vinorelbine; vinpocetine; vinpocetine citrate; vintoperol; vinxaltine;. . .

L1 ANSWER 105 OF 119 USPATFULL on STN
AN 2001:40474 USPATFULL
TI Non-peptidyl vasopressin V1a antagonists
IN Bruns, Jr., Robert F, Carmel, IN, United States
Cooper, Robin DG, Indianapolis, IN, United States
Dressman, Bruce A, Indianapolis, IN, United States
Hunden, David C, Carmel, IN, United States
Kaldor, Stephen W, Indianapolis, IN, United States
Koppel, Gary A, Indianapolis, IN, United States
Rizzo, John R, Indianapolis, IN, United States
Skelton, Jeffrey J, Indianapolis, IN, United States
Steinberg, Mitchell I, Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 6204260 B1 20010320
WO 9730707 19970828
AI US 1999-125737 19990819 (9)
WO 1997-US3039 19970220
19990819 PCT 371 date
19990819 PCT 102(e) date
PRAI GB 1996-5044 19960309
GB 1996-5045 19960309
GB 1996-5046 19960309

US 1996-12149P 19960223 (60)
US 1996-12188P 19960223 (60)
US 1996-12215P 19960223 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: Lambkin, Deborah C.
LREP Titus, Robert D.
CLMN Number of Claims: 12
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3548
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No.. . . compound. Robertson et al., J. Med. Chem., 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . .
DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule;
DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent;
DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen et. . .
DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .
DETD **Sertraline**, (1S-cis)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthylamine hydrochloride, is a serotonin reuptake inhibitor which is marketed as an antidepressant. It is disclosed by U.S. Pat. No.. . .

L1 ANSWER 106 OF 119 USPATFULL on STN
AN 2001:4747 USPATFULL
TI Compounds having effects on serotonin-related systems
IN Audia, James E., Indianapolis, IN, United States
Hibschman, David J., Bargersville, IN, United States
Krushinski, Jr., Joseph H., Indianapolis, IN, United States
Mabry, Thomas E., Indianapolis, IN, United States
Nissen, Jeffrey S., Fishers, IN, United States
Rasmussen, Kurt, Fishers, IN, United States
Rocco, Vincent P., Indianapolis, IN, United States
Schaus, John M., Zionsville, IN, United States
Thompson, Dennis C., Indianapolis, IN, United States
Wong, David T., Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 6172073 B1 20010109
AI US 1998-49837 19980327 (9)
RLI Division of Ser. No. US 1995-467434, filed on 6 Jun 1995, now patented, Pat. No. US 5741789 Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned
DT Patent

FS Granted
EXNAM Primary Examiner: Raymond, Richard L.
LREP Lentz, Nelsen L.
CLMN Number of Claims: 8
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5343
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . the present pharmaceuticals have a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with that efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized.

DETD . . . efficacy of the compounds of Formulae XI and XIII to inhibit the reuptake of serotonin has been determined by a **paroxetine** binding essay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from. . . C. between the second and third washes. The resulting pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-**paroxetine** to 5-HT uptake sites was carried out in 2 m-1-reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples were incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** were used to determine nonspecific binding of .sup.3 H-**paroxetine**. After incubation, the tubes were filtered through Whatman GF/B filters, which were soaked in 0.05% polyethylenimine for 1 hour before. . .

DETD . . . in non-human animals is only now beginning, and that some instances of such treatments are coming into use. For example, **fluoxetine**, and perhaps other serotonin reuptake inhibitors, are being used in companion animals such as dogs for the treatment of behavioral. . .

DETD . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . .

DETD . . . dopamine, in the brain of subjects to whom the drug combination is administered. Typical and appropriate reuptake inhibitors (SRI) are **fluoxetine**, **duloxetine**, **venlafaxine**, **sertraline**, milnacipran, **citalopram**, fluvoxamine and **paroxetine**. Accordingly, the present invention provides a method for potentiating the action of a serotonin reuptake inhibitor,- particularly one of the group consisting of **fluoxetine**, **duloxetine**, **venlafaxine**, milnacipran, **sertraline**, **citalopram**, fluvoxamine and **paroxetine**, in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering said serotonin reuptake inhibitor in combination. . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No.. . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred.

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . .

DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . .

L1 ANSWER 107 OF 119 USPATFULL on STN
AN 2000:153705 USPATFULL
TI Combination therapy for treatment of psychoses
IN Bymaster, Franklin P., Brownsburg, IN, United States
Perry, Kenneth W., Indianapolis, IN, United States
Tollefson, Gary D., Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 6147072 20001114
AI US 1997-935872 19970923 (8)
PRAI US 1996-26884P 19960923 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: Jarvis, William R. A.
LREP Titus, Robert D.

CLMN Number of Claims: 22
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 836
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No.. . . compound. Robertson et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule;

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent;

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen et. . .

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .

DETD **Sertraline**, (1S-cis)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthylamine hydrochloride, is a serotonin reuptake inhibitor which is marketed as an antidepressant. It is disclosed by U.S. Pat. No.. . .

DETD olanzapine/**fluoxetine**

DETD olanzapine/**venlafaxine**

DETD olanzapine/**paroxetine**

DETD olanzapine/**sertraline**

DETD olanzapine/**duloxetine**

DETD clozapine/**fluoxetine**

DETD risperidone/**fluoxetine**

DETD sertindole/**fluoxetine**

DETD quetiapine/**fluoxetine**

DETD ziprasidone/**fluoxetine**

DETD . . . combinations and methods of treatment using olanzapine as the first component are preferred. Furthermore, combinations and methods of treatment using **fluoxetine** as the second component are preferred. Especially preferred are combinations and methods of treatment using olanzapine as the first component and **fluoxetine** as the second component.

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 20 to about 50 mg once/day; preferred, from about 20 to about 30 mg once/day.

DETD **Sertraline**: from about 20 to about 500 mg once/day; preferred, from about 50 to about 200 mg once/day;

DETD . . . are cellulose acetate phthalate, polyvinyl acetate phthalate,

hydroxypropyl methylcellulose phthalate and hydroxypropyl methylcellulose acetate succinate. It is preferred to formulate **duloxetine** and **duloxetine**-containing combinations as enteric compositions, and even more preferred to formulate them as enteric pellets.

DETD A preferred **duloxetine** enteric formulation is a pellet formulation comprising a) a core consisting of **duloxetine** and a pharmaceutically acceptable excipient; b) an optional separating layer; c) an enteric layer comprising hydroxypropylmethylcellulose acetate succinate (HPMCAS) and. . .

DETD

Formulation 1

Hard gelatin capsules are prepared using the following ingredients:

	Quantity (mg/capsule)	
Olanzapine	25	mg
Fluoxetine, racemic, hydrochloride	20	
Starch, dried	150	
Magnesium stearate	10	
Total	210	mg

Formulation 2

A tablet is prepared using the ingredients below:

	Quantity (mg/capsule)	
Olanzapine	10	
Fluoxetine, racemic, hydrochloride	10	
Cellulose, microcrystalline	275	
Silicon dioxide, fumed	10	
Stearic acid	5	
Total	310	mg

The components are blended and compressed to form tablets each weighing 465 mg.

Formulation 3

An aerosol solution is prepared containing the following components:

	Weight	
Risperidone	5	mg
(+)-**Duloxetine**, hydrochloride	10	
Ethanol	25.75	
Propellant 22 (Chlorodifluoromethane)	60.00	
Total	100.75	mg

DETD

Formulation 4

Tablets, each containing 80 mg of active ingredient, are made as follows:

Sertindole	60	mg
(+)-**Duloxetine**, hydrochloride	20	mg
Starch	30	mg
Microcrystalline cellulose	20	mg

Polyvinylpyrrolidone (as 10% solution in water)	4	mg
Sodium carboxymethyl starch	4.5	mg
Magnesium stearate	0.5. . .	

DETD

Formulation 5

Capsules, each containing 130 mg of active ingredient, are made as follows:

Quetiapine	70	mg
Fluoxetine, racemic, hydrochloride	30	mg
Starch	39	mg
Microcrystalline cellulose	39	mg
Magnesium stearate	2	mg
Total	180	mg

DETD

Formulation 6

Suppositories, each containing 45 mg of active ingredient, are made as follows:

Ziprasidone	75	mg
(+)-**Duloxetine**, hydrochloride	5	mg
Saturated fatty acid glycerides	2,000	mg
Total	2,080	mg

DETD

Formulation 7

Suspensions, each containing 70 mg of active ingredient per 5 ml dose, are made as follows:

Olanzapine	20	mg
Sertraline	100	mg
Sodium carboxymethyl cellulose 50 mg		
Syrup	1.25	ml
Benzoic acid solution	0.10	ml
Flavor	q.v.	
Color	q.v.	
Purified water to total	5	ml

DETD

Formulation 8

An intravenous formulation may be prepared as follows:

Olanzapine	20	mg
Paroxetine	25	mg
Isotonic saline	1,000	ml

DETD . . . under chloral hydrate/pentobarbital anesthesia (170 and 36 mg/kg i.p. in 30% propylene glycol, 14% ethanol) (Perry and Fuller, Effect of **fluoxetine** on serotonin and dopamine concentration in rat hypothalamus after administration of **fluoxetine** plus L-5-hydroxytryptophan, Life Sci., 50, 1683-90 (1992)). A David Kopf stereotaxic instrument is used to implant the probe unilaterally in. . .

CLM What is claimed is:

. . . consisting of olanzapine, clozapine, risperidone, sertindole, quetiapine, and ziprasidone; and the second component is selected from

the group consisting of **fluoxetine**, **venlafaxine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, milnacipran and **duloxetine**.

4. A method of claim 1 wherein the second component compound is **fluoxetine**.

8. A method of claim 1 wherein the first component compound is olanzapine and the second component compound is **fluoxetine**.

9. A method of claim 8 wherein the **fluoxetine** is racemic **fluoxetine**.

10. A method of claim 8 wherein the **fluoxetine** is racemic **fluoxetine** hydrochloride.

11. A method of claim 8 wherein the **fluoxetine** is R-**fluoxetine**.

12. A method of claim 8 wherein the **fluoxetine** is R-**fluoxetine** hydrochloride.

L1 ANSWER 108 OF 119 USPATFULL on STN
AN 2000:64869 USPATFULL
TI Potentiation of pharmaceuticals
IN Perry, Kenneth Wayne, Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 6066643 20000523
AI US 1998-169369 19981009 (9)
PRAI US 1997-62282P 19971017 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: Henley, III, Raymond
LREP Scott Alexander McNeil, Demeter, John C.
CLMN Number of Claims: 28
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 615
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM Pharmaceutical agents used in treating depression include amitriptaline, clomipramine, doxepin, imipramine, (+)-trimipramine, amoxapine, desipramine, maprotiline, nortriptyline, protriptyline, (.+-.)-**fluoxetine**, fluvoxamine, **paroxetine**, **sertraline**, (.+-.)-**venlafaxine**, bupropion, nefazodone, and trazodone.
SUMM Pharmaceutical agents used in treating bulimia include amitriptaline, clomipramine, doxepin, imipramine, (+)-trimipramine, amoxapine, desipramine, maprotiline, nortriptyline, protriptyline, (.+-.)-**fluoxetine**, fluvoxamine, **paroxetine**, **sertraline**, and (.+-.)-**venlafaxine**.
SUMM Pharmaceutical agents used in treating premenstrual syndrome include amitriptaline, clomipramine, doxepin, imipramine, (+)-trimipramine, amoxapine, desipramine, maprotiline, nortriptyline, protriptyline, (.+-.)-**fluoxetine**, fluvoxamine, **paroxetine**, **sertraline**, (.+-.)-**venlafaxine**, bupropion, nefazodone, and trazodone.
SUMM Pharmaceutical agents used in treating Obsessive Compulsive Disorder include clomipramine, (.+-.)-**fluoxetine**, fluvoxamine, **paroxetine**, **sertraline**, and (.+-.)-**venlafaxine**
.
SUMM **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as

the racemic mixture of its two enantiomers. U.S. Pat. No.. . .
compound. Robertson et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. (It will be appreciated that in. . . drug is used to signify a chemical compound and its pharmaceutically acceptable salts and enantiomeric forms. For example, the term "**fluoxetine**" will be used to include any acid addition salt, the free base, the racemic mixture and the separate R and. . .

SUMM **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin re-uptake inhibitor. Its pharmacology was disclosed by Christensen et. . .

SUMM **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .

SUMM **Sertraline**, (1S-cis)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthylamine hydrochloride, is a serotonin re-uptake inhibitor which is marketed as an antidepressant. It is disclosed by U.S. Pat. No.. . .

SUMM **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt and the free base of the molecule;

SUMM A **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent; and

SUMM Preferably, the agent is selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

SUMM **Fluoxetine** is a particularly preferred agent in the method according to the invention.

SUMM . . . method for the treatment of depression in a warm blooded mammal requiring treatment, which comprises administering an effective amount of **fluoxetine** and an effective amount of moxonidine.

DETD . . . particular agent selected, and may readily be determined by those skilled in the art, for example, the dose at which **fluoxetine** is administered may typically be in the range of from 10 to 80 mg/day.

DETD The potentiating effect of moxonidine on the a antidepressant action of **fluoxetine** is demonstrated by the following clinical trial.

DETD . . . major depression as defined in the Diagnostic and Statistical Manual of Mental Disorders, Fourth Edition (DSM-IV) are either dosed with **fluoxetine** 20 mg daily and moxonidine 0.2 mg twice daily, increasing after one week to **fluoxetine** 20 mg daily and moxonidine 0.3 mg twice daily, or **fluoxetine** 20 mg daily and placebo twice daily in a double-blind, randomized clinical trial. The time to onset of action, and the percentage of patients responding to **fluoxetine** treatment with and without co-administration of moxonidine is then determined.

DETD Moxonidine, imipramine, **fluoxetine**, and idazoxan (Research Biochemicals International, Massachusetts, USA) were all made up in b-cyclodextrin. All compounds were injected sc in a. . .

DETD TABLE 4

The effect of Moxonidine (0.25-1 mg/kg s.c.) vs.
Fluoxetine (3 mg/kg) on immobility in the FST in mice.
Data are mean time spent immobile in the FST for each. . .

DETD . . . moxonidine enhanced the effects of imipramine, a typical tricyclic antidepressant, but had no effect in combination with a dose

of **fluoxetine**. Considering test's insensitivity to serotonin re-uptake inhibitors, the potentiating effect of moxonidine on serotonin re-uptake inhibitors is not fully demonstrated.. . .

CLM What is claimed is:

2. A pharmaceutical composition, comprising moxonidine, or a pharmaceutically acceptable salt thereof, and an agent selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

3. A pharmaceutical composition, comprising moxonidine, or a pharmaceutically acceptable salt thereof, and **fluoxetine**.

8. A method for treating depression in a warm blooded mammal requiring treatment, which comprises administering an effective amount of **fluoxetine** and an effective amount of moxonidine, or a pharmaceutically acceptable salt thereof.

9. A method of claim 4 in which said agent is selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

10. A method of claim 5 in which said agent is selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

11. A method of claim 6 in which said agent is selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

12. A method of claim 7 in which said agent is selected from **fluoxetine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, tomoxetine, reboxatine, **duloxetine**, **venlafaxine** and milnacipran.

13. A method of claim 9 in which said agent is **fluoxetine**.

14. A method of claim 10 in which said agent is **fluoxetine**.

15. A method of claim 11 in which said agent is **fluoxetine**.

16. A method of claim 12 in which said agent is **fluoxetine**.

L1 ANSWER 109 OF 119 USPATFULL on STN
AN 1999:151262 USPATFULL
TI Use of 5HT4 receptor antagonists for overcoming gastrointestinal effects of serotonin reuptake inhibitors
IN Meulemans, Ann Louise Gabrielle, Mol, Belgium
Bosmans, Jean-Paul Rene Marie Andre, Rijkevorsel, Belgium
PA Janssen Pharmaceutica, N.V., Beerse, Belgium (non-U.S. corporation)
PI US 5990159 19991123
WO 9729739 19970821
AI US 1998-117974 19980811 (9)
WO 1997-EP586 19970207
19980811 PCT 371 date
19980811 PCT 102(e) date
PRAI EP 1996-200380 19960215
DT Utility
FS Granted
EXNAM Primary Examiner: Henley, III, Raymond

LREP Coletti, Ellen Ciambrone
CLMN Number of Claims: 11
ECL Exemplary Claim: 1
DRWN 8 Drawing Figure(s); 8 Drawing Page(s)
LN.CNT 471
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM Selective Serotonin Reuptake Inhibitors are, for instance, fluvoxamine, **fluoxetine**, **paroxetine**, **sertraline**, **citalopram**, **venlafaxine**, ericlamine, **duloxetine**, milnacipran, nefazodone, cyanodothiepin, CGP-6085-A, FG-7080, LY-280253, LY-285974 or RP 68303. (This list is not meant to be exhaustive). An overview. . .
SUMM **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;
SUMM **paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 5 to about 300 mg-once/day.
SUMM **citalopram**/GR 125487;
SUMM **paroxetine**/GR 125487
SUMM **fluoxetine**/GR 125487
SUMM **citalopram**/SB 204070;
SUMM **paroxetine**/SB 204070
SUMM **fluoxetine**/SB 204070
DRWD FIG. 2 Effect of **citalopram** (0.63 mg/kg s.c.) on gastric relaxation induced by changes in pressure in conscious dogs. (n=4)
DRWD FIG. 3 Effect of **paroxetine** (0.63 mg/kg s.c.) on gastric relaxation induced by changes in pressure in conscious dogs. (n=4)
DRWD FIG. 4 Effect of **fluoxetine**(0.63 mg/kg s.c.) on gastric relaxation induced by changes in pressure in conscious dogs. (n=4)
DETD . . . to 5 show an analogous behaviour of the gastric tone when other SSRIs are admininistered. The effect is shown for **citalopram** (FIG. 2), **paroxetine** (FIG. 3), **fluoxetine** (FIG. 4) and CGP-6085-A (FIG. 5).
CLM What is claimed is:
3. The method of claim 1 wherein the selective serotonin reuptake inhibitor is selected from fluvoxamine, **fluoxetine**, **paroxetine**, **sertraline**, **citalopram**, **venlafaxine**, ericlamine, **duloxetine**, milnacipran, nefazodone, cyanodothiepin, CGP-6085-A, FG-7080, LY 280253, LY-285974 or RP 68303.

5. The method of claim 1 wherein the selective serotonin reuptake inhibitor is fluvoxamine, **citalopram**, **paroxetine**, **fluoxetine**, CPG-6085-A.

8. A pharmaceutical composition as claimed in claim 7 wherein the selective serotonin reuptake inhibitor is **fluoxetine**.

L1 ANSWER 110 OF 119 USPATFULL on STN
AN 1999:117001 USPATFULL
TI Potentiation of serotonin response
IN Wong, David T, Idianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 5958429 19990928
WO 9706792 19970227
AI US 1998-11937 19980728 (9)
WO 1996-US13274 19960816
19980728 PCT 371 date
19980728 PCT 102(e) date
DT Utility
FS Granted
EXNAM Primary Examiner: Page, Thurman K.; Assistant Examiner: Ware, T.
LREP Titus, Robert D.

CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1105
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM Perhaps the most dramatic discovery in medicinal chemistry in the recent past is **fluoxetine**, a serotonin reuptake inhibitor, which is extremely effective in the treatment of depression. As a reuptake inhibitor, it increases the. . . uptake carrier. Excessive uptake results in depression, as well as other pathologies of the central nervous system. Not only is **fluoxetine** spectacularly effective in depression, it is also effective in treating numerous other conditions.

SUMM While the primary activity of **fluoxetine** and related drugs is the inhibition of the reuptake of serotonin, the cascade of monoamine processes in the brain connects. . .

SUMM . . . for increasing the availability of serotonin, norepinephrine and dopamine, even compared to the usual increased availability caused by treatment with **fluoxetine** and related drugs which have followed it.

SUMM The invention provides a method for potentiating the action of a first component chosen from the group consisting of **fluoxetine**, **venlafaxine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, milnacipran, and **duloxetine** in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering a first component to a patient. . .

SUMM **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No.. . . compound. Robertson et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . .

SUMM **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

SUMM **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

SUMM **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen et. . .

SUMM **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .

SUMM **Sertraline**, (1S-cis)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthylamine hydrochloride, is a serotonin reuptake inhibitor which is marketed as an antidepressant. It is disclosed by U.S. Pat. No.. . .

SUMM **Duloxetine** and **fluoxetine**, as well as the other first components, are known to increase the availability of serotonin (5-HT), dopamine (DA) and norepinephrine. . .

SUMM **fluoxetine**/pindolol/5-hydroxy-L-tryptophan

SUMM **duloxetine**/pindolol/5-hydroxy-L-tryptophan

SUMM **fluoxetine**/penbutolol/5-hydroxy-L-tryptophan

SUMM **duloxetine**/penbutolol/L-tryptophan

SUMM **fluoxetine**/propranolol/5-hydroxy-L-tryptophan
SUMM **duloxetine**/propranolol/L-tryptophan
SUMM **fluoxetine**/tertatolol/L-tryptophan
SUMM **duloxetine**/tertatolol/5-hydroxy-L-tryptophan
SUMM **fluoxetine**/4-(2-hydroxy-3-cyclohexylaminopropoxy)-indole/L-tryptophan
SUMM **duloxetine**/4-(2-hydroxy-3-cyclohexylaminopropoxy)-indole/5-hydroxy-L-tryptophan
SUMM In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the first component are preferred.
SUMM **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . .
SUMM **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;
SUMM **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;
SUMM **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;
SUMM **Paroxetine**: from about 20 to about 50 mg once/day; preferred, from about 20 to about 30 mg once/day.
SUMM **Sertraline**: from about 20 to about 500 mg once/day; preferred, from about 50 to about 200 mg once/day;
SUMM . . . are cellulose acetate phthalate, polyvinyl acetate phthalate, hydroxypropyl methylcellulose phthalate and hydroxypropyl methylcellulose acetate succinate. It is preferred to formulate **duloxetine** and **duloxetine**-containing combinations as enteric compositions, and even more preferred to formulate them as enteric pellets.
SUMM A preferred **duloxetine** enteric formulation is a pellet formulation comprising a) a core consisting of **duloxetine** and a pharmaceutically acceptable excipient; b) an optional separating layer; c) an enteric layer comprising hydroxypropylmethylcellulose acetate succinate (HPMCAS) and. . .
DETD

10 mg **Duloxetine** base/capsule
Bill of Materials

Beads	
Sucrose - starch nonpareils, 20-25 mesh	60.28 mg
Duloxetine layer	
Duloxetine	11.21
Hydroxypropylmethylcellulose	3.74
Separating layer	
Hydroxypropylmethylcellulose	2.51
Sucrose	5.00
Talc, 500 mesh	10.03
Enteric layer	
HPMCAS, LF grade, Shin-Etsu Chemical Co., Tokyo, Japan	25.05
Triethyl citrate	5.00
Talc, 500 mesh. . .	

DETD The **duloxetine** layer was built up by suspending **duloxetine** in a 4% w/w solution of the hydroxypropylmethylcellulose in water, and milling the suspension with a CoBall Mill (Fryma Mashinen. . .
DETD

	Quantity (mg/capsule)

Fluoxetine, racemic, hydrochloride
20 mg
Pindolol 30
5-Hydroxy-L-tryptophan
50
Starch, dried 150
Magnesium stearate 10
Total 260 mg

DETD

Quantity
(mg/capsule)

Fluoxetine, racemic, hydrochloride
10
(-)-Penbutolol 40
50Hydroxy-L-tryptophan
125
Cellulose, microcrystalline
275
Silicon dioxide, fumed
10
Stearic acid 5
Total 465 mg

DETD

Weight

(+)-**Duloxetine**, hydrochloride
10
Pindolol 10
L-Tryptophan 10
Ethanol 25.75
Propellant 22 (Chlorodifluoromethane)
60.00
Total 115.75

DETD

(+)-**Duloxetine**, hydrochloride
20 mg
(-)-Penbutolol 60 mg
L-Tryptophan 30
Starch 30 mg
Microcrystalline cellulose
20 mg
Polyvinylpyrrolidone (as 10% solution in water)
4 mg
Sodium carboxymethyl starch
. . .

DETD

Fluoxetine, racemic, hydrochloride
30 mg
Propanolol 100 mg
5-Hydroxy-L-tryptophan
40 mg
Starch 39 mg
Microcrystalline cellulose
39 mg
Magnesium stearate 2 mg
Total 250 mg

DETD

(+)-**Duloxetine**, hydrochloride
5 mg

Propanolol	40	mg
L-Tryptophan	200	mg
Saturated fatty acid glycerides	2,000	
Total	2,245	mg

DETD

Fluoxetine, racemic, hydrochloride

	10	mg
Propanolol	60	mg
5-Hydroxy-L-tryptophan	100	mg
Sodium carboxymethyl cellulose	50	mg
Syrup	1.25	ml
Benzoic acid solution	0.10	ml
Flavor	q.v.	
Color	q.v.	
Purified. . .		

DETD

(+)-**Duloxetine**, hydrochloride

	10	mg
Propanolol	20	mg
L-Tryptophan	300	mg
Isotonic saline	1,000	ml

DETD . . . present method of adjunctive therapy include depression, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated. . .

DETD . . . disease. A badly afflicted patient may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . .

DETD Obesity is a frequent condition in the population of developed countries. It has been found that **fluoxetine** will enable an obese patient to lose weight, with the resulting benefit to the patient's circulation and heart condition, as. . .

DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many people who suffer. . .

DETD . . . under chloral hydrate/pentobarbital anesthesia (170 and 36 mg/kg i.p. in 30% propylene glycol, 14% ethanol) (Perry and Fuller, Effect of **fluoxetine** on serotonin and dopamine concentration in rat hypothalamus after administration of **fluoxetine** plus L-5-hydroxytryptophan, Life Sci., 50, 1683-90 (1992)). A David Kopf stereotaxic instrument was used to implant the probe unilaterally in. . .

DETD In this test, the combination therapy comprised **fluoxetine** as the hydrochloride of the racemate, (-)-pindolol, and L-tryptophan. The rats were prepared as described above, and L-tryptophan administered intraperitoneally. . . experiment. Pindolol was administered subcutaneously at 5 mg/kg, at 270 minutes after the start of the experiment. A mixture of **fluoxetine** (10 mg/kg) and pindolol (10 mg/kg) was administered intraperitoneally at 390 minutes after the start of the experiment. L-Tryptophan was. . .

DETD Administration of a mixture of **fluoxetine** and pindolol at 390

minutes followed by the administration of tryptophan 30 minutes later resulted in a remarkable increase in serotonin concentration to nearly 800% of basal levels. The administration of **fluoxetine** and pindolol alone has been reported to increase serotonin levels to 400% of basal levels (Dreshfield, et al., Neurochemical Research,. . .

DETD In this test, the combination therapy comprised **fluoxetine** as the hydrochloride of the racemate, pindolol as the racemate, and L-tryptophan. Pindolol was continuously infused subcutaneously at a rate of 50 mg/kg/hr beginning at 120 minutes after the beginning of the experiment. **Fluoxetine** was administered intraperitoneally at 10 mg/kg, 240 minutes after the start of the experiment. L-Tryptophan was administered intraperitoneally at 100. . .

DETD In this test, the combination therapy comprised flouxetine as the hydrochloride of the racemate and L-tryptophan for purposes of comparison. **Fluoxetine** was administered intraperitoneally at 10 mg/kg, 100 minutes after the start of the experiment. L-Tryptophan was administered intraperitoneally at 100. . .

CLM What is claimed is:

. . . 2. A method of claim 1 for potentiating the action of a first component selected from the group consisting of **fluoxetine**, **venlafaxine**, **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, milnacipran and **duloxetine** in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering a first component to a patient. . .

3. A method of claim 1 wherein the first component compound is **fluoxetine** or **duloxetine**.

9. A composition of claim 8 which comprises a first component selected from the group consisting of **fluoxetine**, **venlafaxine** , **citalopram**, fluvoxamine, **paroxetine**, **sertraline**, milnacipran and **duloxetine** in combination with a second component selected from the group consisting of alprenolol, WAY 100135, WAY 100635, spiperone, pindolol, (S)-UH-301,. . .

11. A composition of claim 8 wherein the first component compound is **fluoxetine** or **duloxetine**.

12. A composition of claim 10 wherein the first component compound is **fluoxetine** or **duloxetine**.

L1 ANSWER 111 OF 119 USPATFULL on STN
AN 1999:102805 USPATFULL
TI Method for treating pain
IN Shannon, Harlan E., Carmel, IN, United States
Womer, Daniel E., Thornton, CO, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 5945416 19990831
AI US 1997-823461 19970324 (8)
PRAI US 1996-14130P 19960325 (60)
US 1996-14132P 19960325 (60)
US 1996-14128P 19960325 (60)
US 1996-14129P 19960325 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: Criares, Theodore J.
LREP Palmberg, Arleen, Vorndram-Jones, Macharri
CLMN Number of Claims: 44
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 738
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . tricyclic antidepressants (for example desipramine, imipramine, amytriptiline, nortriptiline), anticonvulsants (for example, carbamazepine, gatapentine, valproate), and serotonin reuptake inhibitors (for example, **fluoxetine**, **paroxetine**, **citalopram**, **sertraline**), mixed serotonin-norepinephrine reuptake inhibitors (for example **venlafaxine**, **duloxetine**), serotonin receptor agonists and antagonists, cholinergic (muscarinic and nicotinic) analgesics, and neurokinin antagonists.

CLM What is claimed is:

. . . tricyclic antidepressants (for example desipramine, imipramine, amytriptiline, nortriptiline), anticonvulsants (for example, carbamazepine, gatapentine, valproate), and serotonin reuptake inhibitors (for example, **fluoxetine**, **paroxetine**, **citalopram**, **sertraline**), mixed serotonin-norepinephrine reuptake inhibitors (for example **venlafaxine**, **duloxetine**), serotonin receptor agonists and antagonists, cholinergic (muscarinic and nicotinic) analgesics, and neurokinin antagonists.

L1 ANSWER 112 OF 119 USPATFULL on STN
AN 1999:99678 USPATFULL
TI Method for treating pain
IN Panetta, Jill Ann, Zionsville, IN, United States
Shannon, Harlan Edgar, Carmel, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 5942530 19990824
AI US 1998-138495 19980824 (9)
PRAI US 1997-57389P 19970828 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: Jarvis, William R. A.
LREP Lentz, Nelsen L., Palmberg, Arleen
CLMN Number of Claims: 38
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3423
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM . . . tricyclic antidepressants (for example desipramine, imipramine, amytriptiline, nortriptiline), anticonvulsants (for example, carbamazepine, gatapentine, valproate), and serotonin reuptake inhibitors (for example, **fluoxetine**, **paroxetine**, **citalopram**, **sertraline**), mixed serotonin-norepinephrine reuptake inhibitors (for example **venlafaxine**, **duloxetine**), serotonin receptor agonists and antagonists, cholinergic (muscarinic and nicotinic) analgesics, and neurokinin antagonists.

L1 ANSWER 113 OF 119 USPATFULL on STN
AN 1999:67275 USPATFULL
TI Compounds having effects on serotonin-related systems
IN Koch, Daniel James, Indianapolis, IN, United States
Rocco, Vincent Patrick, Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 5912256 19990615
AI US 1997-861445 19970522 (8)
PRAI US 1996-20131P 19960620 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: Bernhardt, Emily
LREP Lentz, Nelsen L., Palmberg, Arleen

CLMN Number of Claims: 5
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1324
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . 1.sub.A receptor and a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with the latter efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized.. . .

DETD The efficacy of the compounds of the invention to inhibit the reuptake of serotonin has been determined by a **paroxetine** binding assay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from. . . C. between the second and third washes. The resulting pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-**paroxetine** to 5-HT uptake sites was carried out in 2 ml reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples were incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** were used to determine nonspecific binding of .sup.3 H-**paroxetine**. After incubation, the tubes were filtered through Whatman GF/B filters, which were soaked in 0.05% polyethyleneimine for 1 hour before. . .

DETD . . . in non-human animals is only now beginning, and that some instances of such treatments are coming into use. For example, **fluoxetine**, and perhaps other serotonin reuptake inhibitors, are being used in companion animals such as dogs for the treatment of behavioral. . .

DETD . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No.. . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl) -1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen,. . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred.

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . .

DETD . . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . .

L1 ANSWER 114 OF 119 USPATFULL on STN
AN 1998:98932 USPATFULL
TI DHA-pharmaceutical agent conjugates of taxanes
IN Shashoua, Victor E., Brookline, MA, United States
Swindell, Charles S., Merion, PA, United States
Webb, Nigel L., Bryn Mawr, PA, United States
Bradley, Matthews O., Laytonsville, MD, United States
PA Neuromedica, Inc., Conshohocken, PA, United States (U.S. corporation)
PI US 5795909 19980818
AI US 1996-651312 19960522 (8)
DT Utility
FS Granted
EXNAM Primary Examiner: Jarvis, William R. A.
LREP Wolf, Greenfield & Sacks, P.C.
CLMN Number of Claims: 12
ECL Exemplary Claim: 1
DRWN 27 Drawing Figure(s); 14 Drawing Page(s)
LN.CNT 2451
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . Daledalin Tosylate; Dapoxetine Hydrochloride; Dazadrol Maleate; Dazepinil Hydrochloride; Desipramine Hydrochloride; Dexamisole; Deximafen; Dibenzepin Hydrochloride; Dioxadrol Hydrochloride; Dothiepin Hydrochloride; Doxepin Hydrochloride; **Duloxetine** Hydrochloride; Eclanamine Maleate; Encyprate; Etoperidone Hydrochloride; Fantridone Hydrochloride; Fehmetozole Hydrochloride; Fenmetramide; Fezolamine Fumarate; Fluotracen Hydrochloride; **Fluoxetine**; **Fluoxetine** Hydrochloride; Fluparoxan Hydrochloride; Gamfexine; Guanoxyfen Sulfate; Imafen Hydrochloride; Imiloxan Hydrochloride; Imipramine Hydrochloride; Indeloxazine Hydrochloride; Intriptyline Hydrochloride; Iprindole; Isocarboxazid; Ketipramine Fumarate;

DETD . . . Napactadine Hydrochloride; Napamezole Hydrochloride; Nefazodone Hydrochloride; Nisoxetine; Nitrafudam Hydrochloride; Nomifensine Maleate; Nortriptyline Hydrochloride; Octriptyline Phosphate; Opipramol Hydrochloride; Oxaprotiline Hydrochloride; Oxypertine; **Paroxetine**; Phenelzine Sulfate; Pirandamine Hydrochloride; Pizotyline; Pridefine Hydrochloride; Prolintane Hydrochloride; Protriptyline Hydrochloride; Quipazine Maleate; Rolicyprine; Seproxetine Hydrochloride; **Sertraline** Hydrochloride; Sibutramine Hydrochloride; Sulpiride; Suritozole; Tametraline Hydrochloride; Tampramine Fumarate; Tandamine Hydrochloride; Thiazesim Hydrochloride; Thozalinone; Tomoxetine Hydrochloride; Trazodone Hydrochloride; Trebenzomine Hydrochloride; Trimipramine; Trimipramine Maleate; **Venlafaxine** Hydrochloride; Viloxazine Hydrochloride; Zimeldine Hydrochloride; Zometapine.

DETD . . . Agents: Tricyclic anti-depressant drugs (e.g., imipramine, desipramine, amitryptyline, clomiprainine, trimipramine, doxepin, nortriptyline, protriptyline, amoxapine and maprotiline); non-tricyclic anti-depressant drugs (e.g., **sertraline**, trazodone and **citalopram**); Ca.sup.++ antagonists (e.g., verapamil, nifedipine, nitrendipine and caroverine); Calmodulin inhibitors (e.g., prenylamine, trifluoroperazine and clomipramine); Amphotericin B; Triparanol analogues (e.g.,. . .

DETD . . . cicloprolol; cidofovir; cilansetron; cilazapril; cilnidipine; cilobradine; cilostazol; cimetropium bromide; cinitapride; cinolazepam; cioteronel; ciprofibrate; ciprofloxacin; ciprostene; cis-porphyrin; cisapride; cisatracurium besilate; cistinexine; **citalopram**; citicoline; citreamicin alpha; cladribine; clarithromycin; clausenamide; clebopride; clinafloxacin; clobazam; clobetasone butyrate; clodronic acid; clomethiazole; clopidogrel; clotrimazole; colestimide; colfosceril palmitate; collismycin. . . flecainide; flerobuterol; fleroxacin; flesinoxan; flezelastine; flobufen; flomoxef; florfenicol; florifenine; flosatidil; fluasterone; fluconazole; fludarabine; flumazenil; flumecinol; flumequine; flunarizine; fluocalcitriol; fluorodaunorunicin hydrochloride; **fluoxetine**, R-; **fluoxetine**, S-; fluparoxan; flupirtine; flurbiprofen axetil; flurithromycin; fluticasone propionate; flutrimazole; fluvastatin; fluvoxamine; forasartan; forfenimex; formestane; formoterol; formoterol, R,R-; fosfomycin; trometamol; fosinopril;. . . oxodipine; ozagrel; palauamine; palinavir; palmitoylrhizoxin; pamaqueside; pamicogrel; pamidronic acid; panamesine; panaxytriol; panipenem; panipenum; pannorin; panomifene; pantethine; pantoprazole; parabactin; pamaparin sodium; **paroxetine**; parthenolide; pazelliptine; pazufloxacin; pefloxacin; pegaspargase; peldesine; pemedolac; pemirolast; penciclovir; pentafuside; pentamidine; pentamorphone; pentigetide; pentosan; pentostatin; pentrozole; perflubron; perfosfamide; pergolide; perindoprilat;. . . SarCNU; sarcophytol A sargramostim; sarpogrelate; saruplase; saterinone; satigrel; satumomab pendetide; selegiline; selenium thiosemicarbazone; sematilide; semduramicin; semotiadil; semustine; sermorelin; sertaconazole; sertindole; **sertraline**; setiptiline; sevirumab; sevoflurane; sezolamide; silipide; silteplase; simendan; simvastatin; sinitrodil; sinnabidol; sipatrigine; sirolimus; sizofiran; somatomedin B; somatomedin C; somatrem; somatropin; sonermin;. . . trovirdine; tucaresol; tulobuterol; tylogenin; urapidil; uridine triphosphate; valaciclovir; valproate magnesium; valproate semisodium; valsartan; vamicamide; vanadeine; vaninolol; vapreotide; variolin B; velaresol; **venlafaxine**; veramine; verapamil, (S); verdins; veroxan; verteporfin; vesnarinone; vexibinol; vigabatrin; vinbumine citrate; vinburnine resinate; vinconate; vinorelbine; vinpocetine; vinpocetine citrate; vintoperol; vinxaltine;. . .

L1 ANSWER 115 OF 119 USPATFULL on STN
AN 1998:92024 USPATFULL
TI Compounds having effects on serotonin-related systems

IN Audia, James E., Indianapolis, IN, United States
Hibschman, David J., Bargersville, IN, United States
Krushinski, Jr., Joseph H., Indianapolis, IN, United States
Mabry, Thomas E., Indianapolis, IN, United States
Nissen, Jeffrey S., Fishers, IN, United States
Rasmussen, Kurt, Fishers, IN, United States
Rocco, Vincent P., Indianapolis, IN, United States
Schaus, John M., Zionsville, IN, United States
Thompson, Dennis C., Indianapolis, IN, United States
Wong, David T., Indianapolis, IN, United States
PA Eli Lilly Company, Indianapolis, IN, United States (U.S. corporation)
PI US 5789402 19980804
AI US 1995-471121 19950606 (8)
RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Berch, Mark L.; Assistant Examiner: Kifle, Bruck
LREP Palmberg, Arleen, Boone, David E.
CLMN Number of Claims: 21
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5961
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM . . . the present pharmaceuticals have a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with that efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized.. . .
DETD . . . efficacy of the compounds of Formulae XI and XIII to inhibit the reuptake of serotonin has been determined by a **paroxetine** binding essay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from. . . C. between the second and third washes. The resulting pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-**paroxetine** to 5-HT uptake sites was carried out in 2 ml reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples were incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** were used to determine nonspecific binding of .sup.3 H-**paroxetine**. After incubation, the tubes were filtered through Whatman GF/B filters, which were soaked in 0.05% polyethylenimine for 1 hour before. . .
DETD . . . in non-human animals is only now beginning, and that some instances of such treatments are coming into use. For example, **fluoxetine**, and perhaps other serotonin reuptake inhibitors, are being used in companion animals such as dogs for the treatment of behavioral. . .
DETD . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . .
DETD . . . dopamine, in the brain of subjects to whom the drug combination is administered. Typical and appropriate reuptake inhibitors (SRI) are **fluoxetine**, **duloxetine**, **venlafaxine**, **sertraline**, milnacipran, **citalopram**, fluvoxamine and **paroxetine**. Accordingly, the present invention provides a method for potentiating the action of a serotonin reuptake inhibitor, particularly one of the group consisting of **fluoxetine**, **duloxetine**, **venlafaxine**, milnacipran, **sertraline**, **citalopram**, fluvoxamine and **paroxetine**, in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering said

serotonin reuptake inhibitor in combination. . .

DETD **Fluoxetine**, N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine, is marketed in the hydrochloride salt form, and as the racemic mixture of its two enantiomers. U.S. Pat. No.. . . compound. Robertson, et al., J. Med. Chem. 31, 1412 (1988), taught the separation of the R and S enantiomers of **fluoxetine** and showed that their activity as serotonin uptake inhibitors is similar to each other. In this document, the word "**fluoxetine**" will be used to mean any acid addition salt or the free base, and to include either the racemic mixture. . .

DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred.

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

DETD . . . disease. A badly afflicted subject may be unable to do anything but carry out the rituals required by the disease. **Fluoxetine** is approved in the United States and other countries for the treatment of obsessive-compulsive disease and has been found to. . .

DETD Obesity is a frequent condition in the American population. It has been found that **fluoxetine** will enable an obese subject to lose weight, with the resulting benefit to the circulation and heart condition, as well. . . its root cause is the inability of the sphincter muscles to keep control, or the overactivity of the bladder muscles. **Duloxetine** controls both types of incontinence, or both types at once, and so is important to the many who suffer from. . .

L1 ANSWER 116 OF 119 USPATFULL on STN
AN 1998:42357 USPATFULL
TI Compounds having effects on serotonin-related systems
IN Hibschman, David J., Bargersville, IN, United States
Krushinski, Jr., Joseph H., Indianapolis, IN, United States
Rasmussen, Kurt, Fishers, IN, United States
Rocco, Vincent P., Indianapolis, IN, United States
Schaus, John M., Zionsville, IN, United States
Thompson, Dennis C., Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 5741789 19980421
AI US 1995-467434 19950606 (8)
RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Kifle, Bruck
LREP Palmberg, Arleen, Boone, David E.
CLMN Number of Claims: 20
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5902
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM . . . the present pharmaceuticals have a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with that efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized.. . .
DETD . . . efficacy of the compounds of Formulae XI and XIII to inhibit the reuptake of serotonin has been determined by a **paroxetine** binding essay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from. . . C. between the second and third washes. The resulting pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-**paroxetine** to 5-HT uptake sites was carried out in 2 ml reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples were incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** were used to determine nonspecific binding of .sup.3 H-**paroxetine**. After incubation, the tubes were filtered through Whatman GF/B filters, which were soaked in 0.05% polyethylenimine for 1 hour before. . .
DETD . . . in non-human animals is only now beginning, and that some instances of such treatments are coming into use. For example, **fluoxetine**, and perhaps other serotonin reuptake inhibitors, are being used in companion animals such as dogs for the treatment of behavioral. . .
DETD . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . .
DETD . . . dopamine, in the brain of subjects to whom the drug combination is administered. Typical and appropriate reuptake inhibitors (SRI) are **fluoxetine**, **duloxetine**, **venlafaxine**, **sertraline**, milnacipran, **citalopram**, fluvoxamine and **paroxetine**. Accordingly, the present invention provides a method for potentiating the action of a serotonin reuptake inhibitor, particularly one of the group consisting of **fluoxetine**, **duloxetine**, **venlafaxine**, milnacipran, **sertraline**, **citalopram**, fluvoxamine and **paroxetine**, in increasing the availability of serotonin,

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DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .

DETD In general, combinations and methods of treatment using **fluoxetine** or **duloxetine** as the SRI are preferred.

DETD **Fluoxetine**: from about 1 to about 80 mg, once/day; preferred, from about 10 to about 40 mg once/day; preferred for bulimia. . .

DETD **Duloxetine**: from about 1 to about 30 mg once/day; preferred, from about 5 to about 20 mg once/day;

DETD **venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

DETD . . . live in misery and partial or complete uselessness, and afflict their families as well by their affliction. The introduction of **fluoxetine** was a breakthrough in the treatment of depression, and depressives are now much more likely to be diagnosed and treated than they were only a decade ago. **Duloxetine** is in clinical trials for the treatment of depression and is likely to become a marketed drug for the purpose.

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once, and so is important to the many who suffer from. . .

L1 ANSWER 117 OF 119 USPATFULL on STN
AN 97:38539 USPATFULL
TI Compounds having effects on serotonin-related systems
IN Audia, James E., Indianapolis, IN, United States
Hibschman, David J., Bargersville, IN, United States
Krushinski, Jr., Joseph H., Indianapolis, IN, United States
Mabry, Thomas E., Indianapolis, IN, United States
Nissen, Jeffrey S., Fishers, IN, United States
Rasmussen, Kurt, Fishers, IN, United States
Rocco, Vincent P., Indianapolis, IN, United States
Schaus, John M., Zionsville, IN, United States
Thompson, Dennis C., Indianapolis, IN, United States
Wong, David T., Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 5627196 19970506
AI US 1995-468948 19950606 (8)
RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Bottino, Anthony
LREP Jones, Joseph A., Boone, David E.
CLMN Number of Claims: 56
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5947
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM . . . the present pharmaceuticals have a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with that efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized.. . .
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for potentiating the action of a serotonin reuptake inhibitor, particularly one of the group consisting of **fluoxetine**, **duloxetine**, **venlafaxine**, milnacipran, **sertraline**, **citalopram**, fluvoxamine and **paroxetine**, in increasing the availability of serotonin, norepinephrine and dopamine in the brain, comprising administering said serotonin reuptake inhibitor in combination. . .

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DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

DETD **Paroxetine**, trans-(-)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine, may be found in U.S. Pat. Nos. 3,912,743 and 4,007,196. Reports of the drug's activity are in Lassen, Eur.. . .

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DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

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L1 ANSWER 118 OF 119 USPATFULL on STN
AN 97:25037 USPATFULL
TI Compounds having effects on serotonin-related systems
IN Audia, James E., Indianapolis, IN, United States
Krushinski, Jr., Joseph H., Indianapolis, IN, United States
Rasmussen, Kurt, Fishers, IN, United States
Rocco, Vincent P., Indianapolis, IN, United States
Schaus, John M., Zionsville, IN, United States
Thompson, Dennis C., Indianapolis, IN, United States
Wong, David T., Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 5614523 19970325
AI US 1995-470512 19950606 (8)
RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Bottino, Anthony
LREP Jones, Joseph A., Boone, David E.
CLMN Number of Claims: 19
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5755
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . the present pharmaceuticals have a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with that efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized.. . .

DETD . . . efficacy of the compounds of Formulae XI and XIII to inhibit the reuptake of serotonin has been determined by a **paroxetine** binding essay, the usefulness of which is set out by Wong, et al., Neuropsychopharmacology, 8, 23-33 (1993). Synaptosomal preparations from. . . C. between the second and third washes. The resulting pellet was stored at -70.degree. C. until use. Binding of .sup.3 H-**paroxetine** to 5-HT uptake sites was carried out in 2 ml reaction medium containing the appropriate drug concentration, 0.1 nM .sup.3 H-**paroxetine**, and the cerebral cortical membrane (50 .mu.g protein/tube). Samples were incubated at 37.degree. C. for 30 minutes; those containing 1 .mu.M **fluoxetine** were used to determine nonspecific binding of .sup.3 H-**paroxetine**. After incubation, the tubes were filtered through Whatman GF/B filters, which were soaked in 0.05% polyethylenimine for 1 hour before. . .

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DETD . . . administration of drugs which inhibit the reuptake of serotonin. The treatment of depression with drugs of the class of which **fluoxetine** is the leader has become perhaps the greatest medical breakthrough of the past decade. Numerous other treatment methods carried out. . .

DETD . . . dopamine, in the brain of subjects to whom the drug combination is administered. Typical and appropriate reuptake inhibitors (SRI) are **fluoxetine**, **duloxetine**, **venlafaxine**,

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DETD **Duloxetine**, N-methyl-3-(1-naphthalenyloxy)-3-(2-thienyl)propanamine, is usually administered as the hydrochloride salt and as the (+) enantiomer. It was first taught by U.S. Pat. No. 4,956,388, which shows its high potency. The word "**duloxetine**" will be used here to refer to any acid addition salt or the free base of the molecule.

DETD **Venlafaxine** is known in the literature, and its method of synthesis and its activity as an inhibitor of serotonin and norepinephrine uptake are taught by U.S. Pat. No. 4,761,501. **Venlafaxine** is identified as compound A in that patent.

DETD **Citalopram**, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile, is disclosed in U.S. Pat. No. 4,136,193 as a serotonin reuptake inhibitor. Its pharmacology was disclosed by Christensen, et. . .

DETD **Sertraline**, 1-(3,4-dichlorophenyl)-4-methylaminotetralin, is disclosed in U.S. Pat. No. 4,536,518.

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DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

DETD . . . the present treatment methods include depression, bulimia, obsessive-compulsive disease and obesity. Another preferred condition more specific to combinations including preferably **duloxetine** but also **venlafaxine** and milnacipran is urinary incontinence.

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L1 ANSWER 119 OF 119 USPATFULL on STN
AN 96:106493 USPATFULL
TI Compounds having effects on serotonin-related systems
IN Krushinski, Jr., Joseph H., Indianapolis, IN, United States
Rasmussen, Kurt, Fishers, IN, United States
Rocco, Vincent P., Indianapolis, IN, United States
Schaus, John M., Zionsville, IN, United States
Thompson, Dennis C., Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 5576321 19961119
AI US 1995-468900 19950606 (8)
RLI Continuation-in-part of Ser. No. US 1995-373823, filed on 17 Jan 1995, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Bottino, Anthony
LREP Jones, Joseph A., Boone, David E.
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 5725
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . the present pharmaceuticals have a second activity as inhibitors of reuptake of serotonin. The best-known pharmaceutical with that efficacy is **fluoxetine**, and the importance of its use in the treatment of depression and other conditions is extremely well documented and publicized.. . .

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DETD **Venlafaxine**: from about 10 to about 150 mg once-thrice/day; preferred, from about 25 to about 125 mg thrice/day;

DETD **Citalopram**: from about 5 to about 50 mg once/day; preferred, from about 10 to about 30 mg once/day;

DETD **Paroxetine**: from about 5 to about 100 mg once/day; preferred, from about 50 to about 300 mg once/day.

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=> s paroxetine and schizophrenia
          1480 PAROXETINE
          8219 SCHIZOPHRENIA
L9         531 PAROXETINE AND SCHIZOPHRENIA

=> s l9 and pd<1995
       1890788 PD<1995
                  (PD<19950000)
L10          12 L9 AND PD<1995

=> d l10 1-12 bib, kwic

L10  ANSWER 1 OF 12  USPATFULL on STN
AN      97:66127  USPATFULL
TI      Pyridyl-and pyrimidylpiperazine derivatives
IN      Abramo, Lisbeth, Bjarred, Sweden
        Lundstedt, Torbjorn, Loddekopinge, Sweden
        Nordvi, Curt, Malmo, Sweden
        Olsson, Knut Gunnar, Malmo, Sweden
        Brodszki, Martin, Malmo, Sweden
PA      Pharmacia Aktiebolag, Stockholm, Sweden (non-U.S. corporation)
PI      US 5652240               19970729
        WO 9403430  19940217                                          <--
AI      US 1995-374776           19950131 (8)
        WO 1993-SE632            19930716
                                 19950131  PCT 371 date
                                 19950131  PCT 102(e) date
PRAI    SE 1992-2265        19920731
DT      Utility
FS      Granted
EXNAM   Primary Examiner: Grumbling, Matthew V.
LREP    Birch, Stewart, Kolasch & Birch, LLP
CLMN    Number of Claims: 6
ECL     Exemplary Claim: 1
DRWN    No Drawings
LN.CNT 342
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI      US 5652240               19970729
        WO 9403430  19940217                                          <--
SUMM    . . . dystonic reactions and tardive dyskinesia) and are poor in
        ameliorating the negative symptoms (e.g. restricted or blunted emotional
        arousal) of schizophrenia. The main disadvantage of the
        anti-depressants is that they fail to alleviate depression in 30 to 40%
        of patients. Anxioltyics. . .
SUMM    . . . such as 5-HT.sub.1A agonists, e.g., buspirone and ipsapirone,
        5-HT.sub.2 antagonists e.g. amperozide and ritanserin, 5-HT uptake
        inhibitors e.g. fluoxetine and paroxetine.

L10  ANSWER 2 OF 12  USPATFULL on STN
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09/930,513

AN 94:26537 USPATFULL
TI Octahydronaphthoquinolizines, and methods of making and using thereof
IN Schuster, David I., Wilton, CT, United States
Murphy, Randall B., Irvington, NY, United States
Cai, Bing, Rego Park, NY, United States
PA New York University, New York, NY, United States (U.S. corporation)
PI US 5298509 19940329 <--
AI US 1992-950550 19920925 (7)
DT Utility
FS Granted
EXNAM Primary Examiner: Cintins, Marianne M.; Assistant Examiner: Scalzo, Catherine
LREP Browdy and Neimark
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN 15 Drawing Figure(s); 9 Drawing Page(s)
LN.CNT 1608
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5298509 19940329 <--
SUMM Dopamine,3,4-dihydroxyphenethylamine is a neurotransmitter whose functional role appears to be intimately linked with **schizophrenia**. The so-called "Dopamine Hypothesis of **Schizophrenia**" suggested that an overactivity of the mesolimbic/mesocortical ascending dopamine systems in man was etiologic for **schizophrenia**. This original hypothesis has been extensively modified; although various workers have suggested that imbalances in the activity of other neurotransmitter. . . involved, recent reviews have all agreed that the dopamine systems do appear in some manner to be intimately involved in **schizophrenia**.
SUMM A portion of the difficult lies in the difficulty of concordance in diagnosing **schizophrenia**, since this term seems to apply to a spectrum of disorders, ranging from affective disorder at one end to chronic. . . agreement through definitions such as the DSM-IIIr and ICD has been obtained as to the symptomatology which defines the disease. **Schizophrenia** can be differentiated into two basic categories; that which is amenable to drug treatment, by means of conventional antipsychotic agents,. . .
SUMM . . . use of neuroleptics is indicated in several types of psychotic disorders, e.g., acute psychotic episodes, regardless of type; exacerbations of **schizophrenia**; acute manic excitement while deferring use of lithium or awaiting onset of its effects; adjunctive therapy for major depression with. . .
SUMM . . . of neuroleptics is indicated in many psychotic disorders, such as (for more than six months) (i) primary indications such as **Schizophrenia**, Paranoia, Childhood psychoses, some degenerative or idiopathic neuropsychiatric disorders (notably, Huntington's disease and Gilles de la Tourette's syndrome); (ii) secondary. . .
SUMM . . . colleagues in the mid-1970s, has been used as a principal supporting argument for the validity of the dopamine hypothesis of **schizophrenia**.
SUMM . . . great need for drugs which can be termed "atypical" or "nonclassical" neuroleptics, wherein these agents will treat the symptomatology of **schizophrenia** either in cases which are resistant to other drugs, without toxic side effects, or whose long-term administration will not produce. . .
SUMM As a non-limiting example, OHNQ compounds and/or compositions may be used in methods for the prevention and treatment of **schizophrenia** and neurological disorders, such as Gilles de la Tourette's Syndrome, dystonias, choreas and Parkinsonism, as well as other diseases associated. . .
DETD . . . which possess sigma receptor ligand specificity, consistent with clinical utility in the treatment of sigma receptor related pathologies, such as **schizophrenia** and other disorders associated with neuroreceptor pathology. As a non-limiting example, OHNQ

compounds and derivatives may be used as antipsychotic. . .

DETD . . . and various 5-HT subtypes, including in particular 5-HT-1a receptors (using (.sup.3 H)-8-OH DPAT) and the 5-HT uptake site (using (.sup.3 H)-**paroxetine**), as shown herein.

CLM What is claimed is:
14. A method according to claim 9, wherein said pathology is dystonia, tardive dyskinesia, **schizophrenia**, Huntington's Chorea, Gilles de la Tourette's Syndrome or Parkinson's disease.

L10 ANSWER 3 OF 12 USPATFULL on STN
AN 94:9599 USPATFULL
TI Method for treating certain psychiatric disorders and certain psychiatric symptoms
IN Norden, Michael J., 348 NW. 113th Pl., Seattle, WA, United States 98177
PI US 5283263 19940201 <--
AI US 1992-870360 19920417 (7)
RLI Division of Ser. No. US 1990-610339, filed on 5 Nov 1990, now patented, Pat. No. US 5114976 which is a continuation of Ser. No. US 1989-294461, filed on 6 Jan 1989, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Friedman, S. J.
LREP Seed and Berry
CLMN Number of Claims: 2
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 984
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5283263 19940201 <--

AB . . . circadian rhythm disorders, borderline personality disorders, personality disorders, Late Luteal Phase Dysphoric Disorder, psychoactive substance use disorders, sexual disorders, and **schizophrenia** and certain psychiatric symptoms including stress, anger, worry, rejection sensitivity and lack of mental or physical energy with administration of. . .

SUMM **Schizophrenia** is characterized by the presence of characteristic psychotic symptoms during the active phase of the illness, and functioning below the highest level previously achieved. At some phase of the illness, **schizophrenia** always involves delusions, hallucinations, or certain characteristic disturbances in affect and the form of thought. The active phase of **schizophrenia** is characterized by the presence of at least delusions, prominent hallucinations, incoherence or marked loosening of associations, catatonic behavior, flat. . .

SUMM **Schizophrenia** is a prevalent psychiatric disorder. The importance of **schizophrenia** as a prevalent problem and the inadequacy of current treatment is evidenced in Kapln et al "The Comprehensive Textbook of Psychiatry", Williams Wilkens, Baltimore, Fourth Edition (1985) page 650 which states "An estimated two million Americans suffer from **schizophrenia** today. Approximately half of these individuals will experience a course of illness requiring continuous or intermittent dependence upon others for their support, with particular reliance on public support mechanisms." Accordingly, more effective treatment for **schizophrenia** is needed.

SUMM . . . personality disorders), hyopochondriasis, late luteal phase dysphoric disorder, psychoactive substance use disorders (except for nicotine and alcohol), sexual disorders, and **schizophrenia**, and related symptoms including stress, worry, anger, rejection sensitivity and lack of mental or physical energy. The present invention also. . .

SUMM . . . of a serotonin re-uptake blocker. Preferred and known serotonin re-uptake blockers include fluoxetine, clomipramine, zimelidine, fluvoximine, sertraline, indalpine, citalopram, femoxetine,

paroxetine, alaproclate, and gepirone. The serotonin re-uptake blockers also include derivatives and pharmaceutically acceptable salts thereof. For example, an active serotonin. . .

DETD . . . disorder, personality disorders including borderline personality disorder, hypochondriasis, late luteal phase dysphoric disorder, psychoactive substance use disorders, sexual disorders and **schizophrenia**) and the following psychiatric symptoms (stress, anger, rejection sensitivity, worry and lack of mental or physical energy) is useful in. . .

DETD **Schizophrenia**

DETD I have made a finding of efficacy with a serotonin re-uptake blocking agent in a woman with chronic **schizophrenia**. The patient had a limited response to an antipsychotic (Molindone) in high chronic dose of 70 mg to 90 mg. . .

L10 ANSWER 4 OF 12 USPATFULL on STN

AN 94:5884 USPATFULL

TI Piperidine derivatives, their preparation and their therapeutic application

IN Jegham, Samir, Franconville, France
DeFosse, Gerard, Paris, France
Purcell, Thomas, Montfort-l'Amaury, France
Schoemaker, Johannes, Gif-sur-Yvettte, France

PA Synthelabo, Le Plessis-Robinson, France (non-U.S. corporation)

PI US 5280030 19940118 <--

AI US 1992-862376 19920402 (7)

PRAI FR 1991-4009 19910403

DT Utility

FS Granted

EXNAM Primary Examiner: Ivy, C. Warren; Assistant Examiner: Chang, Celia

LREP Wegner, Cantor, Mueller & Player

CLMN Number of Claims: 7

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 600

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5280030 19940118 <--

DETD . . . absence or in the presence of the test compound. The incubation is performed in the presence of 0.1 .mu.M of **paroxetine** and 1 .mu.M of ketanserin. Nonspecific binding is measured in the presence of 1 .mu.M of ondansetron. After incubation, the. . .

DETD . . . resulting from an antitumour treatment or from the administration of an anaesthetic; disorders of the central nervous system such as **schizophrenia**; mania, anxiety and depression; cognition disorders such as Alzheimer's senile or presenile dementia; dyskinesia, pain, migraine and headaches; disorders resulting. . .

L10 ANSWER 5 OF 12 USPATFULL on STN

AN 93:29211 USPATFULL

TI Tricyclic 5-HT.sub.3 receptor antagonists

IN Berger, Jacob, Los Altos Hills, CA, United States
Clark, Robin D., Palo Alto, CA, United States
Eglen, Richard M., Mountain View, CA, United States
Smith, William L., Sunnyvale, CA, United States
Weinhardt, Klaus K., San Francisco, CA, United States

PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)

PI US 5202333 19930413 <--

AI US 1991-704565 19910522 (7)

RLI Continuation-in-part of Ser. No. US 1989-442082, filed on 28 Nov 1989, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Berch, Mark L.

LREP Montgomery, Wayne W., Freyberg, Derek P., Moran, Tom M.

CLMN Number of Claims: 50
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1778
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5202333 19930413 <--
SUMM . . . possess anxiolytic properties, demonstrate potential for use in the treatment of dependency disorders and are under investigation in patients with **schizophrenia** (see article from The Lancet previously cited).
DETD . . . and aging), cerebral vascular deficiency and Parkinson's disease. Psychoses that are treatable using the compounds of Formula I include paranoia, **schizophrenia** and autism.
Obsessive/compulsive behavior that is treatable using compounds of Formula I includes eating disorders, e.g., bulimia, a condition in. . .
DETD . . . are labelled using 0.3-0.7 nM [.sup.3 H]quipazine (specific activity 50-66 Ci/mmol; New England Nuclear) in the presence of 0.1 mM **paroxetine** to prevent [.sup.3 H]quipazine binding to 5-HT uptake sites. The rat cortex membranes are incubated with [.sup.3 H]quipazine in the. . .

L10 ANSWER 6 OF 12 USPATFULL on STN
AN 93:29196 USPATFULL
TI Tricyclic compounds acting at serotonin receptor subtypes
IN Berger, Jacob, Los Altos Hills, CA, United States
Clark, Robin D., Palo Alto, CA, United States
Eglen, Richard M., Mountain View, CA, United States
Smith, William L., Sunnyvale, CA, United States
Weinhardt, Klaus K., San Francisco, CA, United States
PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)
PI US 5202318 19930413 <--
AI US 1991-708260 19910528 (7)
RLI Continuation-in-part of Ser. No. US 1990-523090, filed on 14 May 1990, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Berch, Mark L.
LREP Montgomery, Wayne W., Freyberg, Derek P., Moran, Tom M.
CLMN Number of Claims: 52
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1931
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5202318 19930413 <--
SUMM . . . 437-457). In addition, 5-HT.sub.3 receptor antagonists may be useful in treating CNS diseases involving cognitive dysfunctions, anxiety, dependency disorders and **schizophrenia** (see article from The Lancet previously cited) and may also be of value in the control of pain, particularly migraine. . .
DETD . . . and aging), cerebral vascular deficiency and Parkinson's disease. Psychoses that are treatable using the compounds of Formula I include paranoia, **schizophrenia** and autism.
Obsessive/compulsive behavior treatable using the compounds of Formula I include eating disorders, e.g., bulimia, a condition in which. . .
DETD . . . are labelled using 0.3-0.7 nM [.sup.3 H]quipazine (specific activity 50-66 Ci/mmol; New England Nuclear) in the presence of 0.1 mM **paroxetine** to prevent [.sup.3 H]quipazine binding to 5-HT uptake sites. The rat cortex membranes are incubated with [.sup.3 H]quipazine in the. . .

L10 ANSWER 7 OF 12 USPATFULL on STN
AN 93:18676 USPATFULL
TI Serotonergic alpha-oxoacetamides

IN Clark, Robin D., Palo Alto, CA, United States
Eglen, Richard M., Mountain View, CA, United States
Muchowski, Joseph M., Sunnyvale, CA, United States
Smith, William L., Sunnyvale, CA, United States
Weinhardt, Klaus K., San Francisco, CA, United States
PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)
PI US 5192770 19930309 <--
AI US 1990-624028 19901207 (7)
DT Utility
FS Granted
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Kumar, Shailendra
LREP Montgomery, Wayne W., Freyberg, Derek P., Moran, Tom M.
CLMN Number of Claims: 34
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1589
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5192770 19930309 <--
SUMM . . . 437-457). In addition, 5-HT.sub.3 receptor antagonists are under investigation for treating CNS diseases involving cognitive dysfunctions, anxiety, dependency disorders and **schizophrenia** (see article from The Lancet previously cited) and may also be of value in the control of pain, particularly migraine. . .
DETD . . . aging), cerebral vascular deficiency and Parkinson's disease. Psychoses that may be treated using the compounds of this invention include paranoia, **schizophrenia** and autism. Representative, treatable anxiety/depressive states include anticipatory anxiety (e.g., prior to surgery, dental work, etc.), depression, mania, convulsions and. . .
DETD . . . are labelled using 0.3-0.7 nM [.sup.3 H]quipazine (specific activity 50-66 Ci/mmol; New England Nuclear) in the presence of 0.1 .mu.M **paroxetine** to prevent [.sup.3 H]quipazine binding to 5-HT uptake sites. The rat cortex membranes are incubated with [.sup.3 H]quipazine in the. . .

L10 ANSWER 8 OF 12 USPATFULL on STN
AN 93:14571 USPATFULL
TI Tricyclic 5-HT.sub.3 receptor antagonists
IN Berger, Jacob, Los Altos Hills, CA, United States
Clark, Robin D., Palo Alto, CA, United States
PA Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)
PI US 5189041 19930223 <--
AI US 1990-614326 19901116 (7)
DT Utility
FS Granted
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Kumar, Shailendra
LREP Montgomery, Wayne W., Freyberg, Derek P., Moran, Tom M.
CLMN Number of Claims: 43
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1673
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5189041 19930223 <--
SUMM . . . possess anxiolytic properties, demonstrate potential for use in the treatment of dependency disorders and are under investigation in patients with **schizophrenia** (see article from The Lancet previously cited).
SUMM . . . aging), cerebral vascular deficiency and Parkinson's disease. Psychoses that may be treated using the compounds of this invention include paranoia, **schizophrenia** and autism. Representative, treatable anxiety/depressive states include anticipatory anxiety (e.g., prior to surgery, dental work, etc.), depression, mania, convulsions

and. . .
DETD . . . are labelled using 0.3-0.7 nM [.sup.3 H]quipazine (specific activity 50-66 Ci/mmol; New England Nuclear) in the presence of 0.1 .mu.M **paroxetine** to prevent [.sup.3 H]quipazine binding to 5-HT uptake sites. The rat cortex membranes are incubated with [.sup.3 H]quipazine in the. . .

L10 ANSWER 9 OF 12 USPATFULL on STN
AN 92:40700 USPATFULL
TI Method for treating certain psychiatric disorders and certain psychiatric symptoms
IN Norden, Michael J., 348 NW. 113th Pl., Seattle, WA, United States 98177
PI US 5114976 19920519 <--
AI US 1990-610339 19901105 (7)
RLI Continuation of Ser. No. US 1989-294461, filed on 6 Jan 1989, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Friedman, S. J.
LREP Seed and Berry
CLMN Number of Claims: 3
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 985
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5114976 19920519 <--
AB . . . circadian rhythm disorders, borderline personality disorders, personality disorders, Late Luteal Phase Dysphoric Disorder, psychoactive substance use disorders, sexual disorders, and **schizophrenia** and certain psychiatric symptoms including stress, anger, worry, rejection sensitivity and lack of mental or physical energy with administration of. . .
SUMM **Schizophrenia** is characterized by the presence of characteristic psychotic symptoms during the active phase of the illness, and functioning below the highest level previously achieved. At some phase of the illness, **schizophrenia** always involves delusions, hallucinations, or certain characteristic disturbances in affect and the form of thought. The active phase of **schizophrenia** is characterized by the presence of at least delusions, prominent hallucinations, incoherence or marked loosening of associations, catatonic behavior, flat. . .
SUMM **Schizophrenia** is a prevalent psychiatric disorder. The importance of **schizophrenia** as a prevalent problem and the inadequacy of current treatment is evidenced in Kapln et al "The Comprehensive Textbook of Psychiatry", Williams Wilkens, Baltimore, Fourth Edition (1985) page 650 which states "An estimated two million Americans suffer from **schizophrenia** today. Approximately half of these individuals will experience a course of illness requiring continuous or intermittent dependence upon others for their support, with particular reliance on public support mechanisms." Accordingly, more effective treatment for **schizophrenia** is needed.
SUMM . . . personality disorders), hyopochondriasis, late luteal phase dysphoric disorder, psychoactive substance use disorders (except for nicotine and alcohol), sexual disorders, and **schizophrenia**, and related symptoms including stress, worry, anger, rejection sensitivity and lack of mental or physical energy. The present invention also. . .
SUMM . . . of a serotonin re-uptake blocker. Preferred and known serotonin re-uptake blockers include fluoxetine, clomipramine, zimelidine, fluvoxamine, sertraline, indalpine, citalopram, femoxetine, **paroxetine**, alaproclate, and gepirone. The serotonin re-uptake blockers also include derivatives and pharmaceutically acceptable salts thereof. For example, an active serotonin. . .
DETD . . . disorders, personality disorders including borderline

personality disorder, hypochondriasis, late luteal phase dysphoric disorder, psychoactive substance use disorders, sexual disorders and **schizophrenia**) and the following psychiatric symptoms (stress, anger, rejection sensitivity, worry and lack of mental or physical energy) is useful in. . .

DETD **SCHIZOPHRENIA**

DETD I have made a finding of efficacy with a serotonin re-uptake blocking agent in a woman with chronic **schizophrenia**. The patient had a limited response to an antipsychotic (Molindone) in high chronic dose of 70 mg to 90 mg. . .

CLM What is claimed is:

. . . of circadian rhythm disorder, borderline personality disorder, hypochondriasis, late luteal phase dysphoric disorder, psychoactive substance use disorder, sexual disorder and **schizophrenia** comprising, administering a therapeutically effective, nontoxic dose of fluoxetine, and derivatives and pharmaceutically acceptable salts thereof.

L10 ANSWER 10 OF 12 USPATFULL on STN

AN 92:21000 USPATFULL

TI (4-piperidyl)methyl-2,3-dihydro-1H-isoindole and -2,3,4,5-tetrahydro-1H-benzazepine derivatives, their preparation and their application in therapy

IN George, Pascal, St. Arnoult en Yvelines, France
Sevrin, Mireille, Paris, France
Mangane, Michel, Chatillon s/Bagneux, France

PA Synthelabo, Paris, France (non-U.S. corporation)

PI US 5096900 19920317 <--

AI US 1990-503941 19900208 (7)

RLI Division of Ser. No. US 1989-377929, filed on 11 Jul 1989

PRAI FR 1988-9450 19880712

DT Utility

FS Granted

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Ward, E. C.

LREP Fleit, Jacobson, Cohn, Price, Holman & Stern

CLMN Number of Claims: 6

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 548

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

PI US 5096900 19920317 <--

DETD . . . .mu.l of membrane suspension in a final volume of 1 ml of buffer containing 10 .mu.M pargyline and 3 .mu.M **paroxetine**.

DETD . . . A and/or sigma type serotoninergic receptors, in particular for the treatment of depressive states, anxiety states, psychotic states such as **schizophrenia** and sleep disorders, and for the regulation of food intake, and also for the treatment of vascular, cardiovascular and cerebrovascular. . .

L10 ANSWER 11 OF 12 USPATFULL on STN

AN 92:3665 USPATFULL

TI 2,3-dihydro-1H-isoindole derivatives and their application in therapy

IN George, Pascal, St. Arnoult en Yvelines, France
Sevrin, Mireille, Paris, France
Mangane, Michel, Chatillon s/Bagneux, France
Merly, Jean-Pierre, Fontenay Aux Roses, France
Bigg, Dennis, Castres, France

PA Synthelabo, Paris, France (non-U.S. corporation)

PI US 5081128 19920114 <--

AI US 1989-377929 19890711 (7)

PRAI FR 1988-9450 19880712
FR 1988-9451 19880712

DT Utility

FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Ward, E. C.
LREP Fleit, Jacobson, Cohn, Price, Holman & Stern
CLMN Number of Claims: 6
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 549
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 5081128 19920114 <--
DETD . . . .mu.l of membrane suspension in a final volume of 1 ml of buffer containing 10 .mu.M pargyline and 3 .mu.M **paroxetine**.
DETD . . . A and/or sigma type serotoninergic receptors, in particular for the treatment of depressive states, anxiety states, psychotic states such as **schizophrenia** and sleep disorders, and for the regulation of food intake, and also for the treatment of vascular, cardiovascular and cerebrovascular. . .

L10 ANSWER 12 OF 12 USPATFULL on STN
AN 90:65557 USPATFULL
TI 6-phenyl-3-(piperazinyalalkyl)-2,4(1H,3H)-pyrimidinedione derivatives, their preparation and their application in therapy
IN Frost, Jonathan, Wissous, France
Gaudilliere, Bernard, Nanterre, France
Rousseau, Jean, Bourg la Reine, France
Dupont, Regis, Tours, France
Manoury, Philippe, Verrieres le Buisson, France
Obitz, Daniel, Fontenay aux Roses, France
PA Synthelabo, Paris, France (non-U.S. corporation)
PI US 4950670 19900821 <--
AI US 1989-352342 19890516 (7)
PRAI FR 1988-6568 19880517
DT Utility
FS Granted
EXNAM Primary Examiner: Hollrah, Glennon H.; Assistant Examiner: Turnipseed, James H.
LREP Wegner & Bretschneider
CLMN Number of Claims: 7
ECL Exemplary Claim: 1,7
DRWN No Drawings
LN.CNT 588
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 4950670 19900821 <--
DETD . . . .mu.l of membrane suspension in a final volume of 1 ml of buffer containing 10 .mu.M pargyline and 3 .mu.M **paroxetine**.
DETD . . . diseases and conditions directly or indirectly involving the 5-HT.sub.1A type serotoninergic receptors, in particular for the treatment of psychotic states (**schizophrenia**), depressive states, anxiety states, sleep disorders and disorders of sexual behaviour, and for the regulation of food intake, as well. . .

=> d his

(FILE 'HOME' ENTERED AT 14:13:24 ON 27 SEP 2004)

FILE 'USPATFULL' ENTERED AT 14:13:41 ON 27 SEP 2004
L1 653 S OLANZAPINE
L2 425 S L1 AND FLUOXETINE
L3 0 S L2 AND PD 1995
L4 507 S FLUOXETINE AND ANALGESIC
L5 52 S L4 AND PD< 1998
L6 27 S L4 AND PD< 1995
L7 267 S OLANZAPINE AND ANALGESIC
L8 0 S L7 AND PD<1995

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L9          531 S PAROXETINE AND SCHIZOPHRENIA
L10          12 S L9 AND PD<1995

=> s schizophrenia and fluoxetine
          8219 SCHIZOPHRENIA
          2250 FLUOXETINE
L11        647 SCHIZOPHRENIA AND FLUOXETINE

=> s l11 and pd< 1995
       1890788 PD< 1995
                   (PD<19950000)
L12         21 L11 AND PD< 1995

=> d l12 1-5 and 16-21 bib, kwic
'AND' IS NOT A VALID FORMAT FOR FILE 'USPATFULL'

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             DRWN, AB, GOVI, PARN, SUMM, DRWD, DETD, CLM, INCL,
             INCLM, INCLS, NCL, NCLM, NCLS, IC, ICM, ICS,
             EXF, ARTU
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             NCLM, NCLS, EXF, REP, REN, ARTU, EXNAM, LREP,
             CLMN, DRWN, AB
FP.EX ------ FP for original and latest publication
FPALL ------ PI, TI, IN, INA, PA, PAA, PAT, PETRM, DCD, AI,
             RLI, PRAI, IC, ICM, ICS, INCL, INCLM, INCLS, NCL, NCLM,
             NCLS, EXF, REP, REN,ARTU, EXNAM, LREP, CLMN, DRWN, AB,
             PARN, SUMM, DRWD, DETD, CLM
FPBIB ------ PI, TI, IN, INA, PA, PAA, PAT, PTERM, DCD, AI,
             RLI, PRAI, REP, REN, EXNAM, LREP, CLM, CLMN, DRWN
FHITSTR ---- HIT RN, its text modification, its CA index name, and
             its structure diagram
FPG -------- FP plus PAGE.DRAW
GI --------- PN and page image numbers
HIT -------- All fields containing hit terms
HITRN ------ HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
             its structure diagram
IABS ------- ABS, indented with text labels
IALL ------- ALL, indented with text labels
IALLG ------ IALL plus PAGE.DRAW
IBIB ------- BIB, indented with text labels
IBIB.EX ---- IBIB for original and latest publication
IBIBG ------ IBIB plus PAGE.DRAW
IMAX ------- MAX, indented with text labels
IMAX.EX ---- IMAX for original and latest publication
IND -------- INCL, INCLM, INCLS, NCL, NCLM, NCLS, IC, ICM, ICS,
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              EXF, ARTU, OS, CC, SX, ST, IT
ISTD ------- STD, indented with text labels
KWIC ------- All hit terms plus 20 words on either side
MAX -------- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, PTERM, DCD,
              RLI, PRAI, DT, FS, REP, REN, EXNAM, LREP, CLMN, ECL,
              DRWN, AB, GOVI, PARN, SUMM, DRWD, DETD, CLM, INCL,
              INCLM, INCLS, NCL, NCLM, NCLS, IC, ICM, ICS,
              EXF, ARTU OS, CC, SX, ST, IT
MAX.EX ----- MAX for original and latest publication
OCC -------- List of display fields containing hit terms
SBIB ------- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, RLI, PRAI,
              DT, FS, LN.CNT
SCAN ------- AN, TI, NCL, NCLM, NCLS, IC, ICM, ICS (random display
              without answer number.  SCAN must be entered on the
              same line as DISPLAY, e.g., D SCAN)
STD -------- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, RLI, PRAI,
              DT, FS, LN.CNT, INCL, INCLM, INCLS, NCL, NCLM, NCLS,
              IC, ICM, ICS, EXF (STD is the default)
STD.EX ----- STD for original and latest publication
TRIAL ------ AN, TI, INCL, INCLM, INCLS, NCL, NCLM, NCLS, IC,
              ICM, ICS

ENTER DISPLAY FORMAT (STD):std

L12  ANSWER 1 OF 21  USPATFULL on STN
AN      1999:69625  USPATFULL
TI      PCT-65 serotonin receptor
IN      Monsma, Jr., Frederick J., Riehen, Switzerland
        Shen, Yong, Libertyville, IL, United States
        Sibley, David R., Gaithersburg, MD, United States
        Hamblin, Mark, Seattle, WA, United States
PA      United States of America, Washington, DC, United States (U.S.
        corporation)
PI      US 5914236              19990622
        WO 9410311  19940511                                        <--
AI      US 1995-428243          19950918 (8)
        WO 1993-US10301         19931026
                                19950918  PCT 371 date
                                19950918  PCT 102(e) date
RLI     Continuation-in-part of Ser. No. US 1992-980514, filed on 26 Oct 1992,
        now abandoned
DT      Utility
FS      Granted
LN.CNT 1179
INCL    INCLM: 435/007.210
        INCLS: 435/069.100; 435/320.100; 435/325.000; 435/369.000; 536/023.500;
               530/350.000
NCL     NCLM:  435/007.210
        NCLS:  435/069.100; 435/320.100; 435/325.000; 435/369.000; 530/350.000;
               536/023.500
IC      [6]
        ICM: C12N015-12
        ICS: C07K014-705; G01N033-00
EXF     435/6; 435/7.1; 435/7.2; 435/7.21; 435/69.1; 435/240.1; 435/252.3T;
        435/320.1; 435/325; 435/369; 536/23.5; 514/2; 514/12; 530/350
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12  ANSWER 2 OF 21  USPATFULL on STN
AN      97:66127  USPATFULL
TI      Pyridyl-and pyrimidylpiperazine derivatives
IN      Abramo, Lisbeth, Bjarred, Sweden
        Lundstedt, Torbjorn, Loddekopinge, Sweden
        Nordvi, Curt, Malmo, Sweden
        Olsson, Knut Gunnar, Malmo, Sweden
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Brodszki, Martin, Malmo, Sweden
PA Pharmacia Aktiebolag, Stockholm, Sweden (non-U.S. corporation)
PI US 5652240 19970729
WO 9403430 19940217 <--
AI US 1995-374776 19950131 (8)
WO 1993-SE632 19930716
19950131 PCT 371 date
19950131 PCT 102(e) date
PRAI SE 1992-2265 19920731
DT Utility
FS Granted
LN.CNT 342
INCL INCLM: 514/252.000
INCLS: 544/295.000; 544/360.000; 544/364.000; 544/365.000
NCL NCLM: 514/253.010
NCLS: 514/218.000; 514/253.120; 514/253.130; 540/575.000; 544/295.000; 544/360.000; 544/364.000; 544/365.000
IC [6]
ICM: A61K031-495
ICS: A61K031-505; C07D403-06
EXF 544/295; 544/360; 544/364; 544/365; 514/252
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 3 OF 21 USPATFULL on STN
AN 94:73420 USPATFULL
TI 8-azabicyclo[3.2.1]octane methanone and corresponding oximes
IN Glamkowski, Edward J., Warren, NJ, United States
Fink, David M., Doylestown, PA, United States
Kurys, Barbara E., Elmwood Park, NJ, United States
Chiang, Yulin, Convent Station, NJ, United States
PA Hoechst-Roussel Pharmaceuticals Inc., Somerville, NJ, United States (U.S. corporation)
PI US 5340936 19940823 <--
AI US 1993-37047 19930325 (8)
RLI Division of Ser. No. US 1992-831027, filed on 4 Feb 1992, now patented, Pat. No. US 5234931 which is a continuation-in-part of Ser. No. US 1991-650144, filed on 4 Feb 1991, now abandoned
DT Utility
FS Granted
LN.CNT 1114
INCL INCLM: 546/124.000
INCLS: 546/126.000; 546/132.000
NCL NCLM: 546/124.000
NCLS: 546/126.000; 546/132.000
IC [5]
ICM: C07D451-02
ICS: C07D401-04; C07D417-04
EXF 546/126; 546/132; 546/124; 514/304
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 4 OF 21 USPATFULL on STN
AN 94:66490 USPATFULL
TI Heteroaryl-8-azabicyclo[3,2,1]octanes as antipsychotic agents, 5-HT.sub.3 receptor antagonists and inhibitors of the reuptake of serotonin
IN Glamkowski, Edward J., Warren, NJ, United States
Fink, David M., Doylestown, PA, United States
Kurys, Barbara E., Elmwood Park, NJ, United States
Chiang, Yulin, Convent Station, NJ, United States
PA Hoechst-Roussel Pharmaceuticals Inc., Somerville, NJ, United States (U.S. corporation)
PI US 5334599 19940802 <--
AI US 1993-37134 19930325 (8)
RLI Division of Ser. No. US 1992-831027, filed on 4 Feb 1992, now patented,

Pat. No. US 5234931 Continuation-in-part of Ser. No. US 1991-650144, filed on 4 Feb 1991, now abandoned
DT Utility
FS Granted
LN.CNT 1246
INCL INCLM: 514/304.000
INCLS: 546/126.000
NCL NCLM: 514/304.000
NCLS: 546/126.000
IC [5]
ICM: C07D401-04
ICS: C07D413-04; C07D417-04; A61K031-46
EXF 546/126; 514/304
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 5 OF 21 USPATFULL on STN
AN 94:60171 USPATFULL
TI Substituted (pyridinylamino)-indoles
IN Effland, Richard C., Bridgewater, NJ, United States
Klein, Joseph T., Bridgewater, NJ, United States
Martin, Lawrence L., Lebanon, NJ, United States
Shutske, Gregory M., Flemington, NJ, United States
Kapples, Kevin J., Little York, NJ, United States
Tomer, IV, John D., Perkasie, PA, United States
PA Hoechst-Roussel Pharmaceuticals Incorporated, Somerville, NJ, United States (U.S. corporation)
PI US 5328920 19940712 <--
AI US 1992-964546 19921021 (7)
RLI Continuation-in-part of Ser. No. US 1991-688964, filed on 17 Apr 1991, now patented, Pat. No. US 5177088
DT Utility
FS Granted
LN.CNT 2977
INCL INCLM: 514/339.000
INCLS: 546/273.000; 546/270.000; 546/256.000; 514/338.000; 514/333.000
NCL NCLM: 514/339.000
NCLS: 514/333.000; 514/338.000; 546/256.000; 546/271.100; 546/272.100; 546/275.700; 546/277.400; 546/281.100; 546/284.100
IC [5]
ICM: C07D401-12
ICS: A61K031-44
EXF 546/273; 546/270; 546/256; 514/339; 514/333; 514/338
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 16 OF 21 USPATFULL on STN
AN 90:46662 USPATFULL
TI Brain-specific analogues of centrally acting amines
IN Bodor, Nicholas S., Gainesville, FL, United States
PA University of Florida, Gainesville, FL, United States (U.S. corporation)
PI US 4933438 19900612 <--
AI US 1988-208872 19880620 (7)
RLI Division of Ser. No. US 1985-785903, filed on 29 Aug 1985, now patented, Pat. No. US 4771059 which is a continuation-in-part of Ser. No. US 1984-584800, filed on 29 Feb 1984, now abandoned
DT Utility
FS Granted
LN.CNT 1599
INCL INCLM: 536/006.400
INCLS: 546/316.000
NCL NCLM: 536/006.400
NCLS: 546/316.000
IC [5]
ICM: C07H015-24
EXF 536/6.4; 514/34

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 17 OF 21 USPATFULL on STN
AN 90:5989 USPATFULL
TI Method of assisting weight loss
IN Seed, John C., 763 Kingston Rd., Princeton, NJ, United States 08540
PI US 4895845 19900123 <--
AI US 1986-907837 19860915 (6)
DT Utility
FS Granted
LN.CNT 583
INCL INCLM: 514/252.000
INCLS: 514/280.000; 514/649.000; 514/651.000; 514/910.000
NCL NCLM: 514/253.040
NCLS: 514/280.000; 514/649.000; 514/651.000; 514/910.000
IC [4]
ICM: A61K031-50
ICS: A61K031-495; A61K031-44; A61K031-135
EXF 514/280; 514/910; 514/252; 514/649; 514/651
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 18 OF 21 USPATFULL on STN
AN 88:59093 USPATFULL
TI Brain-specific analogues of centrally acting amines
IN Bodor, Nicholas S., Gainesville, FL, United States
PA University of Florida, Gainesville, FL, United States (U.S. corporation)
PI US 4771059 19880913 <--
WO 8503937 19850912 <--
AI US 1985-785903 19850829 (6)
WO 1985-US236 19850215
19850829 PCT 371 date
19850829 PCT 102(e) date
RLI Continuation-in-part of Ser. No. US 1984-584800, filed on 29 Feb 1984, now abandoned
DT Utility
FS Granted
LN.CNT 1805
INCL INCLM: 514/355.000
INCLS: 514/307.000; 514/309.000; 514/311.000; 514/312.000; 514/345.000; 514/348.000; 514/350.000; 514/354.000; 514/356.000; 514/357.000; 514/358.000; 546/139.000; 546/141.000; 546/142.000; 546/145.000; 546/146.000; 546/147.000; 546/150.000; 546/152.000; 546/153.000; 546/155.000; 546/156.000; 546/157.000; 546/158.000; 546/165.000; 546/169.000; 546/170.000; 546/172.000; 546/176.000; 546/180.000; 546/290.000; 546/296.000; 546/298.000; 546/299.000; 546/300.000; 546/301.000; 546/302.000; 546/303.000; 546/316.000; 546/318.000; 546/321.000; 546/322.000; 546/323.000; 546/338.000; 546/345.000; 546/346.000
NCL NCLM: 514/355.000
NCLS: 514/307.000; 514/309.000; 514/311.000; 514/312.000; 514/345.000; 514/348.000; 514/350.000; 514/354.000; 514/356.000; 514/357.000; 514/358.000; 546/139.000; 546/141.000; 546/142.000; 546/145.000; 546/146.000; 546/147.000; 546/150.000; 546/152.000; 546/153.000; 546/155.000; 546/156.000; 546/157.000; 546/158.000; 546/165.000; 546/169.000; 546/170.000
IC [4]
ICM: A61K031-44
ICS: A61K031-47; C07D211-90; C07D215-54
EXF 546/316; 546/323; 546/139; 546/141; 546/142; 546/145; 546/146; 546/147; 546/150; 546/152; 546/153; 546/155; 546/156; 546/157; 546/158; 546/165; 546/169; 546/170; 546/172; 546/176; 546/180; 546/290; 546/296; 546/298; 546/299; 546/300; 546/301; 546/302; 546/303; 546/318; 546/321; 546/322; 546/338; 546/345; 546/346; 514/354; 514/355; 514/307; 514/309; 514/311; 514/312; 514/345; 514/348; 514/350; 514/356; 514/357; 514/358

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 19 OF 21 USPATFULL on STN
AN 86:68118 USPATFULL
TI Treatment of obesity with aryloxyphenylpropylamines
IN Molloy, Bryan B., North Salem, IN, United States
Schmiegel, Klaus K., Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 4626549 19861202 <--
AI US 1986-846448 19860331 (6)
RLI Continuation-in-part of Ser. No. US 1983-544654, filed on 24 Oct 1983, now patented, Pat. No. US 4584404 which is a continuation of Ser. No. US 1978-872147, filed on 25 Jan 1978, now abandoned which is a division of Ser. No. US 1974-432379, filed on 10 Jan 1974, now patented, Pat. No. US 4314081
DT Utility
FS Granted
LN.CNT 923
INCL INCLM: 514/651.000
INCLS: 564/347.000
NCL NCLM: 514/651.000
NCLS: 564/347.000
IC [4]
ICM: A61K031-135
EXF 514/651; 514/584; 514/585
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 20 OF 21 USPATFULL on STN
AN 86:29790 USPATFULL
TI Anti-anxiety method
IN Stark, Paul, Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 4590213 19860520 <--
AI US 1983-483087 19830408 (6)
DT Utility
FS Granted
LN.CNT 92
INCL INCLM: 514/653.000
NCL NCLM: 514/653.000
IC [4]
ICM: A61K031-135
EXF 424/330; 514/653
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 21 OF 21 USPATFULL on STN
AN 80:28238 USPATFULL
TI 1-Phenyl-3-(substituted phenoxy)propylamines
IN Lavagnino, Edward R., Indianapolic, IN, United States
McShane, Lawrence J., Indianapolic, IN, United States
Molloy, Bryan B., North Salem, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 4207343 19800610 <--
AI US 1978-917819 19780622 (5)
DT Utility
FS Granted
LN.CNT 644
INCL INCLM: 424/330.000
INCLS: 260/349.000; 260/453.000AR; 260/501.180; 260/501.190; 260/546.000; 260/549.000; 260/570.500R; 260/570.600; 260/651.000R; 260/651.000HA; 424/316.000; 560/061.000; 560/062.000; 562/471.000; 562/472.000; 568/631.000

NCL NCLM: 514/651.000
NCLS: 560/061.000; 560/062.000; 562/471.000; 562/472.000; 564/346.000; 568/631.000
IC [2]
ICM: A01N009-20
ICS: A01N009-24; C07C093-06
EXF 260/570.5R; 260/570.6; 260/570.7; 260/501.10; 424/330; 424/316
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d l12 1-5, 16-21 kwic

L12 ANSWER 1 OF 21 USPATFULL on STN
PI US 5914236 19990622
WO 9410311 19940511 <--
SUMM . . . and sleep. Disruptions of serotonergic systems may be a critical factor in a number of clinical disorders or conditions including **schizophrenia**, depression, obsessive compulsive disorder, anxiety, migraine headaches, and pain.
SUMM . . . receptor technologies utilizing the serotonin classes known in the art. 5-HT.sub.2 antagonists, for example, are useful in the treatment of **schizophrenia**, parkinsonism, and anxiety disorders. Several azapirones, such as buspirone, gepirone, and ipsapirone, have high affinities for 5HT.sub.1A receptors in the. . .
DETD . . . which are not in Table 1 were tested and found to have Ki values >1.3 mM: Imipramine, NAN-190, Desipramine, Citalopram, **Fluoxetine**, Idazoxan, Quipazine, LY-278584, Octopamine, MDL7222, BRL24294, BRL43694, BIMU1, BIMU8, DAU6215, DAU6285, GR38032, Zacopride, Fenflurmine, Pindolol, Dopamine, Norepinephrine, Histamine, and Melotonin.

L12 ANSWER 2 OF 21 USPATFULL on STN
PI US 5652240 19970729
WO 9403430 19940217 <--
SUMM . . . dystonic reactions and tardive dyskinesia) and are poor in ameliorating the negative symptoms (e.g. restricted or blunted emotional arousal) of **schizophrenia**. The main disadvantage of the anti-depressants is that they fail to alleviate depression in 30 to 40% of patients. Anxioltyics. . .
SUMM . . . tropic drugs such as 5-HT.sub.1A agonists, e.g., buspirone and ipsapirone, 5-HT.sub.2 antagonists e.g. amperozide and ritanserin, 5-HT uptake inhibitors e.g. **fluoxetine** and paroxetine.

L12 ANSWER 3 OF 21 USPATFULL on STN
PI US 5340936 19940823 <--
SUMM . . . binding site in the brain. Compounds which function as 5-HT.sub.3 antagonists are believed to be useful in the treatment of **schizophrenia**.
SUMM . . . that 5-HT.sub.3 antagonists may have a therapeutic benefit in disease states believed to be associated with excessive dopaminergic activity; i.e., **schizophrenia**, anxiety and drug abuse.
SUMM TABLE 3

COMPOUND	5-HT-IC.sub.50 (.mu.M)
[4-[2-[3-[1,2-Benzisoxazol-3-yl]-8-azabicyclo[3.2.1]octan-8-yl]-ethoxy]-3-methoxyphenyl]ethanone fumarate	0.01
[4-[4-[3-[1H-Indazol-3-yl]-8-azabicyclo-[3.2.1]octan-8-yl]butoxy]-3-methoxyphenyl]-ethanone fumarate hemihydrate	0.07

[4-[4-[3-[6-Fluoro-1H-indazol-3-yl]-
                        0.02
8-azabicyclo[3.2.1]-octan-8-yl]butoxy]-
3-methoxyphenyl]ethanone
[4-[4-[3-[1,2-Benzisothiazol-3-yl]-
                        0.027
8 azabicyclo[3.2.1]octan-8-yl]-
butoxy]-3-methoxyphenyl]ethanone
monohydrochloride
Chloripramine (reference)
                        0.15
  Fluoxetine (reference) 0.247

L12 ANSWER 4 OF 21 USPATFULL on STN
PI   US 5334599   19940802   <--
SUMM   . . . binding site in the brain. Compounds which function as
       5-HT.sub.3 antagonists are believed to be useful in the treatment of
       schizophrenia.
SUMM   . . . that 5-HT.sub.3 antagonists may have a therapeutic benefit in
       disease states believed to be associated with excessive dopaminergic
       activity; i.e., **schizophrenia**, anxiety and drug abuse.
SUMM   TABLE 3

COMPOUND   5-HT-IC.sub.50 (.mu.M)

[4-[2-[3-[1,2-Benzisoxazol-3-yl]-
                        0.01
8-azabicyclo[3.2.1]octan-8-yl]-
ethoxy]-3-methoxyphenyl]ethanone
fumarate
[4-[4-[3-[1H-Indazol-3-yl]-8-azabicyclo-
                        0.07
[3.2.1]octan-8-yl]butoxy]-3-methoxyphenyl]-
ethanone fumarate hemihydrate
[4-[4-[3-[6-Fluoro-1H-indazol-3-yl]-
                        0.02
8-azabicyclo[3.2.1]-octan-8-yl]butoxy]-
3-methoxyphenyl]ethanone
[4-[4-[3-[1,2-Benzisothiazol-3-yl]-
                        0.027
8-azabicyclo[3.2.1]octan-8-yl]-
butoxy]-3-methoxyphenyl]ethanone
monohydrochloride
Chloripramine (reference)
                        0.15
  Fluoxetine (reference) 0.247

L12 ANSWER 5 OF 21 USPATFULL on STN
PI   US 5328920   19940712   <--
SUMM   . . . 5 HT.sub.3 antagonists may have a therapeutic benefit in
       disease states believed to be associated with excessive dopaminergic
       activity; e.g., **schizophrenia** and drug abuse.
SUMM   . . . (10). Trazodone and zimelidine are clinically effective
       antidepressants (3) with fairly selective effects on 5 HT uptake (4,5).
       More recently, **fluoxetine** has been shown to be both a
       selective and potent 5 HT uptake inhibitor.
SUMM   TABLE 4

                        Dose
Compound   (mg/kg/day)

6-Chloro-3-(propyl-4- Active at 30 and 15

pyridinylamino)benzo[b]-
thiophene hydrochloride
6-chloro-3-[2-methylpropyl)
Active at 15
(4-pyridinyl)amino]benzo[b]thiophene
hydrochloride
3-[(2-methylpropyl)4-pyridinylamino)]-
Active at 15
6-trifluoromethylbenzo[b]thiophene
hydrochloride
(Reference Compounds)
Clomipramine Active at 5
Fluoxetine Active at 5

L12 ANSWER 16 OF 21 USPATFULL on STN
PI US 4933438 19900612 <--
SUMM . . . stimulants; desipramine, nortriptyline, octriptyline, protriptyline and maprotiline, which are cerebral stimulants/tricyclic antidepressants of the dibenzazepine-type; amedalin, bupropion, cartazolate, daledalin, difluanine, **fluoxetine** and nisoxetine, which also are cerebral stimulants; bethanidine, a hypotensive; and ephedrine and pseudoephedrine, which are sympathomimetic amines.
SUMM . . . agent which structurally is an analogue of the phenothiazine tranquilizers; thiothixine, a thioxanthine alerting agent (used, e.g., in chronic withdrawn **schizophrenia**) which structurally is an analogue of the phenothiazine tranquilizers; doxepin and cidoxepin, tricyclic antidepressants which structurally are dibenzoxapine analogues of the phenothiazine tranquilizers; loxapine, a tranquilizer/antipsychotic (used, e.g., in treating chronic and acute **schizophrenia**) which structurally is an analogue of the phenothiazine tranquilizers; clomacran, clopenthixol and clothiapine, which are antipsychotics which structurally are analogues. . .

L12 ANSWER 17 OF 21 USPATFULL on STN
PI US 4895845 19900123 <--
DETD . . . of elevated blood pressure. Infrequently, rauwolfia alkaloid derivatives have been prescribed in the management of agitated psychotic states, such as **schizophrenia**.
DETD The preferred phenoxyphenylpropylamine antidepressant is **fluoxetine**. Clinical studies indicate **fluoxetine** relieves the symptoms of major depressive illness. In contrast to the tricyclic antidepressants, **fluoxetine** does not inhibit the noradrenergic uptake system. This phenoxyphenylpropylamine antidepressant should be administered within the range of from about 0.1. . .
CLM What is claimed is:
. . . alkaloid in the form of reserpine, and at least one antidepressant selected from the group consisting of trazodone, bupropion and **fluoxetine** in an administration regimen sufficient to supply effective daily dosages thereof for assisting weight loss.

5. The method of claim 1 wherein said antidepressant is **fluoxetine** and both reserpine and **fluoxetine** are administered concomitantly.

7. The method of claim 1 wherein said antidepressant is **fluoxetine** and the daily dosage of **fluoxetine** is between about 0.1 and about 1.5 milligram per kilogram of human body weight.

8. The method of claim 1 wherein the rauwolfia alkaloid is reserpine, and both trazodone and **fluoxetine** are administered, said reserpine, trazodone, and **fluoxetine** being administered in a

regimen sufficient to supply effective daily dosages thereof for assisting weight loss.

9. The method of claim 1 wherein the rauwolfia alkaloid is reserpine, and trazodone, bupropion, and **fluoxetine** are administered, said reserpine, trazodone, bupropion and **fluoxetine** being administered in a regimen sufficient to supply effective daily dosages thereof for assisting weight loss.

L12 ANSWER 18 OF 21 USPATFULL on STN
PI US 4771059 19880913 <--
WO 8503937 19850912 <--
SUMM . . . agent which structurally is an analogue of the phenothiazine tranquilizers; thiothixine, a thioxanthine alerting agent (used, e.g., in chronic withdrawn **schizophrenia**) which structurally is an analogue of the phenothiazine tranquilizers; doxepin and cidoxepin, tricyclic antidepressants which structurally are dibenzoxapine analogues of the phenothiazine tranquilizers; loxapine, a tranquilizer/antipsychotic (used, e.g., in treating chronic and acute **schizophrenia**) which structurally is an analogue of the phenothiazine tranquilizers; clomacran, clopenthixol and clothiapine, which are antipsychotics which structurally are analogues. . .
SUMM . . . AMEDALIN ##STR249## ##STR250## ##STR251## BUPROPION ##STR252## ##STR253## ##STR254## CARTAZOLATE ##STR255## ##STR256## ##STR257## CHLORBENZOCTAMINE ##STR258## ##STR259## ##STR260## TILETAMINE ##STR261## ##STR262## ##STR263## **FLUOXETINE** ##STR264## ##STR265## ##STR266## NISOXETINE ##STR267## ##STR268## ##STR269## TRACAZOLATE ##STR270## ##STR271## ##STR272## PROPANOLOL ##STR273## ##STR274## ##STR275## METOPROLOL ##STR276## ##STR277## ##STR278## NADOLOL. . .
SUMM . . . ##STR546## ##STR547## OCTRIPTYLINE ##STR548## ##STR549## AMEDALIN ##STR550## ##STR551## BUPROPION ##STR552## ##STR553## CARTAZOLATE ##STR554## ##STR555## CHLORBENZOCTAMINE ##STR556## ##STR557## TILETAMINE ##STR558## ##STR559## **FLUOXETINE** ##STR560## ##STR561## NISOXETINE ##STR562## ##STR563## TRACAZOLATE ##STR564## ##STR565## PROPANOLOL ##STR566## ##STR567## METOPROLOL ##STR568## ##STR569## NADOLOL ##STR570## ##STR571## FENCAMFAMIN ##STR572## ##STR573##. . .

L12 ANSWER 19 OF 21 USPATFULL on STN
PI US 4626549 19861202 <--
DETD . . . different type of anti-depressant action from the presently marketed drugs. The compounds may also find use in the treatment of **schizophrenia** according to the hypothesis of Wyatt et. al. Science, 177, 1124 (1972) who were able to produce mild to moderate. .
.
DETD The invention compound (+)-N-methyl-3-(p-trifluoromethylphenoxy)-3-phenylpropylamine is now generically known as **fluoxetine**. **Fluoxetine**, as the hydrochloride salt, has been clinically evaluated for its ability to treat disorders of appetite. In one study, patients. . . with weights twenty percent above the midpoint of a range were defined as overweight. The absolute weight loss in the **fluoxetine**-treated group, as compared to controls, was largest in the overweight group. Significant weight loss was also seen in the normal. . .
DETD TABLE 3A

Overweight Normal Underweight
Fluoxetine
Placebo
Fluoxetine
Placebo
Fluoxetine

Placebo
(n = 52)
(n = 56)
(n = 190)
(n = 194)
(n = 16)
(n = 17)

---

baseline (lbs)
. . .
DETD . . . the range of ideal body weight, again using the 1983 Metropolitan Life Insurance Company height, weight, and frame tables. Twenty-four **fluoxetine**-treated patients lost a mean of 4.29 pounds over a six-week period, while placebo controls (25) lost a mean of 1.44 pounds. The net weight loss of the **fluoxetine** versus the placebo group was 2.85 pounds, significant at p=0.055. These results are shown in Table 3B.

DETD TABLE 3B

Treatment Group	mean weight loss (lbs) Baseline-Endpoint	p-value
Fluoxetine (n = 24)	4.29	0.001
Placebo (n = 25)	1.44	0.082
Difference	2.85	0.055

L12 ANSWER 20 OF 21 USPATFULL on STN
PI US 4590213 19860520 <--
AB This invention provides for a method of treating anxiety which comprises the administration of **fluoxetine** or norfluoxetine or pharmaceutically aceptable salts thereof.
SUMM **Fluoxetine**[N-methyl-3-(4-trifluoromethylphenoxy)-3-phenylpropylamine]hydrochloride is being examined clinically as an anti-depressant agent in several European countries and the United States. The compound, as taught. . . that this biological action may also be useful in treating disorders of sleep, sexual performance, appetite, muscular function, pituitary function, **schizophrenia**, and hypothermia. **Fluoxetine** is particularly desirable as an anti-depressant agent because, unlike most anti-depressants, it is not a sedative.
SUMM Norfluoxetine[3-(4-trifluoromethylphenoxy)-3-phenylpropylamine] is a metabolite of **fluoxetine** and is also known to block monoamine uptake, especially serotonin. See U.S. Pat. No. 4,313,896.
SUMM . . . treating anxiety in a human subject in need of such treatment which comprises the administration of an effective amount of **fluoxetine** or norfluoxetine or pharmaceutically acceptable salts thereof.
DETD I have discovered that the administration of **fluoxetine** or norfluoxetine to human patients suffering from anxiety is useful in reducing their anxiety. This effect was entirely unexpected because. . .
DETD In one study, a single investigator performed a randomized, double-blind study comparing **fluoxetine**, imipramine, and placebo. The 46 test subjects received a daily dose of 20-80 mg. of **fluoxetine** hydrochloride (median dose 60-80 mg.) in two divided doses, the positive control group of 42 subjects received a daily dose. . . then for each group of subjects. Each subject was evaluated on two different scales. On the Covi anxiety scale, both **fluoxetine** and imipramine were significantly better than placebo in reducing anxiety (p<0.001).

Surprisingly, this same comparison between **fluoxetine** and imipramine showed **fluoxetine** significantly better than imipramine in reducing anxiety (p=0.005). The same subjects were evaluated on the anxiety component of the Hamilton depression scale. Once again, as compared to placebo, both **fluoxetine** (p<0.001) and imipramine (p=0.010) were significantly more effective in reducing anxiety. As before, **fluoxetine** was significantly superior to imipramine in reducing anxiety (p<0.001).

DETD The preparation of **fluoxetine** is taught in U.S. Pat. No. 4,314,081. Pharmaceutical compositions containing **fluoxetine** are claimed in U.S. Pat. No. 4,194,009. The preparation of norfluoxetine, salts, and pharmaceutical formulations are described in U.S. Pat.. . .

CLM What is claimed is:

. . . a human subject in need of such treatment which comprises the administration to said human of an effective amount of **fluoxetine** or norfluoxetine or pharmaceutically acceptable salts thereof.

2. A method according to claim 1 wherein the compound is **fluoxetine** or a pharmaceutically acceptable salt thereof.

4. A method according to claim 3 in which **fluoxetine** is administered as the hydrochloride salt.

L12 ANSWER 21 OF 21 USPATFULL on STN

PI US 4207343 19800610 <--

DETD . . . blocked the uptake of serotonin by platelets with an estimated IC.sub.50 value of 14 nanomoles (compared with 22 nanomoles for **fluoxetine** [(N-methyl 3-(p-trifluoromethylphenoxy)-3-phenylpropylamine].

DETD . . . anti-depressant action from the presently marketed drugs. The compounds of this invention may also find use in the treatment of **schizophrenia** according to the hypothesis of Wyatt et al., Science, 177 (1972) who were able to produce mild to moderate improvement. . .

=>

```
Welcome to STN International!  Enter x:x

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PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'USPATFULL' AT 14:59:38 ON 27 SEP 2004
FILE 'USPATFULL' ENTERED AT 14:59:38 ON 27 SEP 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   104.61     104.82

=> s venlafaxine
L13         893 VENLAFAXINE

=>  s l13 and schizophrenia
           8219 SCHIZOPHRENIA
L14         371 L13 AND SCHIZOPHRENIA

=> s l14 and pd<1995
        1890788 PD<1995
                    (PD<19950000)
L15           0 L14 AND PD<1995

=> s l14 and ps<1996
'1996' NOT A VALID FIELD CODE
              0 PS<1996
L16           0 L14 AND PS<1996

=> s l14 and pd<1996
        2009502 PD<1996
                    (PD<19960000)
L17           0 L14 AND PD<1996

=> s l14 and pd<1997
        2137200 PD<1997
                    (PD<19970000)
L18           0 L14 AND PD<1997

=> d l14 1-10

L14  ANSWER 1 OF 371  USPATFULL on STN
AN      2004:240362  USPATFULL
TI      Alpha2delta ligands for fibromyalgia and other disorders
IN      Dooley, David James, South Lyon, MI, UNITED STATES
        Taylor, Charles Price, JR., Chelsea, MI, UNITED STATES
        Thorpe, Andrew John, Whitmore Lake, MI, UNITED STATES
        Wustrow, David Juergen, Ann Arbor, MI, UNITED STATES
PI      US 2004186177      A1   20040923
AI      US 2003-734917     A1   20031212 (10)
RLI     Continuation-in-part of Ser. No. US 2003-674192, filed on 29 Sep 2003,
        ABANDONED Continuation of Ser. No. US 2002-324929, filed on 20 Dec 2002,
        GRANTED, Pat. No. US 6642398 Continuation of Ser. No. US 2001-9938,
        filed on 10 Dec 2001, ABANDONED A 371 of International Ser. No. WO
        2000-US15070, filed on 31 May 2000, PENDING
PRAI    US 2002-433491P     20021213 (60)
        US 2003-487740P     20030716 (60)
        US 1999-138485P     19990610 (60)
DT      Utility
FS      APPLICATION
LN.CNT 3739
INCL    INCLM: 514/561.000
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       INCLS: 514/567.000
NCL    NCLM:  514/561.000
       NCLS:  514/567.000
IC     [7]
       ICM: A61K031-195

L14  ANSWER 2 OF 371  USPATFULL on STN
AN     2004:240296  USPATFULL
TI     Therapeutic agents useful for treating pain
IN     Sun, Qun, Princeton, NJ, UNITED STATES
       Tafesse, Laykea, Robinsville, NJ, UNITED STATES
       Victory, Sam, Newtown, PA, UNITED STATES
PI     US 2004186111      A1   20040923
AI     US 2003-739190     A1   20031219 (10)
PRAI   US 2002-435917P     20021224 (60)
       US 2003-459626P     20030403 (60)
       US 2003-473856P     20030529 (60)
DT     Utility
FS     APPLICATION
LN.CNT 24955
INCL   INCLM: 514/252.190
       INCLS: 514/253.100; 544/295.000; 544/360.000
NCL    NCLM:  514/252.190
       NCLS:  514/253.100; 544/295.000; 544/360.000
IC     [7]
       ICM: C07D417-14
       ICS: A61K031-496

L14  ANSWER 3 OF 371  USPATFULL on STN
AN     2004:240293  USPATFULL
TI     Phenylalkyl and pyridylalkyl piperazine derivatives
IN     Cho, Stephen Sung Yong, Saline, MI, UNITED STATES
       Davis, Jamie Marie, Ann Arbor, MI, UNITED STATES
       Graham, James M., Ann Arbor, MI, UNITED STATES
       Gregory, Tracy Fay, Parma, MI, UNITED STATES
       Howard, Harry Ralph, JR., Bristol, CT, UNITED STATES
       Nikam, Sham Shridhar, Ann Arbor, MI, UNITED STATES
       Walters, Michael Anthony, Novi, MI, UNITED STATES
PI     US 2004186108      A1   20040923
AI     US 2003-703333     A1   20031107 (10)
PRAI   US 2002-425219P     20021108 (60)
DT     Utility
FS     APPLICATION
LN.CNT 5504
INCL   INCLM: 514/252.120
       INCLS: 514/253.010; 544/360.000
NCL    NCLM:  514/252.120
       NCLS:  514/253.010; 544/360.000
IC     [7]
       ICM: A61K031-496
       ICS: C07D043-02

L14  ANSWER 4 OF 371  USPATFULL on STN
AN     2004:233885  USPATFULL
TI     Gabapentin analogues for fibromy algia and concomitant disorders
IN     Dooley, David James, South Lyon, MI, UNITED STATES
       Taylor, Charles Price, JR., Chelsea, MI, UNITED STATES
       Thorpe, Andrew John, Whitmore Lake, MI, UNITED STATES
       Wustrow, David Juergen, Ann Arbor, MI, UNITED STATES
PI     US 2004180959      A1   20040916
AI     US 2003-735561     A1   20031212 (10)
PRAI   US 2002-433491P     20021213 (60)
       US 2003-483435P     20030627 (60)
DT     Utility
```

FS APPLICATION
LN.CNT 2097
INCL INCLM: 514/561.000
NCL NCLM: 514/561.000
IC [7]
ICM: A61K031-195

L14 ANSWER 5 OF 371 USPATFULL on STN
AN 2004:233878 USPATFULL
TI Derivatives of (-)- and (+)-**venlafaxine** and methods of preparing and using the same
IN Jerussi, Thomas P., Framingham, MA, UNITED STATES
Senanayake, Chrisantha H., Shrewsbury, MA, UNITED STATES
Bhongle, Nandkumar N., Shrewsbury, MA, UNITED STATES
PA Sepracor Inc. (U.S. corporation)
PI US 2004180952 A1 20040916
AI US 2004-806423 A1 20040323 (10)
RLI Division of Ser. No. US 2002-222815, filed on 19 Aug 2002, PENDING Division of Ser. No. US 2001-14592, filed on 14 Dec 2001, GRANTED, Pat. No. US 6441048 Division of Ser. No. US 1999-450690, filed on 30 Nov 1999, GRANTED, Pat. No. US 6342533
PRAI US 1998-110488P 19981201 (60)
DT Utility
FS APPLICATION
LN.CNT 1545
INCL INCLM: 514/521.000
NCL NCLM: 514/521.000
IC [7]
ICM: A61K031-277

L14 ANSWER 6 OF 371 USPATFULL on STN
AN 2004:233801 USPATFULL
TI Substituted tricyclic gamma-carbolines as serotonin receptor agonists and antagonists
IN Lee, Taekyu, Doylestown, PA, UNITED STATES
Chen, Wenting, Langhorne, PA, UNITED STATES
Deng, Wei, Lexington, MA, UNITED STATES
Robichaud, Albert J., Ringoes, NJ, UNITED STATES
Wexler, Ruth R., Belle Mead, NJ, UNITED STATES
PI US 2004180875 A1 20040916
AI US 2003-743449 A1 20031219 (10)
PRAI US 2002-434760P 20021219 (60)
DT Utility
FS APPLICATION
LN.CNT 6610
INCL INCLM: 514/215.000
INCLS: 514/291.000; 546/085.000; 514/227.800; 514/234.200; 514/253.030; 544/060.000; 544/361.000
NCL NCLM: 514/215.000
NCLS: 514/291.000; 546/085.000; 514/227.800; 514/234.200; 514/253.030; 544/060.000; 544/361.000
IC [7]
ICM: A61K031-55
ICS: A61K031-541; A61K031-5377; A61K031-496; A61K031-4745; C07D471-02

L14 ANSWER 7 OF 371 USPATFULL on STN
AN 2004:233783 USPATFULL
TI Methods of treating or preventing pain using sibutramine metabolites
IN Senanayake, Chrisantha Hugh, Shrewsbury, MA, UNITED STATES
Fang, Qun Kevin, Wellesley, MA, UNITED STATES
Han, Zhengxu, Shrewsbury, MA, UNITED STATES
Krishnamurthy, Dhileepkumar, Westboro, MA, UNITED STATES
PI US 2004180857 A1 20040916
AI US 2004-806415 A1 20040323 (10)

RLI Division of Ser. No. US 2002-160033, filed on 4 Jun 2002, GRANTED, Pat. No. US 6710087 Division of Ser. No. US 1999-409889, filed on 1 Oct 1999, GRANTED, Pat. No. US 6375352 Continuation-in-part of Ser. No. US 1999-372158, filed on 11 Aug 1999, GRANTED, Pat. No. US 6331571
PRAI US 1998-97665P 19980824 (60)
US 1998-99306P 19980902 (60)
DT Utility
FS APPLICATION
LN.CNT 1983
INCL INCLM: 514/058.000
INCLS: 514/554.000; 564/271.000; 568/425.000
NCL NCLM: 514/058.000
NCLS: 514/554.000; 564/271.000; 568/425.000
IC [7]
ICM: A61K031-724
ICS: A61K031-205; C07C047-542

L14 ANSWER 8 OF 371 USPATFULL on STN
AN 2004:221354 USPATFULL
TI ALBUMIN FUSION PROTEINS
IN Rosen, Craig A., Laytonsville, MD, UNITED STATES
Haseltine, William A., Washington, DC, UNITED STATES
PI US 2004171123 A1 20040902
AI US 2001-832929 A1 20010412 (9)
DT Utility
FS APPLICATION
LN.CNT 17424
INCL INCLM: 435/069.700
INCLS: 424/192.100; 536/023.400; 435/252.300; 435/325.000
NCL NCLM: 435/069.700
NCLS: 424/192.100; 536/023.400; 435/252.300; 435/325.000
IC [7]
ICM: A61K038-00
ICS: C12P021-04; A61K039-00; C07H021-04; C12N005-02; C12N005-00; C12N001-20

L14 ANSWER 9 OF 371 USPATFULL on STN
AN 2004:215953 USPATFULL
TI Method for treating a mental disorder
IN Bolte, Ellen R., New Lenox, IL, UNITED STATES
PI US 2004167062 A1 20040826
AI US 2003-741377 A1 20031219 (10)
RLI Continuation of Ser. No. US 2001-866033, filed on 25 May 2001, ABANDONED
PRAI US 2000-209712P 20000605 (60)
US 2000-214813P 20000628 (60)
US 2000-240582P 20001016 (60)
DT Utility
FS APPLICATION
LN.CNT 1142
INCL INCLM: 514/008.000
INCLS: 514/037.000; 514/192.000; 514/011.000; 514/200.000
NCL NCLM: 514/008.000
NCLS: 514/037.000; 514/192.000; 514/011.000; 514/200.000
IC [7]
ICM: A61K038-14
ICS: A61K038-13; A61K031-43

L14 ANSWER 10 OF 371 USPATFULL on STN
AN 2004:209921 USPATFULL
TI Methods of treating and preventing cerebral function disorders using sibutramine metabolites
IN Jerussi, Thomas P., Framingham, MA, UNITED STATES
PA Sepracor Inc. (U.S. corporation)
PI US 2004162355 A1 20040819

AI US 2004-769860 A1 20040203 (10)
RLI Division of Ser. No. US 2002-278097, filed on 23 Oct 2002, PENDING
Division of Ser. No. US 2001-770663, filed on 29 Jan 2001, GRANTED, Pat. No. US 6476078 Continuation-in-part of Ser. No. US 2000-662135, filed on 14 Sep 2000, GRANTED, Pat. No. US 6339106 Continuation-in-part of Ser. No. US 1999-372158, filed on 11 Aug 1999, GRANTED, Pat. No. US 6331571
PRAI US 1998-99306P 19980902 (60)
US 1998-97665P 19980824 (60)
DT Utility
FS APPLICATION
LN.CNT 2173
INCL INCLM: 514/650.000
INCLS: 514/252.160; 514/262.100
NCL NCLM: 514/650.000
NCLS: 514/252.160; 514/262.100
IC [7]
ICM: A61K031-519
ICS: A61K031-137
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d l14 361-371

L14 ANSWER 361 OF 371 USPATFULL on STN
AN 2000:57763 USPATFULL
TI Spiro-piperidine derivatives and their use as tachykinin antagonists
IN Baker, Raymond, Uley, United Kingdom
Harrison, Timothy, Great Dunmow, United Kingdom
Swain, Christopher John, Duxford, United Kingdom
Williams, Brian John, Great Dunmow, United Kingdom
PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S. corporation)
PI US 6060469 20000509
WO 9719084 19970529
AI US 1998-77063 19980518 (9)
WO 1996-GB2853 19961120
19980518 PCT 371 date
19980518 PCT 102(e) date
PRAI GB 1995-23944 19951123
GB 1995-26093 19951220
GB 1996-3239 19960216
DT Utility
FS Granted
LN.CNT 4100
INCL INCLM: 514/227.800
INCLS: 514/235.800; 514/241.000; 514/242.000; 514/252.000; 514/256.000; 514/278.000; 514/409.000; 544/006.000; 544/070.000; 544/180.000; 544/182.000; 544/230.000; 546/016.000; 548/409.000; 548/410.000
NCL NCLM: 514/227.800
NCLS: 514/235.800; 514/241.000; 514/242.000; 514/252.040; 514/255.050; 514/256.000; 514/278.000; 514/409.000; 544/006.000; 544/070.000; 544/180.000; 544/182.000; 544/230.000; 546/016.000; 548/409.000; 548/410.000
IC [7]
ICM: A61K031-445
ICS: C07D471-10
EXF 546/16; 514/256; 514/278; 514/241; 514/242; 514/252; 514/227.8; 514/235.8; 544/230; 544/182; 544/180; 544/70; 544/6
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 362 OF 371 USPATFULL on STN
AN 2000:41040 USPATFULL
TI Spiro-azacyclic derivatives, their preparation and their use as tachykinin antagonists

IN Haworth, Karen Elizabeth, Sawbridgeworth, United Kingdom
Seward, Eileen Mary, Bishops Stortford, United Kingdom
Swain, Christopher John, Duxford, United Kingdom
PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S. corporation)
PI US 6046195 20000404
WO 9813369 19980402
AI US 1999-269249 19990323 (9)
WO 1997-GB2532 19970918
19990323 PCT 371 date
19990323 PCT 102(e) date
PRAI GB 1996-19994 19960925
GB 1997-10745 19970523
DT Utility
FS Granted
LN.CNT 2740
INCL INCLM: 514/242.000
NCL NCLM: 514/242.000
IC [7]
ICM: A61K031-40
ICS: A61K031-445
EXF 514/242-243; 514/252; 514/256; 514/269; 514/272; 514/274; 514/343; 514/359; 514/362; 514/363-365; 514/369-370; 514/372; 514/374; 514/376; 514/377; 514/378; 514/380; 514/382; 514/383; 514/384; 514/386; 514/389; 514/392; 514/397; 514/403; 514/404; 514/406; 514/407; 544/182; 544/194; 544/209; 544/212; 544/238; 544/301; 544/311; 544/316; 544/406; 544/407; 544/408; 544/409; 544/336; 546/16; 546/278.4; 548/127-133; 548/134; 548/135; 548/136; 548/138; 548/139; 548/143; 548/144; 548/182-186; 548/213-214; 548/226; 548/228; 548/229; 548/233; 548/235; 548/243-247; 548/251-252; 548/255; 548/263.2; 548/263.4; 548/263.8; 548/264.2; 548/264.8; 548/265.2; 548/267.2; 548/314.7
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 363 OF 371 USPATFULL on STN
AN 1999:163703 USPATFULL
TI Bromocriptine for the treatment of alcoholics diagnosed with the D.sub.2 dopamine receptor DRD2 A1 allele
IN Noble, Ernest P., South Laguna, CA, United States
PA The Regents of the University of California, Los Angeles, CA, United States (U.S. corporation)
PI US 6001848 19991214
AI US 1997-822659 19970324 (8)
PRAI US 1996-14136P 19960325 (60)
DT Utility
FS Granted
LN.CNT 2185
INCL INCLM: 514/288.000
INCLS: 514/282.000; 514/284.000; 514/651.000; 514/811.000
NCL NCLM: 514/288.000
NCLS: 514/282.000; 514/284.000; 514/651.000; 514/811.000
IC [6]
ICM: A61K031-44
EXF 514/282; 514/284; 514/288; 514/651; 514/811
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 364 OF 371 USPATFULL on STN
AN 1999:146573 USPATFULL
TI Substituted morpholine derivative and its use as a therapeutic agent
IN Owen, Simon Neil, London, United Kingdom
Swain, Christopher John, Duxford, United Kingdom
Williams, Brian John, Dunmow, United Kingdom
PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S. corporation)
PI US 5985874 19991116

AI US 1999-306957 19990507 (9)
PRAI GB 1998-10092 19980511
DT Utility
FS Granted
LN.CNT 842
INCL INCLM: 514/236.200
INCLS: 544/132.000
NCL NCLM: 514/236.200
NCLS: 544/132.000
IC [6]
ICM: A61K031-535
ICS: C07D413-06
EXF 544/132; 514/236.2
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 365 OF 371 USPATFULL on STN
AN 1999:128550 USPATFULL
TI Morpholine derivatives and their use as therapeutic agents
IN Swain, Christopher John, Duxford, United Kingdom
Teall, Martin Richard, Stansted, United Kingdom
Williams, Brian John, Great Dunmow, United Kingdom
PA Merck Sharp & Dohme Ltd., Hoddesdon, United Kingdom (non-U.S. corporation)
PI US 5968934 19991019
WO 9718206 19970522
AI US 1998-68818 19980514 (9)
WO 1996-GB2766 19961113
19980514 PCT 371 date
19980514 PCT 102(e) date
PRAI GB 1995-23244 19951114
DT Utility
FS Granted
LN.CNT 1760
INCL INCLM: 514/230.500
INCLS: 514/235.500; 514/235.800; 514/236.200; 514/236.500; 514/236.800; 544/105.000; 544/132.000; 544/133.000
NCL NCLM: 514/230.500
NCLS: 514/235.500; 514/235.800; 514/236.200; 514/236.500; 514/236.800; 544/105.000; 544/132.000; 544/133.000
IC [6]
ICM: C07D413-04
ICS: C07D417-04; A61K031-535
EXF 514/230.5; 514/235.5; 514/235.8; 514/236.2; 514/236.5; 514/236.8; 544/105; 544/132; 544/133
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 366 OF 371 USPATFULL on STN
AN 1999:102805 USPATFULL
TI Method for treating pain
IN Shannon, Harlan E., Carmel, IN, United States
Womer, Daniel E., Thornton, CO, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)
PI US 5945416 19990831
AI US 1997-823461 19970324 (8)
PRAI US 1996-14130P 19960325 (60)
US 1996-14132P 19960325 (60)
US 1996-14128P 19960325 (60)
US 1996-14129P 19960325 (60)
DT Utility
FS Granted
LN.CNT 738
INCL INCLM: 514/220.000
NCL NCLM: 514/220.000

IC [6]
ICM: A61K031-55
EXF 514/220
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 367 OF 371 USPATFULL on STN
AN 1999:72602 USPATFULL
TI Conjugates of dithiocarbamates with pharmacologically active agents and uses therefore
IN Lai, Ching-San, Encinitas, CA, United States
PA Medinox, Inc., San Diego, CA, United States (U.S. corporation)
PI US 5916910 19990629
AI US 1997-869158 19970604 (8)
DT Utility
FS Granted
LN.CNT 1842
INCL INCLM: 514/423.000
INCLS: 514/514.000; 548/564.000; 548/573.000; 558/235.000
NCL NCLM: 514/423.000
NCLS: 514/514.000; 548/564.000; 548/573.000; 558/235.000
IC [6]
ICM: C07D207-04
ICS: C07D207-30; A61K031-27; A61K031-40
EXF 514/514; 514/423; 548/565; 548/573; 558/235
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 368 OF 371 USPATFULL on STN
AN 1999:37113 USPATFULL
TI Serine derivatives and their use as therapeutic agents
IN Elliott, Jason Matthew, Knockholt, England
MacLeod, Angus Murray, Bishops Stortford, England
Stevenson, Graeme Irvine, Saffron Walden, England
PA Merck Sharp & Dohme Ltd., Hoddesdon, England (non-U.S. corporation)
PI US 5885999 19990323
AI US 1997-786522 19970121 (8)
PRAI GB 1996-1724 19960129
DT Utility
FS Granted
LN.CNT 2248
INCL INCLM: 514/258.000
INCLS: 514/319.000; 544/298.000; 544/300.000; 546/192.000; 546/205.000; 546/206.000
NCL NCLM: 514/266.220
NCLS: 514/266.200; 514/319.000; 544/298.000; 544/300.000; 546/192.000; 546/205.000; 546/206.000
IC [6]
ICM: C07D239-02
ICS: C07D211-06; A61K031-445; A61K031-505
EXF 546/192; 546/205; 546/206; 514/319; 514/258; 544/298; 544/300
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 369 OF 371 USPATFULL on STN
AN 1999:37091 USPATFULL
TI Methods useful for the treatment of neurological and mental disorders related to deficient serotonin neurotransmission and impaired pineal melatonin functions
IN Sandyk, Reuven, 7 Piper Ct., Roslyn, NY, United States 11576
PI US 5885976 19990323
AI US 1997-978383 19971125 (8)
RLI Continuation-in-part of Ser. No. US 1995-437273, filed on 8 May 1995, now patented, Pat. No. US 5691324
DT Utility
FS Granted
LN.CNT 1969

INCL INCLM: 519/159.000
INCLS: 514/160.000; 514/250.000; 514/345.000; 514/355.000; 514/419.000; 514/654.000; 514/657.000
NCL NCLM: 514/159.000
NCLS: 514/160.000; 514/250.000; 514/345.000; 514/355.000; 514/419.000; 514/654.000; 514/657.000
IC [6]
ICM: A61K031-60
EXF 514/159; 514/160; 514/250; 514/355; 514/345; 514/419; 514/654; 514/657
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 370 OF 371 USPATFULL on STN
AN 1998:91621 USPATFULL
TI Veterinary method for clinically modifying the behavior of dogs exhibiting canine affective aggression using R enantiomers, S enantiomers, and racemic mixtures of selective serotonin reuptake inhibitor compounds or their active metabolites
IN Dodman, Nicholas H., Grafton, MA, United States
PA Trustees of Tufts College, Medford, MA, United States (U.S. corporation)
PI US 5788986 19980804
AI US 1996-699112 19960816 (8)
RLI Continuation-in-part of Ser. No. US 1995-417747, filed on 6 Apr 1995, now patented, Pat. No. US 5554383
DT Utility
FS Granted
LN.CNT 1254
INCL INCLM: 424/451.000
INCLS: 424/423.000; 424/427.000; 424/430.000; 424/434.000; 424/450.000; 424/464.000; 424/489.000
NCL NCLM: 424/451.000
NCLS: 424/423.000; 424/427.000; 424/430.000; 424/434.000; 424/450.000; 424/464.000; 424/489.000
IC [6]
ICM: A61F002-02
ICS: A61K009-127; A61K009-20; A61K031-44
EXF 424/451; 424/423; 424/427; 424/430; 424/434; 424/450; 424/464; 424/489
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L14 ANSWER 371 OF 371 USPATFULL on STN
AN 97:109884 USPATFULL
TI Methods useful for the treatment of neurological and mental disorders related to deficient serotonin neurotransmission and impaired pineal melatonin functions
IN Sandyk, Reuven, 7 Piper Ct., Roslyn, NY, United States 11576
PI US 5691324 19971125
AI US 1995-437273 19950508 (8)
RLI Continuation-in-part of Ser. No. US 1994-181677, filed on 14 Jan 1994, now patented, Pat. No. US 5470846
DT Utility
FS Granted
LN.CNT 1430
INCL INCLM: 514/159.000
INCLS: 514/160.000; 514/250.000; 514/355.000; 514/345.000; 514/654.000; 514/419.000; 514/657.000
NCL NCLM: 514/159.000
NCLS: 514/160.000; 514/250.000; 514/345.000; 514/355.000; 514/419.000; 514/654.000; 514/657.000
IC [6]
ICM: A61K031-60
ICS: A61K031-56; A61K031-40; A61K031-21
EXF 514/159; 514/160; 514/250; 514/355; 514/345; 514/654; 514/419; 514/657
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d l14 361-371 kwic

L14 ANSWER 361 OF 371 USPATFULL on STN
SUMM . . . animal phobias, social phobias, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalised anxiety disorders; **schizophrenia** and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders and psychotic. . .
SUMM Suitable serotonin and noradrenaline reuptake inhibitors of use in the present invention include: **venlafaxine**, and pharmaceutically acceptable salts thereof.

L14 ANSWER 362 OF 371 USPATFULL on STN
SUMM . . . animal phobias, social phobias, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalised anxiety disorders; **schizophrenia** and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders and psychotic. . .
SUMM Suitable serotonin and noradrenaline reuptake inhibitors of use in the present invention include: **venlafaxine**, and pharmaceutically acceptable salts thereof.

L14 ANSWER 363 OF 371 USPATFULL on STN
SUMM A variety of effective drugs are now available in the treatment of many mental afflictions including **schizophrenia**, anxiety reactions and affective disorders. In contrast, with the recent exception of naltrexone, vide infra, no current accepted pharmacotherapy exists. . .
SUMM . . . treatment may further involve the administration of a serotonin reuptake inhibitor. Such an inhibitor may be fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, and nefazodone; or a salt or an analog or a derivative thereof.
SUMM . . . analogs, or derivatives thereof. The serotoni reuptake inhibitors are preferably selected from the group consisting of fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, and nefazodone.
SUMM . . . serotonin reuptake inhibitory compounds for use in the present invention include, but are not limited to, fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, and nefazodone, and salts or analogs thereof.
SUMM Additionally, the composition may further comprise a serotonin reuptake inhibitor selected from the group consisting of fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, and nefazodone, or salts, analogs, or derivatives thereof
SUMM . . . or derivatives, thereof. The composition may further include one or more serotonin reuptake inhibitors, such as fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, nefazodone, or salts, analogs, or derivatives thereof
SUMM . . . or derivatives, thereof The composition may further include one or more serotonin reuptake inhibitors, such as fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, nefazodone, or salts, analogs, or derivatives thereof
DETD No mutation has been found in the coding exons of the DRD2 gene in alcoholism (or in **schizophrenia**) to support a structural change in the DRD2 gene (Gejman et al., 1994). However, evidence for diminished DRD2 receptor function. . .
DETD Gejman et al., "No Structural Mutation in the Dopamine D.sub.2 Receptor Gene in Alcoholism or **Schizophrenia**," J. Am. Med. Assoc., 271:204-208, 1994.
CLM What is claimed is:

. . . further comprising administering to said human a serotonin reuptake inhibitor selected from the group consisting of fluoxetine, sertraline, paroxetine, fluvoxamine, **venlafaxine**, and nefazodone.

L14 ANSWER 364 OF 371 USPATFULL on STN
SUMM . . . animal phobias, social phobias, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalised anxiety disorders; **schizophrenia** and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders and psychotic. . .
SUMM Suitable serotonin and noradrenaline reuptake inhibitors of use in the present invention include: **venlafaxine**, and pharmaceutically acceptable salts thereof.

L14 ANSWER 365 OF 371 USPATFULL on STN
SUMM . . . animal phobias, social phobias, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalised anxiety disorders; **schizophrenia** and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders and psychotic. . .
SUMM Suitable serotonin and noradrenaline reuptake inhibitors of use in the present invention include: **venlafaxine**, and pharmaceutically acceptable salts thereof.

L14 ANSWER 366 OF 371 USPATFULL on STN
SUMM . . . psychosis. Olanzapine is a known compound and described in U.S. Pat. No. 5,229,382 as being useful for the treatment of **schizophrenia**, schizophreniform disorder, acute mania, mild anxiety states, and psychosis. U.S. Pat. No. 5,229,382 is herein incorporated by reference in its. . .
SUMM . . . example, carbamazepine, gatapentine, valproate), and serotonin reuptake inhibitors (for example, fluoxetine, paroxetine, citalopram, sertraline), mixed serotonin-norepinephrine reuptake inhibitors (for example **venlafaxine**, duloxetine), serotonin receptor agonists and antagonists, cholinergic (muscarinic and nicotinic) analgesics, and neurokinin antagonists.
CLM What is claimed is:
. . . example, carbamazepine, gatapentine, valproate), and serotonin reuptake inhibitors (for example, fluoxetine, paroxetine, citalopram, sertraline), mixed serotonin-norepinephrine reuptake inhibitors (for example **venlafaxine**, duloxetine), serotonin receptor agonists and antagonists, cholinergic (muscarinic and nicotinic) analgesics, and neurokinin antagonists.

L14 ANSWER 367 OF 371 USPATFULL on STN
SUMM . . . atherosclerosis, dermatitis, urticaria, systemic lupus erythematosus, AIDA, AIDS dementia, chronic neurodegenerative disease, chronic pain, priapism, cystic fibrosis, amyotrophic lateral sclerosis, **schizophrenia**, depression, premenstrual syndrome, anxiety, addiction, migraine, Huntington's disease, epilepsy, neurodegenerative disorders, gastrointestinal motility disorders, obesity, hyperphagia, solid tumors (e.g., neuroblastoma),. . .
SUMM . . . sucralfate, sulfamethoxasole, sumatriptan, temazepam, terazosin, terconazole, terfenadine, tetracycline, theophylline, timolol, tramadol, tramadol hydrochloride, tretinoin, triamcinolone acetonide, triamterene, trimethoprim, valproic acid, **venlafaxine** , verapamil, wafarin, zolpidem, and the like.

L14 ANSWER 368 OF 371 USPATFULL on STN

SUMM . . . animal phobias, social phobias, obsessive-compulsive disorder, stress disorders including post-traumatic stress disorder and acute stress disorder, and generalised anxiety disorders; **schizophrenia** and other psychotic disorders, for example, schizophreniform disorders, schizoaffective disorders, delusional disorders, brief psychotic disorders, shared psychotic disorders and psychotic. . .

SUMM Suitable serotonin and noradrenaline reuptake inhibitors of use in the present invention include: **venlafaxine**, and pharmaceutically acceptable salts thereof.

L14 ANSWER 369 OF 371 USPATFULL on STN

SUMM . . . akathisia, chronic pain syndromes, migraine, Alzheimer's disease, depression (including seasonal affective disorder and premenstrual depression), autism, Attention Deficit hyperactivity disorder, **schizophrenia**, alcohol and substance abuse, obsessive-compulsive disorder, anxiety and panic disorder, posttraumatic stress disorder, trichotillomania, impulsive and aggressive behavior, chronic insomnia,. . .

SUMM . . . including cancer, autoimmune disorders (i.e., rheumatoid arthritis, systemic lupus), AIDS, diabetes mellitus, hyper-cholesterolemia, mental depression including seasonal affective disorder (SAD), **schizophrenia**, autism, panic disorder, obsessive compulsive disorder, trichotillomania, substance abuse including alcoholism, posttraumatic stress disorder, impulsive and aggressive behavior, chronic insomnia,. . . to a deficiency of pineal melatonin"? Italian Journal of Neurological Sciences, 7, 319-32; Sandyk and Kay (1990) "Pineal melatonin in **schizophrenia**: a Review and hypothesis." **Schizophrenia** Bulletin, 16, 653-662; Sandyk et al., (1990) "Pineal gland calcification and tordive dyskinesia." Lancet, 335, 1528; Robinson et al., (1991). . .

SUMM . . . eating disorders, alcoholism, obsessive compulsive disorder, trichotillomania, posttraumatic stress disorder, impulsive and aggressive behavior, chronic insomnia, sleep paralysis, builmia, and **schizophrenia** (Martin et al., (1984) "Decreased 6-hydroxymelatonin excretion in Korsakoff's psychosis." Neurology, 34, 966-968; Skene et al., (1990) "Daily variation in. . .

SUMM . . . posttraumatic stress disorder, impulsive and aggressive behavior, chronic insomnia, sleep paralysis, bulimia, dystonia, tardive dyskinesia, epilepsy, migraine, Alzheimer's disease, depression, **schizophrenia**, Tourette's syndrome, Attention Deficit-Hyperactivity Disorder, anxiety and panic disorder, narcolepsy-catoplexy, obsessive compulsive disorder, akathisia and Restless-legs syndrome, myocionus, chronic pain. . .

SUMM . . . posttraumatic stress disorder, impulsive and aggressive behavior, chronic insomnia, bulimia, obsessive compulsive disorder, Attention deficit and hyperactivity, pain syndromes, and **schizophrenia** is preferably 5 Hz or above. For the treatment of seizure disorders, it is preferred that the AC frequency of. . .

DRWD FIGS. 3A-D show the drawings by a patient afflicted with **schizophrenia** wherein FIG. 3A show the patient's drawing of a house prior to magnetic treatment, FIG. 3B shows the patient's drawing. . .

DETD . . . purpose, it is preferred to use one of the selective serotonin reuptake inhibitors (e.g., fluoxetine, fluvoxamine, clomipramine, citalopram, paroxetine, sertraline, **venlafaxine**, nefazodone), preferentially sertraline (Zoloft.RTM.; 25-200 mg, orally per day) taken in the morning with breakfast or nefazodone (Serzone.RTM.; 50-600 mg,. . .

DETD . . . macular degeneration, depression, anxiety and panic disorder, obsessive compulsive disorder, trichotillomania, posttraumatic stress disorder, chronic insomnia, sleep paralysis, bulimia, and **schizophrenia** require a frequency of stimulation in the range of

5 Hz-8.5 Hz.

DETD . . . obsessive compulsive disorder, trichotillomania, posttraumatic stress disorder, impulsive aggressive behavior, chronic insomnia, sleep paralysis, bulimia, anxiety and panic disorder, and **schizophrenia** the first pulse frequency is 5 Hz and the second pulse frequency is 7 Hz-8.5 Hz, also an increase of. . . panic disorder, obsessive compulsive disorder, trichotillomania, posttraumatic stress disorder, impulsive aggressive behavior, chronic insomnia, sleep paralysis, bulimia, substance abuse, and **schizophrenia** tend to be in proximity to the range of the theta brain wave activity (range of theta activity: 4 Hz-7. . .

DETD . . . produce amelioration of symptoms of multiple sclerosis, Parkinson's disease, Alzheimer's disease, tardive dyskinesia, depression including seasonal afective disorder, migraine, and **schizophrenia** (Hyyppa et al., (1975) "Effect of L-tryptophan on central indoleamine metabolism and short-lasting neurologic disturbances in multiple sclerosis." Journal of. . .

L14 ANSWER 370 OF 371 USPATFULL on STN

SUMM . . . rather than diseases and are most frequently associated with an underlying psychological disorder rather than a medical condition. Thus depression, **schizophrenia**, personality disorders, mania, paranoia, temporal lobe dysfunction, and the consequences of substance abuse each may be the underlying disorder associated. . .

SUMM . . . of human affective mental disorders (including mood disorders such as major depression and bipolar mania and psychotic disorders such as **schizophrenia**) often include violent behaviors and aggressive outbursts which may be treatable using particular classes of psychopharmacological drugs. In comparison, pathological. . .

DETD . . . No. 3,381,009;Brogden et. al., Drugs 21:401-429 (1981);Gorecki , David R. Verbeeck, Analytical Profiles of DrugSubstances, Vol. 16, Academic Press, 1986. **Venlafaxine** 1-2-(dimethylamino)-1-(4-methoxyphenyl)ethyl cyclohexanol,hyd rochloride ##STR10## Troy, et. al., J. Clin. Pharmacol. 35: 404-409 (1995);Drug Facts and Comparisons 1995 Ed., pp. 1410-1416.. . .

DETD . . . Basic & Clinical Pharmacology, 6th Ed., 1995, Chap. 29.

Verlafaxine
desmethylvenlafaxine;
Troy, et al., J. Clin. Pharmacol. 35: 404-409 (1995);
didesmethyl **venlafaxine**
Drug Facts and Comparisons, 1995 Ed., pp. 1410-1416
4-hydroxyvenlafaxine

Nefazodone
chlorophenyl-piperazine;
Drug Facts and Comparisons, 1995 Ed., p. 3238;
hydroxynefazodone;
Kaul. . .

CLM What is claimed is:

. . . serotonin reuptake inhibitor compound is selected from the group consisting of fluoxetine, fluvoxamine, paroxetine, indalpine, citalopram, femoxetine, zimeldine, sertraline, trazadone, **venlafaxine**, and nefazodone.

L14 ANSWER 371 OF 371 USPATFULL on STN

SUMM . . . melatonin functions including multiple sclerosis, Parkinson's disease, dystonia, tardive dyskinesia, epilepsy, migraine, Alzheimer's disease, depression (including seasonal affective disorder), and **schizophrenia**.

SUMM . . . lupus), diabetes mellitus, hypercholesterolemia, mental depression including seasonal affective disorder (SAD), and premenstrual syndrome (PMS; late luteal phase dysphoric disorder),

schizophrenia, Parkinson's disease, Alzheimer's disease, Korsakoff's dementia, tardive dyskinesia, epilepsy, narcolepsy, migraine, multiple sclerosis, panic disorder, Gilles de la Tourette's syndrome,. . . to a deficiency of pineal melatonin?" Italian Journal of Neurological Sciences, 7, 319-32; Sandyk and Kay (1990) "Pineal melatonin in **schizophrenia**: a review and hypothesis." **Schizophrenia** Bulletin, 16, 653-662; Sandyk et al., (1990) "Pineal gland calcification and tardive dyskinesia." Lancet, 335, 1528; Robinson et al., (1991). . .

SUMM . . . rhythmicity is disrupted in various neurological and mental disorders including multiple sclerosis, Parkinson's disease, Alzheimer's disease, Korsakoff's dementia, depression, and **schizophrenia** (Martin et al., (1984) "Decreased 6-hydroxymelatonin excretion in Korsakoff's psychosis." Neurology, 34, 966-968; Skene et al., (1990) "Daily variation in. . .

SUMM . . . in the treatment of such medical conditions as multiple sclerosis, Parkinson's disease, dystonia, tardive dyskinesia, epilepsy, migraine, Alzheimer's disease, depression, **schizophrenia**, Gilles de la Tourette's syndrome, Attention Deficit-Hyperactivity Disorder, anxiety and panic disorder, narcolepsy-cataplexy, obsessive compulsive disorder, akathisia and restless legs. . .

SUMM . . . treatment of Parkinson's disease and the AC frequency for the treatment of Alzheimer's disease, migraine, dystonia, tardive dyskinesia, depression and **schizophrenia** are preferably 5 Hz or higher, preferably 5 Hz-8 Hz. For the treatment of seizure disorders, it is preferred that. . .

DRWD FIGS. 3A-D show the drawings by a patient afflicted with **schizophrenia** wherein FIG. 3A shows the patient's drawing of a house prior to magnetic treatment, FIG. 3B shows the patient's drawing. . .

DETD . . . purpose, it is preferred to use one of the selective serotonin reuptake inhibitors (e.g., fluoxetine, fluvoxamine, clomipramine, citalopram, paroxetine, sertraline, **venlafaxine**, nefazodone), preferentially sertraline (Zoloft.RTM.; 25-2000 mg., orally per day) taken in the morning with breakfast or nefazodone (Serzone.RTM.; 50-600 mg.,. . .

DETD . . . having akathisia and restless legs syndrome either as an idiopathic manifestation or secondary to other diseases such as Parkinson's disease, **schizophrenia** and renal failure, I have observed a dramatic reduction in symptoms with patients experiencing the infrequent occurrence of paresthesias in. . .

DETD . . . namely 5 Hz-8 Hz, to achieve the most favorable clinical response. Likewise, patients with dystonia, tardive dyskinesia, migraine, depression, and **schizophrenia** require a frequency of stimulation in the range of 5 Hz-8 Hz. Patients with seizure disorders require an AC frequency. . .

DETD . . . Hz-5 Hz, an increase of about 50%. For patients with Parkinson's disease, dystonia, tardive dyskinesia, Alzheimer's disease, migraine, depression, and **schizophrenia** the first pulse frequency is 5 Hz and the second pulse frequency is 8 Hz, also an increase of approximately. . . and that the frequencies employed for the patient with Parkinson's disease, dystonia, tardive dyskinesia, Alzheimer's disease, migraine, epilepsy, depression, and **schizophrenia** tend to be in proximity to the range of the theta brain wave activity (range of theta activity: 4 Hz-7. . .

DETD . . . disease, Alzheimer's disease, tardive dyskinesia, narcolepsy, depression including seasonal affective disorder and premenstrual syndrome (late luteal phase dysphoric disorder), migraine, **schizophrenia**, Gilles de la Tourette's syndrome, Attention Deficit-Hyperactivity Disorder, obsessive compulsive disorder, panic disorder, pain syndromes, narcolepsy, akathisia and restless legs. . .

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